EAST Search History

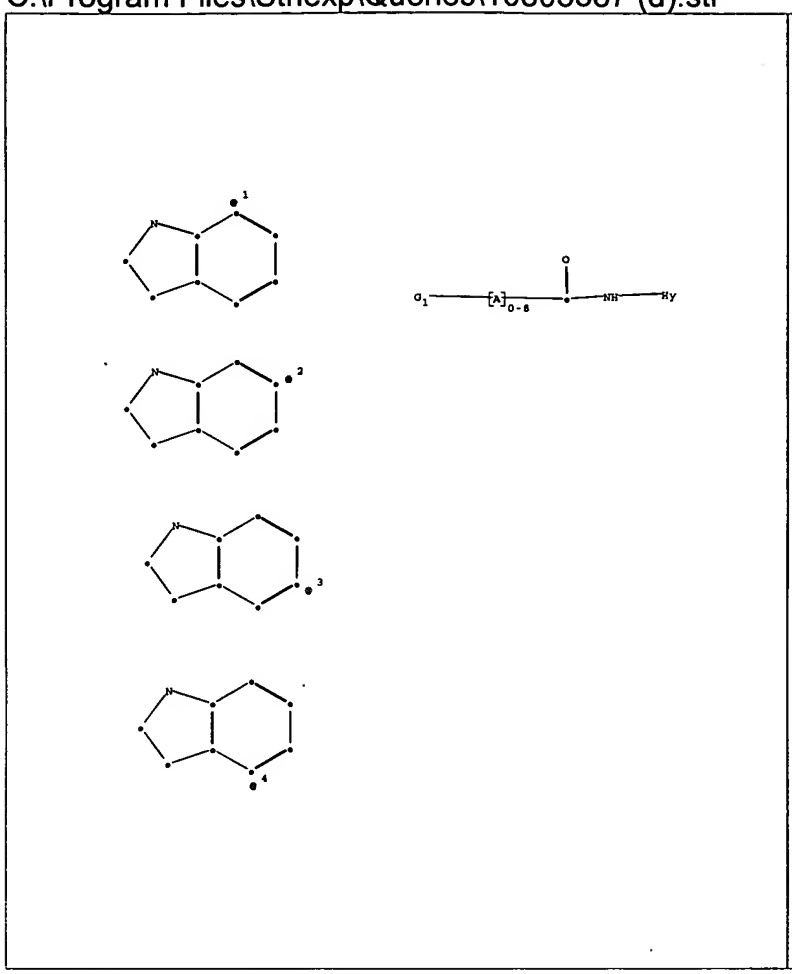
Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	1959	((548/490,491) or (514/415)).CCLS.	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	OFF	2006/08/18 17:55

NPL

		Results
20.	TITLE-ABSTR-KEY(calpain and reactive oxygen species) and TITLE-ABSTR-KEY (cancer) *[All Sources(- All Sciences -)]*	4
19.	TITLE-ABSTR-KEY(calpain and reactive oxygen species) and TITLE-ABSTR-KEY (diabetes) *[All Sources(- All Sciences -)]*	0
18.	TITLE-ABSTR-KEY(calpain and reactive oxygen species) and TITLE-ABSTR-KEY(viral infection) *[All Sources(- All Sciences -)]*	1
17.	TITLE-ABSTR-KEY(calpain and reactive oxygen species) and TITLE-ABSTR-KEY (parasitic infection) *[All Sources(- All Sciences -)]*	0
16.	TITLE-ABSTR-KEY(calpain and reactive oxygen species) and TITLE-ABSTR-KEY(aids) *[All Sources(- All Sciences -)]*	0
15.	TITLE-ABSTR-KEY(calpain and reactive oxygen species) and TITLE-ABSTR-KEY(lupus) *[All Sources(- All Sciences -)]*	0
14.	TITLE-ABSTR-KEY(calpain and reactive oxygen species) and TITLE-ABSTR-KEY(organ transplant) *[All Sources(- All Sciences -)]*	0
13.	TITLE-ABSTR-KEY(calpain and reactive oxygen species) and TITLE-ABSTR-KEY (cataracts) *[All Sources(- All Sciences -)]*	1
12.	TITLE-ABSTR-KEY(calpain and reactive oxygen species) and TITLE-ABSTR-KEY (stenosis) *[All Sources(- All Sciences -)]*	0
11.	TITLE-ABSTR-KEY(calpain and reactive oxygen species) and TITLE-ABSTR-KEY (atherosclerosis) *[All Sources(- All Sciences -)]*	0
10.	TITLE-ABSTR-KEY(calpain and reactive oxygen species) and TITLE-ABSTR-KEY (muscular dystrophy) *[All Sources(- All Sciences -)]*	2
9.	TITLE-ABSTR-KEY(calpain and reactive oxygen species) and TITLE-ABSTR-KEY (osteporosis) *[All Sources(- All Sciences -)]*	0
8.	TITLE-ABSTR-KEY(calpain and reactive oxygen species) and TITLE-ABSTR-KEY (neuropahthy or neuropathies) *[All Sources(- All Sciences -)]*	0
7.	TITLE-ABSTR-KEY(calpain and reactive oxygen species) and TITLE-ABSTR-KEY (parkinson) *[All Sources(- All Sciences -)]*	3
6.	TITLE-ABSTR-KEY(calpain and reactive oxygen species) and TITLE-ABSTR-KEY (Huntington) *[All Sources(- All Sciences -)]*	1
5.	TITLE-ABSTR-KEY(calpain and reactive oxygen species) and TITLE-ABSTR-KEY (alzheimer) *[All Sources(- All Sciences -)]*	8
4.	TITLE-ABSTR-KEY(calpain and reactive oxygen species) and TITLE-ABSTR-KEY (ischemic or ischemia)	14

	[All Sources(- All Sciences -)]	
3.	TITLE-ABSTR-KEY(calpain and reactive oxygen species) and TITLE-ABSTR-KEY(septic shock) *[All Sources(- All Sciences -)]*	0
2.	TITLE-ABSTR-KEY(calpain and reactive oxygen species) and TITLE-ABSTR-KEY (hypertension) *[All Sources(- All Sciences -)]*	1
1.	TITLE-ABSTR-KEY(calpain and reactive oxygen species) and TITLE-ABSTR-KEY (cerebrovascular) *[All Sources(- All Sciences -)]*	1

C:\Program Files\Stnexp\Queries\10803387 (d).str



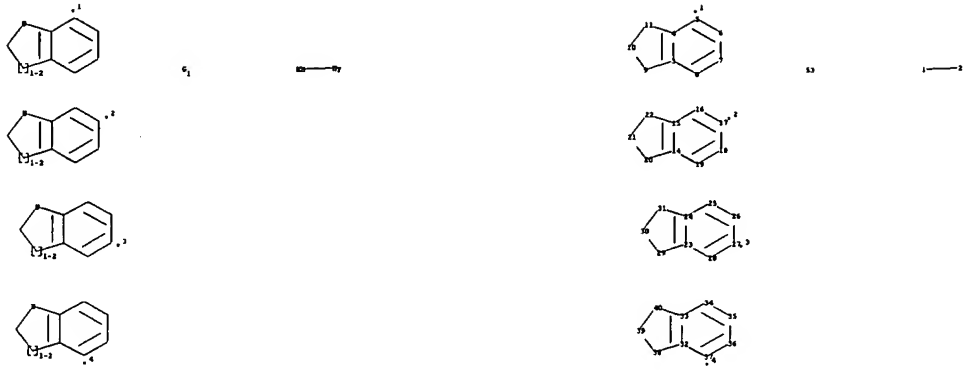
chain nodes :
1 2 45 46 47 48
ring nodes :
3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18 19 20 21 22 23 24 25 26 27 28 29 30 31 32 33 34 35 36 37 38
chain bonds :
1-2 1-46 45-48 46-47 46-48
ring bonds :
3-4 3-8 3-9 4-5 4-11 5-6 6-7 7-8 9-10 10-11 12-13 12-17 12-18 13-14 13-20 14-15 15-16 16-17 18-19 19-20 21-22 21-26 21-27 22-23 22-29 23-24 24-25 25-26 27-28 28-29 30-31 30-35 30-36 31-32 31-38 32-33 33-34 34-35 36-37 37-38
exact/norm bonds :
1-2 1-46 4-11 10-11 13-20 19-20 22-29 28-29 31-38 37-38 45-48 46-47 46-48
exact bonds :
3-9 9-10 12-18 18-19 21-27 27-28 30-36 36-37
normalized bonds :
3-4 3-8 4-5 5-6 6-7 7-8 12-13 12-17 13-14 14-15 15-16 16-17 21-22 21-26 22-23 23-24 24-25 25-26 30-31 30-35 31-32 32-33 33-34 34-35
isolated ring systems :
containing 3 : 12 : 21 : 30 :

G1:[*1],[*2],[*3],[*4]

Match level :

1:CLASS 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom
13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom 22:Atom 23:Atom
24:Atom 25:Atom 26:Atom 27:Atom 28:Atom 29:Atom 30:Atom 31:Atom 32:Atom 33:Atom 34:Atom
35:Atom 36:Atom 37:Atom 38:Atom 45:CLASS 46:CLASS 47:CLASS 48:CLASS

=>
Uploading C:\Program Files\Stnexp\Queries\10803387 (a).str



chain nodes :
1 2 53
ring nodes :
3 4 5 6 7 8 9 10 11 14 15 16 17 18 19 20 21 22 23 24 25 26 27
28 29 30 31 32 33 34 35 36 37 38 39 40
chain bonds :
1-2
ring bonds :
3-4 3-8 3-9 4-5 4-11 5-6 6-7 7-8 9-10 10-11 14-15 14-19 14-20 15-16
15-22 16-17 17-18 18-19 20-21 21-22 23-24 23-28 23-29 24-25 24-31 25-26
26-27 27-28 29-30 30-31 32-33 32-37 32-38 33-34 33-40 34-35 35-36 36-37
38-39 39-40
exact/norm bonds :
1-2 4-11 10-11 15-22 21-22 24-31 30-31 33-40 39-40
exact bonds :
3-9 9-10 14-20 20-21 23-29 29-30 32-38 38-39
normalized bonds :
3-4 3-8 4-5 5-6 6-7 7-8 14-15 14-19 15-16 16-17 17-18 18-19 23-24
23-28 24-25 25-26 26-27 27-28 32-33 32-37 33-34 34-35 35-36 36-37

```
isolated ring systems :
containing 3 : 14 : 23 : 32 :


G1:[*1],[*2],[*3],[*4]

Match level :
1:CLASS  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:Atom
11:Atom  14:Atom  15:Atom  16:Atom  17:Atom  18:Atom  19:Atom  20:Atom  21:Atom
22:Atom  23:Atom  24:Atom  25:Atom  26:Atom  27:Atom  28:Atom  29:Atom  30:Atom
31:Atom  32:Atom  33:Atom  34:Atom  35:Atom  36:Atom  37:Atom  38:Atom  39:Atom
40:Atom  53:CLASS



L1      STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1              STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.


=> s l1 sss sam
SAMPLE SEARCH INITIATED 08:40:20 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -   205476 TO ITERATE

  1.0% PROCESSED     2000 ITERATIONS                                  6 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **INCOMPLETE**
                        BATCH   **INCOMPLETE**
PROJECTED ITERATIONS:      4082966 TO  4136074
PROJECTED ANSWERS:           10839 TO    13817

L2            6 SEA SSS SAM L1

=> =>
Uploading C:\Program Files\Stnexp\Queries\10803387 (b).str
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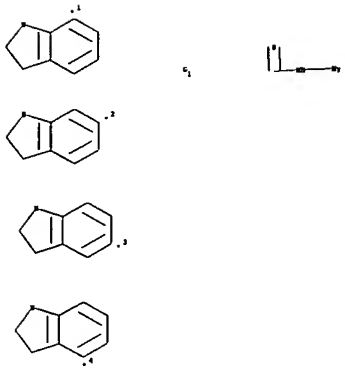
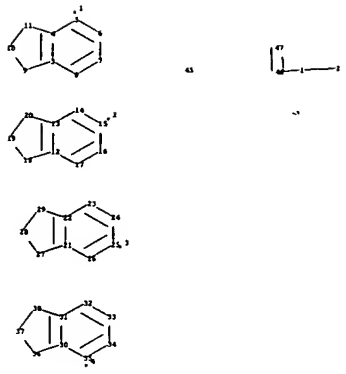




chain nodes :
1 2 45 46 47
ring nodes :
3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18 19 20 21 22 23 24 25
26 27 28 29 30 31 32 33 34 35 36 37 38
chain bonds :
1-2 1-46 46-47
ring bonds :
3-4 3-8 3-9 4-5 4-11 5-6 6-7 7-8 9-10 10-11 12-13 12-17 12-18 13-14
13-20 14-15 15-16 16-17 18-19 19-20 21-22 21-26 21-27 22-23 22-29 23-24
24-25 25-26 27-28 28-29 30-31 30-35 30-36 31-32 31-38 32-33 33-34 34-35
36-37 37-38
exact/norm bonds :
1-2 1-46 4-11 10-11 13-20 19-20 22-29 28-29 31-38 37-38 46-47
exact bonds :
3-9 9-10 12-18 18-19 21-27 27-28 30-36 36-37
normalized bonds :
3-4 3-8 4-5 5-6 6-7 7-8 12-13 12-17 13-14 14-15 15-16 16-17 21-22
21-26 22-23 23-24 24-25 25-26 30-31 30-35 31-32 32-33 33-34 34-35
isolated ring systems :

containing 3 : 12 : 21 : 30 :

G1:[*1],[*2],[*3],[*4]

Match level :
1:CLASS 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom
20:Atom 21:Atom 22:Atom 23:Atom 24:Atom 25:Atom 26:Atom 27:Atom 28:Atom
29:Atom 30:Atom 31:Atom 32:Atom 33:Atom 34:Atom 35:Atom 36:Atom 37:Atom
38:Atom 45:CLASS 46:CLASS 47:CLASS

L3 STRUCTURE UPLOADED

=> d l3
L3 HAS NO ANSWERS
L3 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

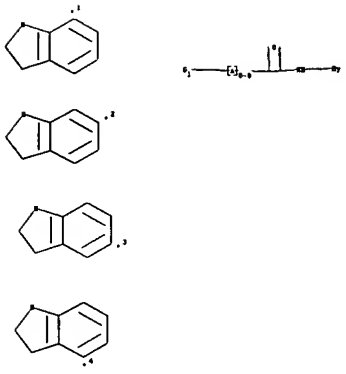
=> s l3 sss sam
SAMPLE SEARCH INITIATED 08:50:27 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 28277 TO ITERATE

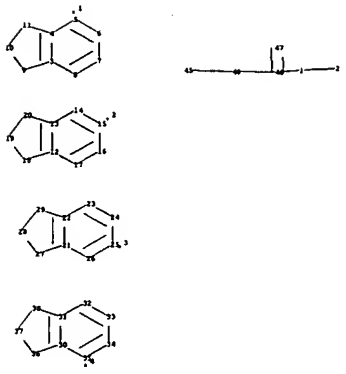
7.1% PROCESSED 2000 ITERATIONS 13 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 555483 TO 575597
PROJECTED ANSWERS: 2863 TO 4489

L4 13 SEA SSS SAM L3

=> =>
Uploading C:\Program Files\Stnexp\Queries\10803387 (d).str





chain nodes :
1 2 45 46 47 48
ring nodes :
3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18 19 20 21 22 23 24 25
26 27 28 29 30 31 32 33 34 35 36 37 38
chain bonds :
1-2 1-46 45-48 46-47 46-48
ring bonds :
3-4 3-8 3-9 4-5 4-11 5-6 6-7 7-8 9-10 10-11 12-13 12-17 12-18 13-14
13-20 14-15 15-16 16-17 18-19 19-20 21-22 21-26 21-27 22-23 22-29 23-24
24-25 25-26 27-28 28-29 30-31 30-35 30-36 31-32 31-38 32-33 33-34 34-35
36-37 37-38
exact/norm bonds :
1-2 1-46 4-11 10-11 13-20 19-20 22-29 28-29 31-38 37-38 45-48 46-47
46-48
exact bonds :
3-9 9-10 12-18 18-19 21-27 27-28 30-36 36-37
normalized bonds :
3-4 3-8 4-5 5-6 6-7 7-8 12-13 12-17 13-14 14-15 15-16 16-17 21-22
21-26 22-23 23-24 24-25 25-26 30-31 30-35 31-32 32-33 33-34 34-35

```
isolated ring systems :
containing 3 : 12 : 21 : 30 :


G1:[*1],[*2],[*3],[*4]

Match level :
1:CLASS  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:Atom
11:Atom  12:Atom  13:Atom  14:Atom  15:Atom  16:Atom  17:Atom  18:Atom  19:Atom
20:Atom  21:Atom  22:Atom  23:Atom  24:Atom  25:Atom  26:Atom  27:Atom  28:Atom
29:Atom  30:Atom  31:Atom  32:Atom  33:Atom  34:Atom  35:Atom  36:Atom  37:Atom
38:Atom  45:CLASS  46:CLASS  47:CLASS  48:CLASS



L5      STRUCTURE UPLOADED

=> d l5
L5 HAS NO ANSWERS
L5              STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.


=> s l5 sss sam
SAMPLE SEARCH INITIATED 09:05:36 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -    26564 TO ITERATE

  7.5% PROCESSED     2000 ITERATIONS                                0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:       521531 TO   541029
PROJECTED ANSWERS:               0 TO        0

L6            0 SEA SSS SAM L5

=> s l5 sss ful
FULL SEARCH INITIATED 09:06:05 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -   530572 TO ITERATE

 94.1% PROCESSED   499262 ITERATIONS                              206 ANSWERS

 98.7% PROCESSED   523475 ITERATIONS                              207 ANSWERS

 99.6% PROCESSED   528309 ITERATIONS                              207 ANSWERS

100.0% PROCESSED   530572 ITERATIONS                              207 ANSWERS
SEARCH TIME: 00.00.55

L7 -          207 SEA SSS FUL L5

=> => s l7
```

L8 49 L7

=> d l8 1-49 bib,ab,hitstr

L8 ANSWER 1 OF 49 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2006:608625 CAPLUS
DN 145:83665
TI Preparation of furanone dipeptides as cathepsin S inhibitors
IN Hardick, David; Tozer, Matt; Canfield, Julie; Wilson, Michelle; Rae, Alastair; Fallon, Philip; Classon, Bjorn; Lindquist, Charlotta; Ayesa, Susana
PA Medivir UK Ltd, UK; Peptimmune, Inc
SO PCT Int. Appl., 143 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

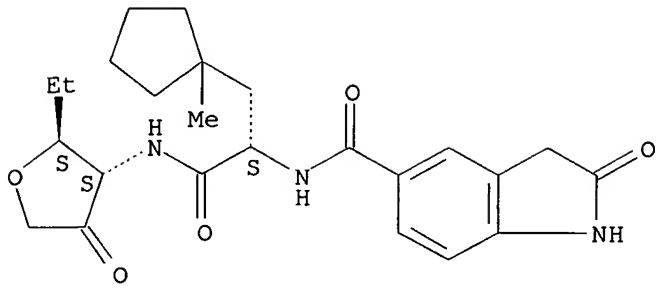
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2006064286	A1	20060622	WO 2005-GB50243	20051213

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRAI GB 2004-27169	A	20041213	
GB 2005-7628	A	20050415	
GB 2005-10304	A	20050520	

AB The invention relates to compds. I [R2C is a cycloalkyl ring; R1 is alkyl optionally substituted by halogen and hydroxy; R2 is halo, hydroxy, methoxy, or alkyl optionally substituted by halogen, hydroxy or methoxy; E is CO, SO0-2, NR6SO0-2, NR4CO, O2C; R3 is an optionally substituted carbocyclic or heterocyclic ring; R4 is H or alkyl; R5 is H, OR7, SR7 or together with the gem H is :O or (OR7)2; R6, R7 are H, alkyl, etc.] or their pharmaceutically-acceptable salts which have utility in the inhibition of cathepsin S and are thus useful pharmaceuticals against autoimmune disorders, chronic pain, etc. Thus, dipeptide derivative II, prepared by a multistep sequence starting from N-Boc protected (S)-3-(1-methylcyclopentyl)alanine benzyl ester, showed ki = 10.8 nM for inhibition of cathepsin S.
IT 892878-03-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of furanone dipeptides as cathepsin S inhibitors)
RN 892878-03-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



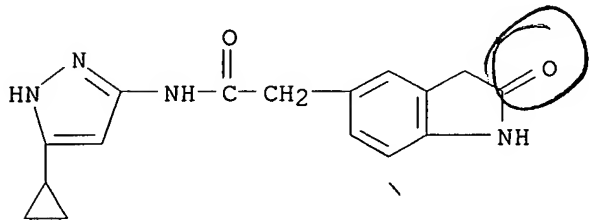
RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 2 OF 49 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2006:374223 CAPLUS
DN 144:412501
TI Preparation of 3(5)-acylaminopyrazole derivatives for use as therapeutic agents, particularly antitumor agents
IN Pevarello, Paolo; Orsini, Paolo; Traquandi, Gabriella; Varasi, Mario; Fritzen, Edward L.; Warpehoski, Martha A.; Pierce, Betsy S.; Brasca, Maria Grabriella
PA Pharmacia Italia S.p.A., Italy; Pharmacia & Upjohn Company LLC
SO U.S., 41 pp., Cont.-in-part of U.S. Ser. No. 372,831, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 7034049	B1	20060425	US 2002-48486	20020501
	WO 2001012189	A1	20010222	WO 2000-US6699	20000505
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZW				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	US 6218418	B1	20010417	US 2000-667603	20000922
PRAI	US 1999-372831	B2	19990812		
	WO 2000-US6699	W	20000505		
	US 2000-560400	A1	20000428		

OS MARPAT 144:412501
AB Compds. (e.g., N-(5-cyclopropyl-1H-pyrazol-3-yl)-2,2-diphenylacetamide) which are 3-amino-pyrazole derivs. represented by formula I (wherein R = C3-C6 cycloalkyl group optionally substituted by a straight or branched C1-C6 alkyl or arylalkyl group; R1 = a straight or branched C1-C6 alkyl, C2-C4 alkenyl, cycloalkyl, cycloalkenyl, heterocyclyl, aryl, arylalkyl, arylcarbonyl, aryloxyalkyl or arylalkenyl group, each of which may be optionally further substituted) are claimed. A process for preparing the 3-aminopyrazole derivs. comprises: (a) reacting RCO2R2 (R2 = alkyl), with MeCN in the presence of a basic agent, to obtain RC(O)CH2CN; (b) reacting RC(O)CH2CN with hydrazine hydrate to obtain an 3-amino-5-R-1H-pyrazole; (c) oxidizing the 3-amino-5-R-1H-pyrazole to obtain the nitro analog; (d) reacting the nitro compound with tert-butoxycarbonyl anhydride (Boc2O) to obtain the N-Boc derivative which was reduced; (e) reacting this amino compound with R1C(O)X (X = OH or a suitable leaving group) to obtain the N1-Boc-protected I; and (g) hydrolyzing this intermediate in an acidic medium to obtain I. The compds. are useful for the treatment of cancer, cell proliferative disorders, Alzheimer's disease, viral infections, auto-immune diseases or neurodegenerative diseases (no data is given). Pharmaceutical compns. containing I are also claimed.
IT 326823-68-1P, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(2-oxo-2,3-dihydro-1H-indol-5-yl)acetamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 3(5)-acylaminopyrazole derivs. for use as therapeutic agents, particularly antitumor agents)
RN 326823-68-1 CAPLUS

CN 1H-Indole-5-acetamide, N-(5-cyclopropyl-1H-pyrazol-3-yl)-2,3-dihydro-2-oxo- (9CI) (CA INDEX NAME)



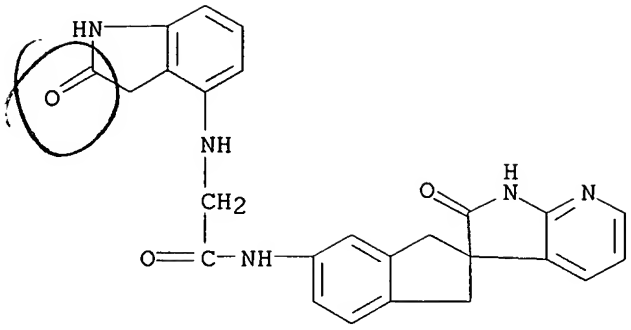
RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 3 OF 49 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2006:269742 CAPLUS
DN 144:312072
TI Preparation of Aryl spirolactam CGRP receptor antagonists
IN Bell, Ian M.; Stump, Craig A.; Theberge, Cory R.
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 77 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

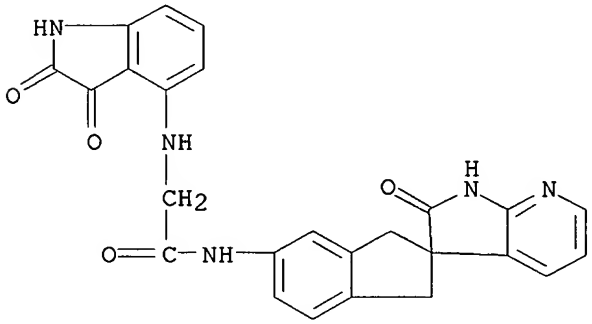
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2006031513	A2	20060323	WO 2005-US31712	20050906
WO 2006031513	A3	20060713		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRAI US 2004-608489P P 20040909
OS MARPAT 144:312072
AB Title compds. I [A1 and A2 independently = bond, -C.tplbond.C-, CR13R14, etc. where one of A1 and A2 is optionally absent; B = (un)substituted bicycloheterocycle; J = =C(R6a)-; CR13R14, and CO; K = =C(R6b), CR13R14, CO, etc.; R4 = H, (un)substituted alkyl, benzyl, etc.; R5a, R5b, and R5c = H, alkyl, alkoxy, halo, etc.; R6a and R6b independently = H, OH, halo, (un)substituted alkyl, etc.; R13 and R14 = H or (un)substituted alkyl; X = CO or SO2; m = 1 or 2; n = 1 or 2], and their pharmaceutically acceptable salts, useful as antagonists of calcitonin gene-related peptide (CGRP) receptors and useful in the treatment or prevention of diseases in which the CGRP is involved, such as headache, migraine and cluster headache. Thus, e.g., II was prepared by reaction of 3-phenylpropionic acid with (+)-5-amino-1,3-dihydrospiro[indene-2,3'-pyrrolo[2,3-b]pyridin]-2'(1'H)-one (preparation given). I demonstrated activity as antagonists of the CGRP receptor with Ki or IC50 values generally less than about 50 μM. The invention is also directed to pharmaceutical compns. comprising these compds. and the use of these compds. and compns. in the prevention or treatment of such diseases in which CGRP is involved.
IT 880094-98-4P 880094-99-5P 880095-00-1P
880095-01-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aryl spirolactam CGRP receptor antagonists)
RN 880094-98-4 CAPLUS
CN Acetamide, 2-[(2,3-dihydro-2-oxo-1H-indol-4-yl)amino]-N-(1,1',2',3-tetrahydro-2'-oxospiro[2H-indene-2,3'-[3H]pyrrolo[2,3-b]pyridin]-5-yl)-(9CI) (CA INDEX NAME)

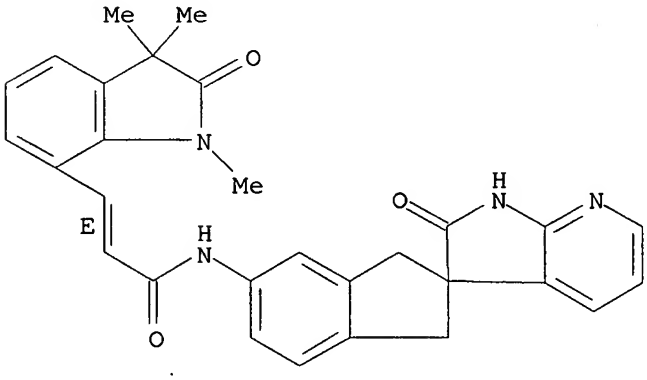


RN 880094-99-5 CAPLUS
CN Acetamide, 2-[(2,3-dihydro-2,3-dioxo-1H-indol-4-yl)amino]-N-(1,1',2',3-tetrahydro-2'-oxospiro[2H-indene-2,3'-[3H]pyrrolo[2,3-b]pyridin]-5-yl)- (9CI) (CA INDEX NAME)

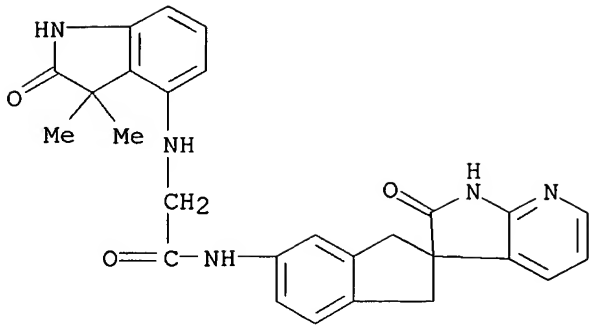


RN 880095-00-1 CAPLUS
CN 2-Propenamide, 3-(2,3-dihydro-1,3,3-trimethyl-2-oxo-1H-indol-7-yl)-N-(1,1',2',3-tetrahydro-2'-oxospiro[2H-indene-2,3'-[3H]pyrrolo[2,3-b]pyridin]-5-yl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 880095-01-2 CAPLUS
CN Acetamide, 2-[(2,3-dihydro-3,3-dimethyl-2-oxo-1H-indol-4-yl)amino]-N-(1,1',2',3-tetrahydro-2'-oxospiro[2H-indene-2,3'-[3H]pyrrolo[2,3-b]pyridin]-5-yl)- (9CI) (CA INDEX NAME)

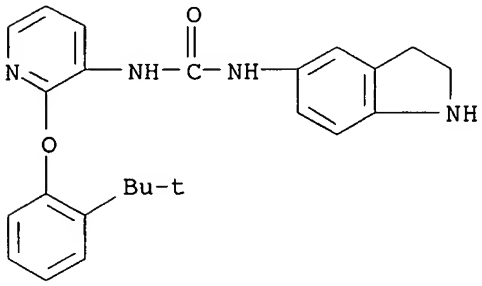

HN
O
Me
Me
NH
CH2
O
C
NH
O
H
N
N

L8 ANSWER 4 OF 49 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2005:1262710 CAPLUS
DN 144:22817
TI Preparation of phenyl or pyridinyl ureas as antagonists of P2Y1 receptors for the treatment of thromboembolic disorders
IN Chao, Hannguang J.; Tuerdi, Huji; Herpin, Timothy; Roberge, Jacques Yves; Liu, Yalei; Lawrence, R. Michael; Rehfuss, Robert P.; Clark, Charles G.; Qiao, Jennifer X.; Gungor, Timur; Lam, Patrick Y. S.; Wang, Tammy C.; Ruel, Rejean; L'Heureux, Alexandre L.; Thibeault, Carl; Bouthillier, Gilles; Schnur, Dora M.
PA Bristol-Myers Squibb Company, USA
SO PCT Int. Appl., 343 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005113511	A1	20051201	WO 2005-US16422	20050511
	WO 2005113511	C2	20060202		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2005261244	A1	20051124	US 2005-126567	20050510
	US 2005267119	A1	20051201	US 2005-126915	20050511
PRAI	US 2004-570288P	P	20040512		
	US 2005-665735P	P	20050328		
	US 2005-665817P	P	20050328		
	US 2005-126567	A	20050510		

OS MARPAT 144:22817
AB Title compds. I [wherein ring A = (un)substituted aryl or heterocyclyl; ring B = (un)substituted heteroaryl; W = O or S; X = bond or (un)substituted alkylene; Y = O, S, NH, etc.; R6 = Ph, phenylalkyl, etc., and stereoisomers, pharmaceutically acceptable salts or solvates thereof] were prepared as P2Y1 receptor inhibitors. For instance, etherification of m-isopropylphenol with 2-chloro-3-nitropyridine at 180°C for 700 s in a microwave (87% yield) followed by hydrogenation in the presence of Pd/C (90% yield) gave a pyridinamine, which underwent nucleophilic addition with p-tert-butylphenyl isocyanate to afford urea II (30% yield). Some compds. I have been identified to exhibit Ki's of ≤ 10 mM in the P2Y1 binding assay. I and their pharmaceutical compns. are useful in treating diseases responsive to modulation of P2Y1 receptor activity, such as thromboembolic disorders (no data).
IT 870545-91-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(inhibitor; preparation of Ph or pyridinyl ureas as antagonists of P2Y1 receptors for the treatment of thromboembolic disorders)

RN 870545-91-8 CAPLUS
CN Urea, N-(2,3-dihydro-1H-indol-5-yl)-N'-[2-[2-(1,1-dimethylethyl)phenoxy]-3-pyridinyl]- (9CI) (CA INDEX NAME)



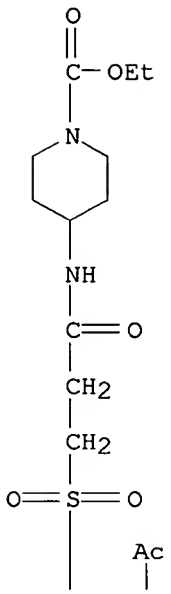
RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 5 OF 49 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2005:1195773 CAPLUS
DN 143:460160
TI Focused library of heterocyclylsulfinic acids and their derivatives with kinase inhibitory activity
IN Dorogov, M. V.; Filimonov, S. I.; Ivanovskii, S. A.; Kobylinskii, D. B.; Korikov, P. V.; Proskurina, I. K.; Solov'ev, M. Yu.; Khakhina, M. Yu.; Shalygina, E. E.; Kravchenko, D. V.; Tkachenko, S. E.; Ivashchenko, A. V.
PA OOO "Issled. Inst. Khim. Raznoobraziya", Russia
SO Russ., 112 pp.
CODEN: RUXXE7
DT Patent
LA Russian
FAN.CNT 1

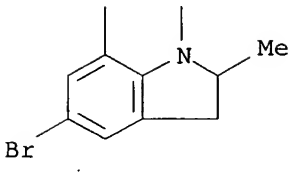
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI RU 2263666	C1	20051110	RU 2004-110614	20040408
PRAI RU 2004-110614		20040408		

OS MARPAT 143:460160
AB Invention relates to new heterocyclylsulfonyl alkylcarboxylic acids and their derivs. I [W = (un)substituted heterocyclic radical, e.g., pyrrole-3-yl, thiophene-2-yl, isooxazole-4-yl, pyrazole-4-yl, imidazole-4-yl, pyridine-3-yl, 1H-2,4-dioxopyrimidine-5-yl, 2,3-dihydro-1H-indole-5-yl, 2,3-dihydro-1H-indole-7-yl, 1,3-dihydro-2-oxoindole-5-yl, 2,3-dioxo-1H-indole-5-yl, 2-oxo-3H-benzoxazole-6-yl, benzothiazole-6-yl, 1H-benzimidazole-5-yl, benzo[1,2,5]oxadiazole-4-yl, benzo[1,2,5]thiadiazole-4-yl, 1,2,3,4-tetrahydroquinoline-6-yl, 3,4-dihydro-2-oxo-1H-quinoline-6-yl, quinoline-8-yl, 1,4-dihydro-2,3-dioxoquinoxaline-6-yl, 3-oxo-4H-benzo[1,4]oxazine-7-yl, 3-oxo-4H-benzo[1,4]thiazine-7-yl, 2,4-dioxo-1H-quinazoline-6-yl, 2,4-dioxo-1,5-dihydrobenzo[b][1,4]diazepine-7-yl or 2,5-dioxo-3,4-dihydrobenzo[b][1,4]diazepine-7-yl; Y represents (un)substituted methylene group; R1 = Cl, (un)substituted OH, (un)substituted amino group, (un)substituted azaheterocyclyl; n = 1, 2 or 3; or Yn represents carbon atom of (un)substituted (C3-7)-cycloalkyl or (un)substituted (C4-7)-heterocyclyl], or their pharmaceutically acceptable salts, N-oxides or hydrates possessing the inhibitory effect on kinase activity and to the focused library for search of active leader-compds. comprising at least I. The invention also relates to heterocyclylsulfinic acids II [R2, R3 = H, inert substituent; CHR2CHR3 = (un)substituted cycloalkyl] and III [R4, R5 = H, inert substituent; CR4R5 = (un)substituted C3-7-cycloalkyl, (un)substituted (C4-7)-heterocyclyl]. Also, the invention relates to a pharmaceutical composition in form of tablets, capsules or injections placed into pharmaceutically acceptable package. Tyrosine kinase inhibitory activity of I, II and III = 2-20% at 30 μM.
IT 841275-94-3P
RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)
(focused combinatorial library of heterocyclylsulfinic acids and their derivs. with kinase inhibitory activity)
RN 841275-94-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[3-[(1-acetyl-5-bromo-2,3-dihydro-2-methyl-1H-indol-7-yl)sulfonyl]-1-oxopropyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



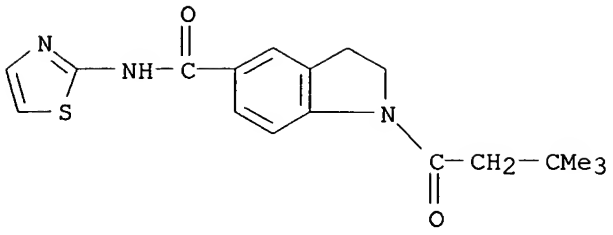
PAGE 2-A



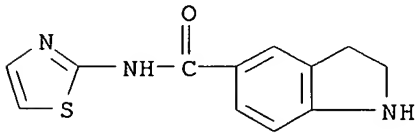
L8 ANSWER 6 OF 49 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2005:395092 CAPLUS
DN 142:447206
TI N-(Thiazol-2-yl)-benzamide derivatives as adenosine 2A (A2a) receptor ligands: preparation, pharmaceutical compositions and uses for treating such as Parkinson's disease
IN Sams, Anette Graven; Larsen, Mogens; Mikkelsen, Gitte
PA H. Lundbeck A/S, Den.
SO PCT Int. Appl., 69 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005039572	A1	20050506	WO 2004-DK733	20041025
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2004283019	A1	20050506	AU 2004-283019	20041025
	CA 2542816	AA	20050506	CA 2004-2542816	20041025
	EP 1682129	A1	20060726	EP 2004-762951	20041025
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
	US 2006154974	A1	20060713	US 2005-312661	20051220
PRAI	DK 2003-1579	A	20031027		
	DK 2004-229	A	20040213		
	WO 2004-DK733	W	20041025		

OS MARPAT 142:447206
AB The invention relates to title compds. I [wherein R1, R6 = H, alkyl or halo; R2-R5 = H, halo, cyano, OH, alkyl, etc.; R7 = (cycloalkyl), (hetero)aryl, etc.; A = (un)substituted carbamoyl, amido, etc.; with some limitations, and pharmaceutically acceptable addition salts thereof] were prepared as adenosine 2A (A2a) receptor ligands. For instance, HATU-mediated coupling of butanoic acid with 4-amino-N-(thiazol-2-yl)benzamide (preparation given)in DMF in the presence of DIPEA at rt gave II. Exemplified compds. including II were found to be A2a receptor antagonists with Ki values of 530 nM or less in a binding assay. Therefore, I and their pharmaceutical compns. are useful in the treatment of neurol. and psychiatric disorders where A2a receptors are implicated, such as Parkinson's disease.
IT 851201-96-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(ligand; preparation of thiazolylbenzamides as adenosine 2A receptor ligands)
RN 851201-96-2 CAPLUS
CN 1H-Indole-5-carboxamide, 1-(3,3-dimethyl-1-oxobutyl)-2,3-dihydro-N-2-thiazolyl- (9CI) (CA INDEX NAME)



IT 851202-91-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of thiazolylbenzamides as adenosine 2A receptor ligands)
RN 851202-91-0 CAPLUS
CN 1H-Indole-5-carboxamide, 2,3-dihydro-N-2-thiazolyl- (9CI) (CA INDEX NAME)



RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 7 OF 49 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2005:283287 CAPLUS
DN 142:336240
TI Preparation of heterocyclic-substituted indoles as inhibitors of GSK3β
IN Berg, Stefan; Hellberg, Sven
PA Astrazeneca Ab, Swed.
SO PCT Int. Appl., 120 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005027823	A2	20050331	WO 2004-SE1363	20040921
	WO 2005027823	A3	20050602		
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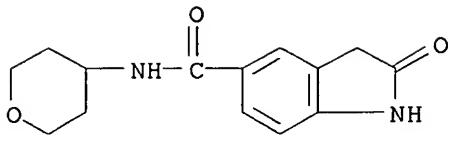
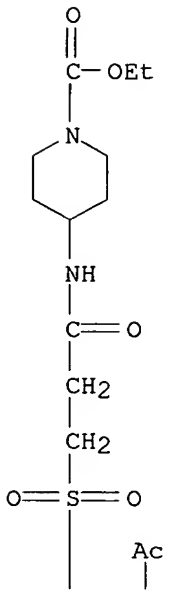
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2004273771	A1	20050331	AU 2004-273771	20040921
	CA 2538381	AA	20050331	CA 2004-2538381	20040921
	EP 1667990	A2	20060614	EP 2004-775465	20040921
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR				
PRAI	SE 2003-2546	A	20030924		
	WO 2004-SE1363	W	20040921		

OS MARPAT 142:336240
AB Title compds. I [P - 5-6-membered heteroarom. ring; R1 = H; R2 = alkyl, CN, halo, etc.; R3 = alkyl, CN, NO2, carboxy, etc.; m, n = 0-4] and derivs. are prepared For instance, 2-Hydroxy-3-[5-[(4-methylpiperazin-1-yl)carbonyl]pyridin-2-yl]-1H-indole-6-carbonitrile is prepared by the reaction of 2-oxoindoline-6-carbonitrile and 1-[(6-chloro-1-oxidopyridin-3-yl)carbonyl]-4-methylpiperazine (preparation given). Ki of selected compds. of the invention was 20 μM for GSK3β. I are useful for the treatment of, e.g., Alzheimer's Disease.
IT 848472-25-3P, 2-Oxo-N-(tetrahydro-2H-pyran-4-yl)indoline-5-carboxamide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of heterocyclic-substituted indoles as inhibitors of GSK3β)
RN 848472-25-3 CAPLUS
CN 1H-Indole-5-carboxamide, 2,3-dihydro-2-oxo-N-(tetrahydro-2H-pyran-4-yl)-(9CI) (CA INDEX NAME)

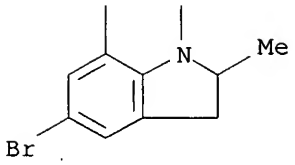


L8 ANSWER 8 OF 49 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2005:6426 CAPLUS
DN 142:219166
TI A convenient synthesis of novel 3-(heterocyclylsulfonyl)propanoic acids and their amide derivatives
AU Dorogov, Mikhail V.; Filimonov, Sergey I.; Kobylinsky, Dmitry B.; Ivanovsky, Sergey A.; Korikov, Pavel V.; Soloviev, Mikhail Y.; Khahina, Maria Y.; Shalygina, Elena E.; Kravchenko, Dmitry V.; Ivachtchenko, Alexandre V.
CS Chemical Diversity Labs, Inc., San Diego, CA, 92121, USA
SO Synthesis (2004), (18), 2999-3004
CODEN: SYNTBF; ISSN: 0039-7881
PB Georg Thieme Verlag
DT Journal
LA English
OS CASREACT 142:219166
AB A large number of novel 3-(heterocyclylsulfonyl)propanoic acids and their amide derivs. were prepared in good yields and excellent purity starting from the corresponding heterocyclic compds. At first, chlorosulfonates were generated by reaction of initial heterocycles with various sulfonating and chlorinating agents followed by their conversion into sodium sulfinates. Treatment of sulfinates with acrylic acid smoothly afforded a series of sulfonylpropionates, which were used as convenient reagents for the preparation of a large number of the corresponding carboxamide derivs.
IT 841275-94-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of 3-(heterocyclylsulfonyl)propanoic acids and their amide derivs.)
RN 841275-94-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[3-[(1-acetyl-5-bromo-2,3-dihydro-2-methyl-1H-indol-7-yl)sulfonyl]-1-oxopropyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

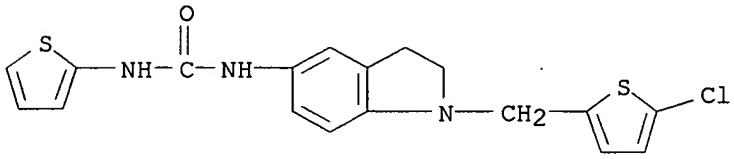
L8 ANSWER 9 OF 49 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:965219 CAPLUS
DN 141:395417
TI Preparation of substituted indoline and indole derivatives as openers of the KCNQ family potassium channels
IN Khanzhin, Nikolay; Rottlaender, Mario; Watson, William Patrick
PA H. Lundbeck A/S, Den.
SO PCT Int. Appl., 129 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004096767	A1	20041111	WO 2004-DK283	20040423
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2004233941	A1	20041111	AU 2004-233941	20040423
	CA 2523102	AA	20041111	CA 2004-2523102	20040423
	EP 1631546	A1	20060308	EP 2004-729044	20040423
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
	BR 2004009317	A	20060425	BR 2004-9317	20040423
	CN 1777582	A	20060524	CN 2004-80011019	20040423
	NO 2005005562	A	20051124	NO 2005-5562	20051124
PRAI	DK 2003-631	A	20030425		
	US 2003-465387P	P	20030425		
	WO 2004-DK283	W	20040423		

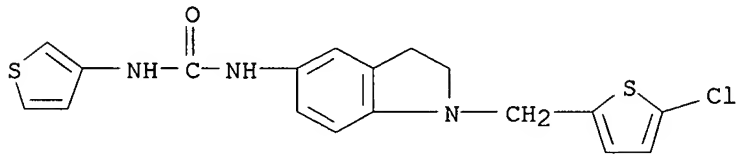
OS MARPAT 141:395417
AB Title compds. I [wherein R1, R1' = H, (cyclo)alk(en/yn)yl, or they together with the carbon they are attached form a ring; B = (U)s, and B is substituted on the 4- or 6-position of the indol(in)e ring; s = 0-1; U = O, S, SO2; R2 = H, (cyclo)alk(en/yn)yl, cyano, NO2; A = (Z)q; q = 0-1; Z = O or S; X = CO, SO2; with the proviso that q is 0 when X is SO2; R3 = (cyclo)alky(en/yn)yl; etc., with the exclusion of six compds., and pharmaceutically acceptable salts thereof] were prepared as openers of the KCNQ family potassium channels. Also disclosed are pharmaceutical compns. comprising I and uses of I for the prevention, treatment or inhibition of a disorder or condition, such as of the central nervous system, being responsive to an increased ion flow in a potassium channel. For example, indoline II was synthesized via reductive amination of N-(4-chloro-2,3-dihydro-1H-indol-5-yl)-3,3-dimethylbutyramide (preparation given) with 4-trifluoromethylbenzaldehyde in 99% yield. Compds. I were tested in several biol. models, and found to have EC50 values of <20000 nM by determining the relative efflux through KCNQ2 channel.
IT 790677-77-9P, 1-[1-(5-Chlorothiophen-2-ylmethyl)-2,3-dihydro-1H-indol-5-yl]-3-(thiophen-2-yl)urea 790677-78-0P, 1-[1-(5-Chlorothiophen-2-ylmethyl)-2,3-dihydro-1H-indol-5-yl]-3-(thiophen-3-yl)urea

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(KCNQ opener; preparation of indoline and indole derivs. as openers of the KCNQ family potassium channels)

RN 790677-77-9 CAPLUS
CN Urea, N-[1-[(5-chloro-2-thienyl)methyl]-2,3-dihydro-1H-indol-5-yl]-N'-2-thienyl- (9CI) (CA INDEX NAME)



RN 790677-78-0 CAPLUS
CN Urea, N-[1-[(5-chloro-2-thienyl)methyl]-2,3-dihydro-1H-indol-5-yl]-N'-3-thienyl- (9CI) (CA INDEX NAME)



RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

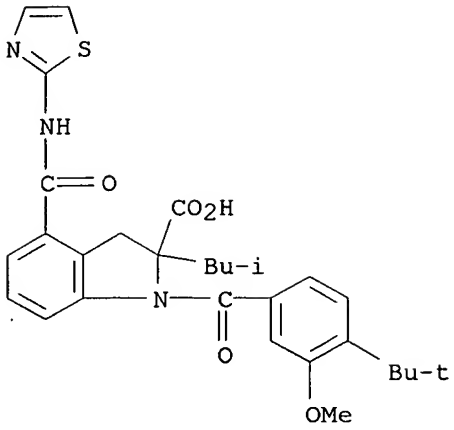
L8 ANSWER 10 OF 49 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:965054 CAPLUS
DN 141:395431
TI Preparation of acylated indoline and tetrahydroquinoline derivatives as hepatitis C virus (HCV) inhibitors
IN Bravi, Gianpaolo; Grimes, Richard Martin; Guidetti, Rossella; Haigh, David; Hartley, Charles David; Mordaunt, Jacqueline Elizabeth; Shah, Pritom; Slater, Martin John
PA Glaxo Group Limited, UK
SO PCT Int. Appl., 100 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004096210	A1	20041111	WO 2004-EP4663	20040429

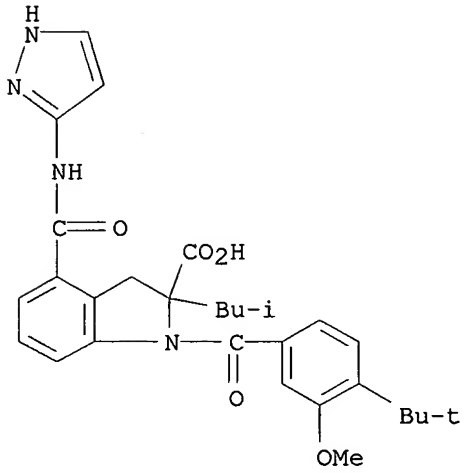
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI	GB 2003-10066	A	20030501
	GB 2003-10070	A	20030501
	GB 2004-4215	A	20040225

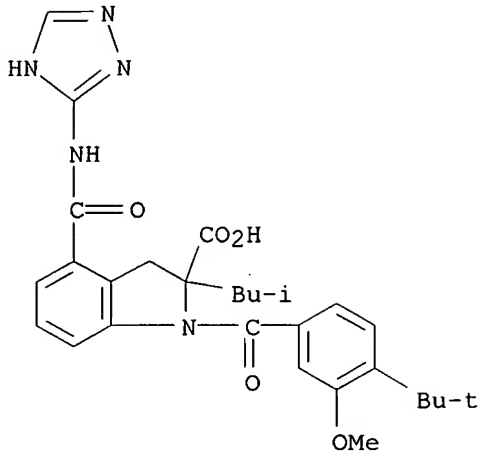
OS MARPAT 141:395431
AB Title compds. I [wherein A = (CR7R8)n; R1 = COOH or amide; R2 = (un)substituted alkyl; R3 = (hetero)aryl; R4 = one or two independent H, alkyl, halo, nitro, cyano, CF3, aryl, etc.; R5-R8 = H, alkyl, or (hetero)aryl; n = 0 or 1, and salts, solvates and esters (R1 = ester) thereof, with exclusion of three compds.] were prepared as hepatitis C virus (HCV) inhibitors. Also disclosed are the processes for the prepns. of I, pharmaceutical formulations comprising I and use of I in HCV treatment. Thus, Me indoline-2-carboxylate was acylated with 3-methoxy-4-tert-butylbenzoyl chloride followed by alkylation with benzyl bromide using LiHMDS as base to give ester II (R = OMe). Basic hydrolysis of this ester afforded II (R = OH). The exemplified compds. I (no indication) had IC50 values of <35 μM inhibition activity against HCV RNA-dependent RNA polymerase.
IT 790226-81-2P 790226-82-3P 790226-83-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(HCV inhibitor; preparation of acylated indoline and tetrahydroquinoline derivs. as hepatitis C virus (HCV) inhibitors)
RN 790226-81-2 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[4-(1,1-dimethylethyl)-3-methoxybenzoyl]-2,3-dihydro-2-(2-methylpropyl)-4-[(2-thiazolylamino)carbonyl]- (9CI) (CA INDEX NAME)



RN 790226-82-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[4-(1,1-dimethylethyl)-3-methoxybenzoyl]-2,3-dihydro-2-(2-methylpropyl)-4-[(1H-pyrazol-3-ylamino)carbonyl]- (9CI) (CA INDEX NAME)



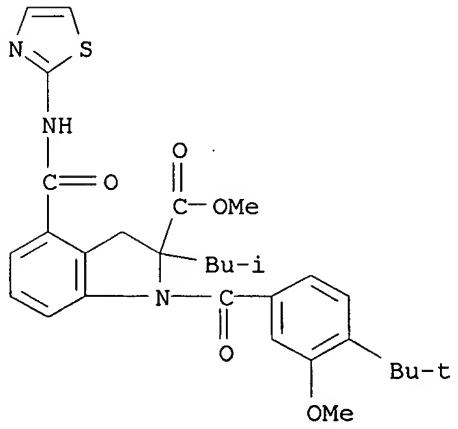
RN 790226-83-4 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[4-(1,1-dimethylethyl)-3-methoxybenzoyl]-2,3-dihydro-2-(2-methylpropyl)-4-[(1H-1,2,4-triazol-3-ylamino)carbonyl]- (9CI) (CA INDEX NAME)



IT 790226-09-4P, Methyl 1-[[4-(1,1-dimethylethyl)-3-(methyloxy)phenyl]carbonyl]-2-(2-methylpropyl)-4-[[(1,3-thiazol-2-yl)amino]carbonyl]-2,3-dihydro-1H-indole-2-carboxylate
790226-10-7P, Methyl 1-[[4-(1,1-dimethylethyl)-3-(methyloxy)phenyl]carbonyl]-2-(2-methylpropyl)-4-[[(1H-pyrazol-3-yl)amino]carbonyl]-2,3-dihydro-1H-indole-2-carboxylate
790226-11-8P, Methyl 1-[[4-(1,1-dimethylethyl)-3-(methyloxy)phenyl]carbonyl]-2-(2-methylpropyl)-4-[[(1H-1,2,4-triazol-3-yl)amino]carbonyl]-2,3-dihydro-1H-indole-2-carboxylate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of acylated indoline and tetrahydroquinoline derivs. as hepatitis C virus (HCV) inhibitors)

RN 790226-09-4 CAPLUS

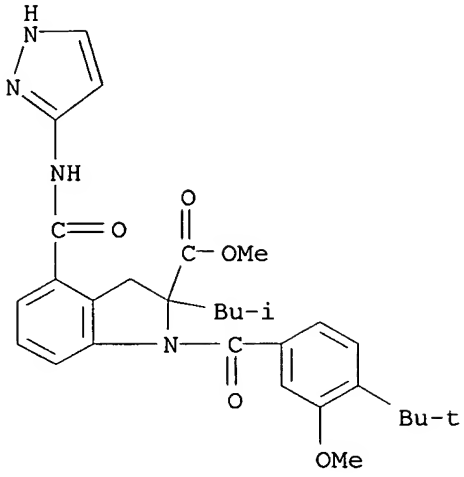
CN 1H-Indole-2-carboxylic acid, 1-[4-(1,1-dimethylethyl)-3-methoxybenzoyl]-2,3-dihydro-2-(2-methylpropyl)-4-[(2-thiazolylamino)carbonyl]-, methyl ester (9CI) (CA INDEX NAME)



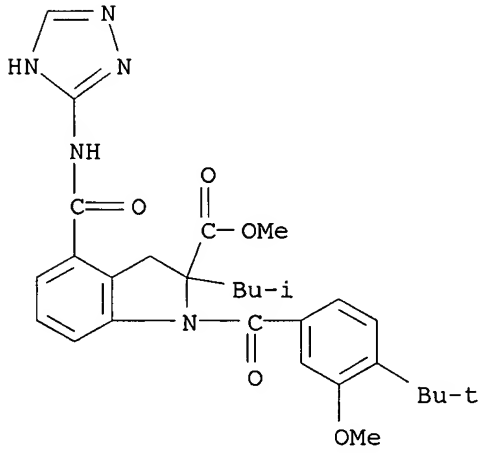
RN 790226-10-7 CAPLUS

CN 1H-Indole-2-carboxylic acid, 1-[4-(1,1-dimethylethyl)-3-methoxybenzoyl]-2,3-dihydro-2-(2-methylpropyl)-4-[(1H-pyrazol-3-ylamino)carbonyl]-, methyl

ester (9CI) (CA INDEX NAME)



RN 790226-11-8 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[4-(1,1-dimethylethyl)-3-methoxybenzoyl]-2,3-dihydro-2-(2-methylpropyl)-4-[(1H-1,2,4-triazol-3-ylamino)carbonyl]-, methyl ester (9CI) (CA INDEX NAME)



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 11 OF 49 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:902092 CAPLUS
DN 141:366467
TI Preparation of somatostatin-dopamine chimeric analogs
IN Dong, Zheng Xin; Dewitt Culler, Michael; Shen, Yeelana
PA Societe de Conseils de Recherches et d'Applications Scientifiques S.a.S., Fr.
SO PCT Int. Appl., 138 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004091490	A2	20041028	WO 2004-US10891	20040408
	WO 2004091490	A3	20050818		
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	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2004229437	A1	20041028	AU 2004-229437	20040408
	CA 2521965	AA	20041028	CA 2004-2521965	20040408
	EP 1617856	A2	20060125	EP 2004-759301	20040408
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
	BR 2004009359	A	20060425	BR 2004-9359	20040408
	CN 1771049	A	20060510	CN 2004-80009593	20040408
	NO 2005004371	A	20051219	NO 2005-4371	20050921
	US 2006063704	A1	20060323	US 2005-262403	20051028
PRAI	US 2003-462374P	P	20030411		
	WO 2004-US10891	W	20040408		

OS MARPAT 141:366467
AB The invention features somatostatin-dopamine chimeric analogs, e.g., I [X = H, Cl, Br, I, F, -CN, (un)substituted (hetero)alkyl, alkenyl or alkynyl; R1, R4 = H, (un)substituted (hetero)alkyl, alkenyl or alkynyl; R2, R3 = H or absent and a double bond is present between the carbon atoms to which they are attached; Y = O, CO, S, S(CH2)8CO, SO, SO2, SCO, OCO, imino or iminocarbonyl; m = 0 or 1; n = 0-10; L = (un)substituted alkylene(di)carbonyl; W is (un)substituted alkylene; Z = is a ligand of at least one somatostatin receptor; p is 1-10] or their pharmaceutically-acceptable salts, and methods relating to their therapeutic use for the treatment of neoplasia, acromegaly, and other conditions. The chimeric analogs comprise at least one moiety which binds to one or more somatostatin receptor(s) and at least one moiety which binds to one or more dopamine receptor(s). An example is Dop2-D-Lys(Dop2)-D-Phe-cyclo[Cys-3ITyr-Lys-Thr-Cys]-Thr-NH2 (Dop2 = structure II; 3ITyr = 3-iodotyrosine), which was prepared by the solid-phase method using Fmoc chemical
IT 778629-16-6P 778629-34-8P 778629-52-0P
778629-77-9P 778630-04-9P 778630-48-1P
778630-68-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

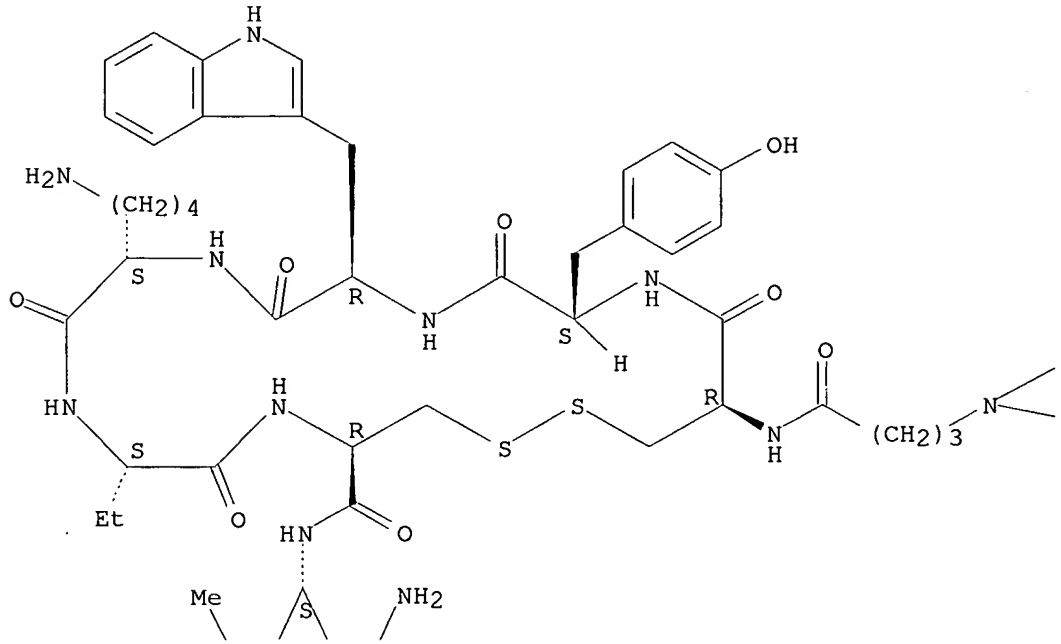
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of somatostatin-dopamine chimeric analogs)

RN 778629-16-6 CAPLUS

CN L-Threoninamide, N-[4-[[2-(2,3-dihydro-2-oxo-1H-indol-4-yl)ethyl]ethylamino]-1-oxobutyl]-L-cysteinyl-L-tyrosyl-D-tryptophyl-L-lysyl-(2S)-2-aminobutanoyl-L-cysteinyl-, cyclic (1→6)-disulfide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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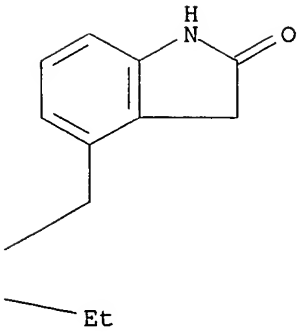
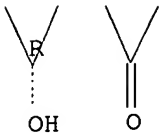


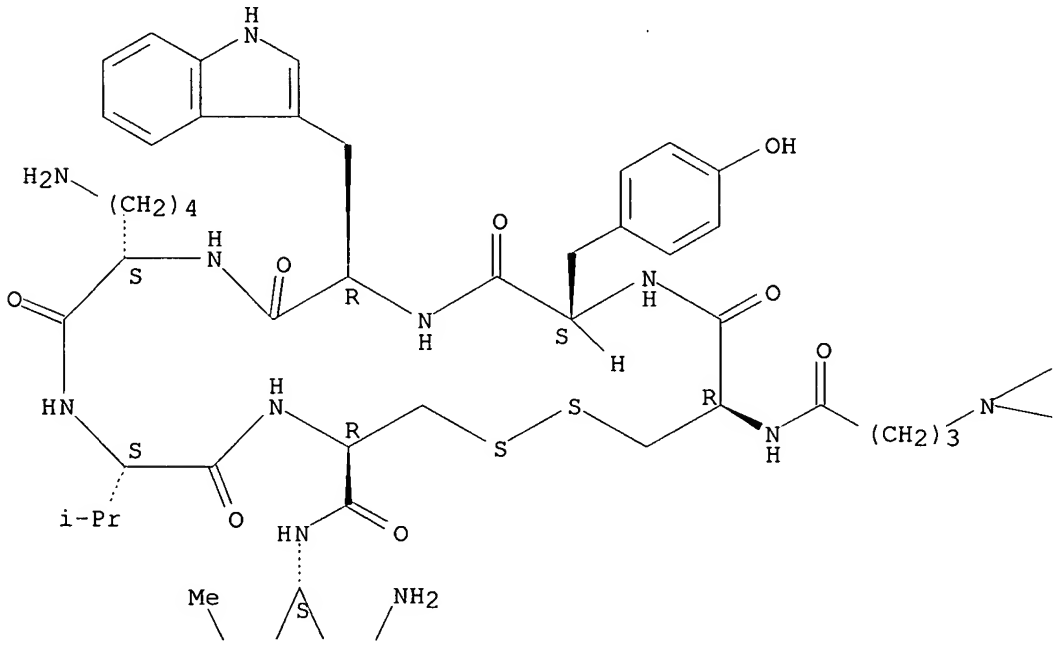
PAGE 2-A



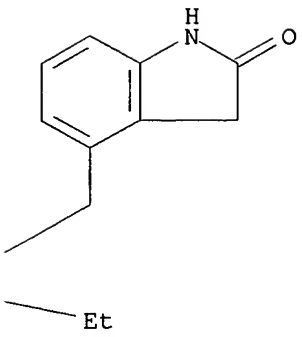
RN 778629-34-8 CAPLUS
CN L-Threoninamide, N-[4-[[2-(2,3-dihydro-2-oxo-1H-indol-4-yl)ethyl]ethylamino]-1-oxobutyl]-L-cysteinyl-L-tyrosyl-D-tryptophyl-L-lysyl-L-valyl-L-cysteinyl-, cyclic (1→6)-disulfide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

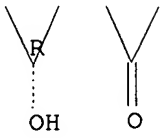
PAGE 1-A



PAGE 1-B



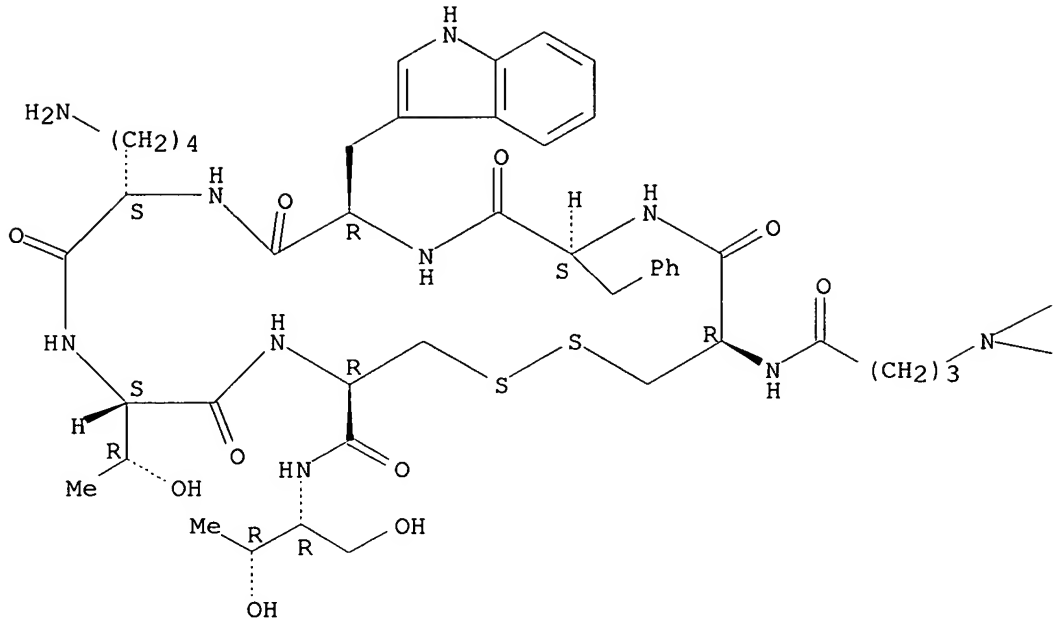
PAGE 2-A



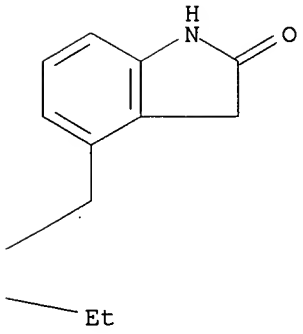
RN 778629-52-0 CAPLUS
CN L-Cysteinamide, N-[4-[[2-(2,3-dihydro-2-oxo-1H-indol-4-yl)ethyl]ethylamino]-1-oxobutyl]-L-cysteinyl-L-phenylalanyl-D-tryptophyl-L-lysyl-L-threonyl-N-[(1R,2R)-2-hydroxy-1-(hydroxymethyl)propyl]-, cyclic (1→6)-disulfide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



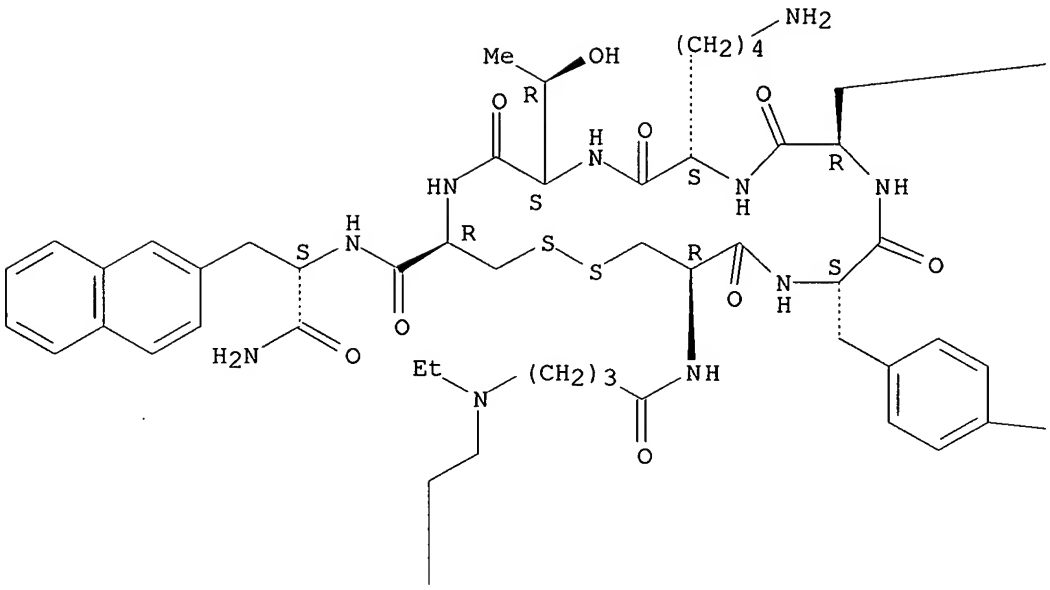
PAGE 1-B



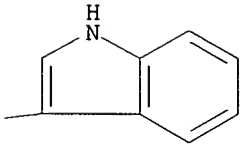
RN 778629-77-9 CAPLUS
CN L-Alaninamide, N-[4-[[2-(2,3-dihydro-2-oxo-1H-indol-4-yl)ethyl]ethylamino]-1-oxobutyl]-L-cysteinyl-L-tyrosyl-D-tryptophyl-L-lysyl-L-threonyl-L-cysteinyl-3-(2-naphthalenyl)-, cyclic (1→6)-disulfide (9CI) (CA INDEX NAME)

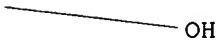
Absolute stereochemistry.

PAGE 1-A

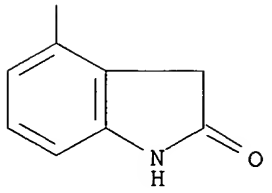


PAGE 1-B





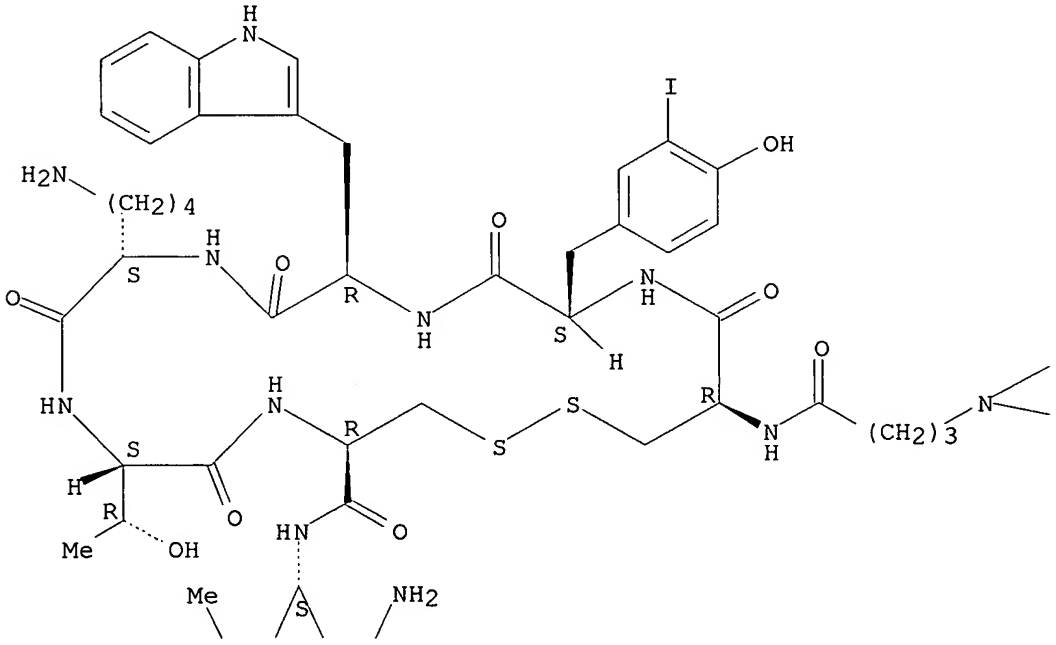
PAGE 2-A



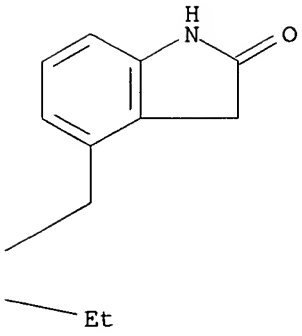
RN 778630-04-9 CAPLUS
CN L-Threoninamide, N-[4-[[2-(2,3-dihydro-2-oxo-1H-indol-4-yl)ethyl]ethylamino]-1-oxobutyl]-L-cysteinyl-3-iodo-L-tyrosyl-D-tryptophyl-L-lysyl-L-threonyl-L-cysteinyl-, cyclic (1→6)-disulfide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

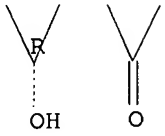
PAGE 1-A



PAGE 1-B



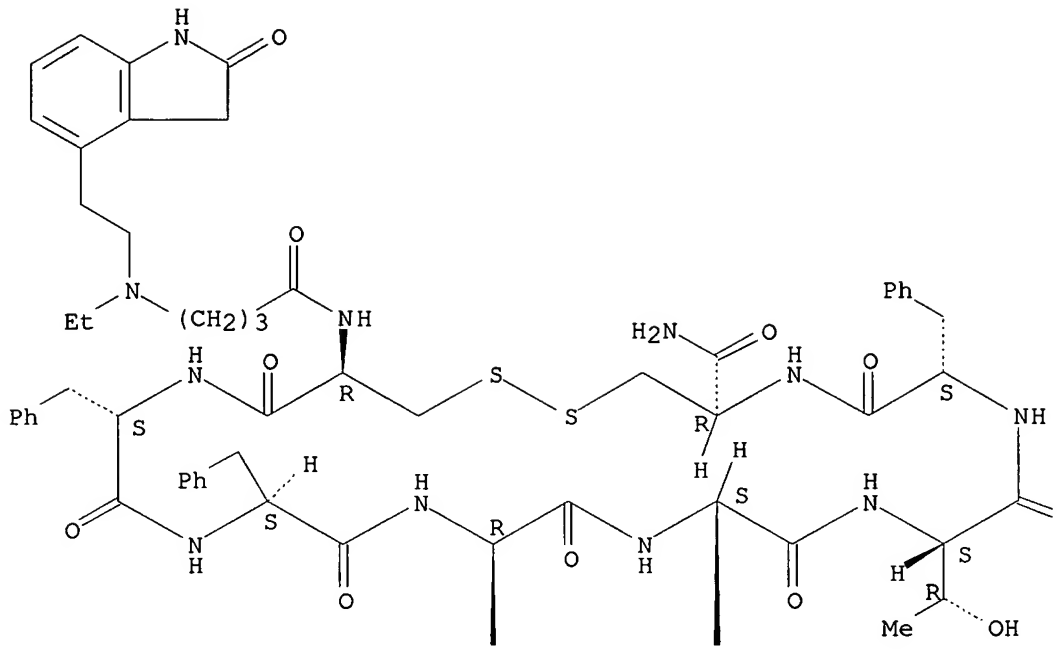
PAGE 2-A



RN 778630-48-1 CAPLUS
CN L-Cysteinamide, N-[4-[[2-(2,3-dihydro-2-oxo-1H-indol-4-yl)ethyl]ethylamino]-1-oxobutyl]-L-cysteinyl-L-phenylalanyl-L-phenylalanyl-D-tryptophyl-L-lysyl-L-threonyl-L-phenylalanyl-, cyclic (1→8)-disulfide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

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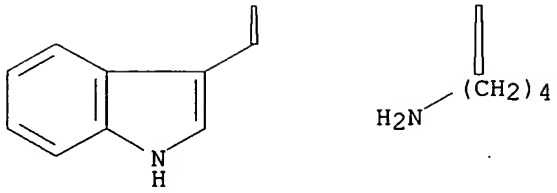
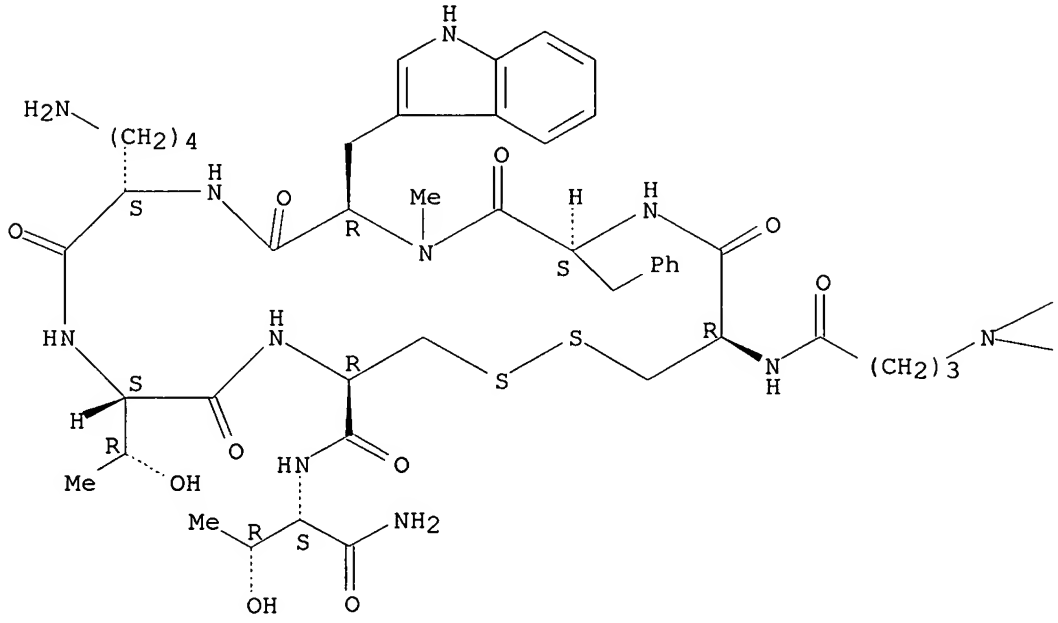
PAGE 2-A



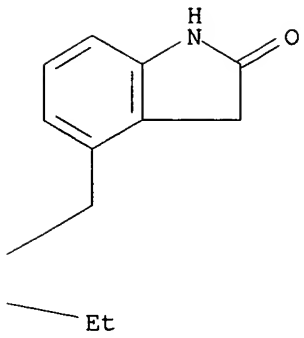
RN 778630-68-5 CAPLUS
CN L-Threoninamide, N-[4-[[2-(2,3-dihydro-2-oxo-1H-indol-4-yl)ethyl]ethylamino]-1-oxobutyl]-L-cysteinyl-L-phenylalanyl-N-methyl-D-tryptophyl-L-lysyl-L-threonyl-L-cysteinyl-, cyclic (1→6)-disulfide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



L8 ANSWER 12 OF 49 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:878168 CAPLUS
DN 141:360665
TI Synergistic methods and compositions using insulin-like growth factor 1 receptor (IGF1R) inhibitors with additional kinase inhibitors for treating cancer
IN Carboni, Joan M.; Hurlburt, Warren W.; Gottardis, Marco M.; Lee, Francis Y.
PA USA
SO U.S. Pat. Appl. Publ., 66 pp., Cont.-in-part of U.S. Ser. No. 676,214. CODEN: USXXCO
DT Patent
LA English
FAN.CNT 3

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2004209930	A1	20041021	US 2004-814199	20040331
CA 2500714	AA	20040415	CA 2003-2500714	20031001
US 2004072760	A1	20040415	US 2003-677067	20031001
AU 2003275364	A1	20040423	AU 2003-275364	20031001
US 2004106605	A1	20040603	US 2003-676214	20031001
EP 1551411	A2	20050713	EP 2003-759640	20031001
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006503867	T2	20060202	JP 2004-541997	20031001
WO 2005094376	A2	20051013	WO 2005-US10820	20050330
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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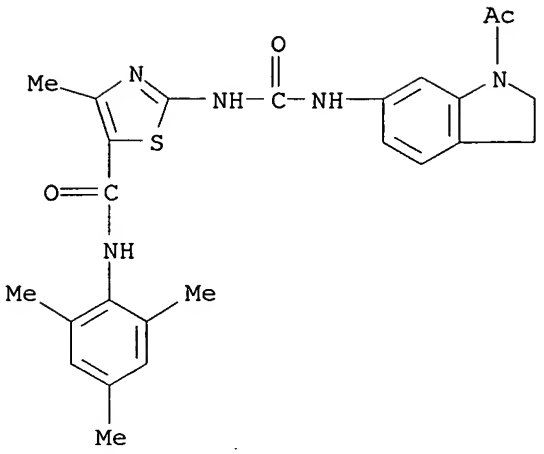
PRAI US 2002-415416P	P	20021002		
US 2003-676214	A2	20031001		
US 2003-677067	A2	20031001		
WO 2003-US31091	W	20031001		
US 2004-814199	A	20040331		

OS MARPAT 141:360665
AB Combination therapies using IGF1R inhibitors in combination with addnl. kinase inhibitors are described for the synergistic treatment of cancer.
IT 302960-71-0
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(IGF1 receptor inhibitors with addnl. kinase inhibitors for synergistic treatment of cancer)
RN 302960-71-0 CAPLUS
CN 5-Thiazolecarboxamide, 2-[[[(1-acetyl-2,3-dihydro-1H-indol-6-yl)amino]carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)- (9CI) (CA INDEX NAME)


Ac
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S
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Me
Me

L8 ANSWER 13 OF 49 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:675717 CAPLUS
DN 141:207067
TI Quinoline-derived amide modulators of vanilloid VR1 receptor, and their preparation, pharmaceutical compositions, and methods of use in the treatment of pain, inflammatory, and pulmonary conditions
PA Janssen Pharmaceutica N.V., Belg.
SO PCT Int. Appl., 245 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004069792	A2	20040819	WO 2004-IB785	20040202
	WO 2004069792	C1	20041104		
	WO 2004069792	A3	20050120		
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	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2004209456	A1	20040819	AU 2004-209456	20040202
	CA 2514940	AA	20040819	CA 2004-2514940	20040202
	US 2004192728	A1	20040930	US 2004-770204	20040202
	EP 1603883	A2	20051214	EP 2004-707270	20040202
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
PRAI	US 2003-444442P	P	20030203		
	US 2004-770204	A	20040202		
	WO 2004-IB785	W	20040202		

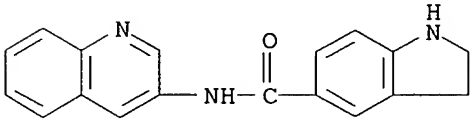
OS MARPAT 141:207067
AB The invention is directed to vanilloid receptor VR1 ligands. More particularly, the invention relates to quinoline-derived amides I [wherein: R1 = H, OH, halo, alkyl (optionally substituted by halo, fluoroalkyl, or alkoxy), alkoxy (optionally substituted as above), fluoroalkyl(oxy), alkylthio (optionally substituted as above), cycloalkyl(oxy/amino), NO2, (di)(alkyl)amino, cyano, CO2H, alkoxycarbonyl, alkylcarbonyloxy, (di)alkylaminocarbonyl, alkylcarbonylamino, and CHO; quinoline N may bear oxide; m = 0, 1, or 2; R2 = H or alkyl; L = bond or C1-4 alkanediyl (optionally substituted with alkyl, cycloalkyl, or Ph (optionally substituted with 1-3 of alkyl, halo, alkoxy, OH, fluoroalkyl(oxy), or (di)(alkyl)amino)); R3 = pyrrolyl, pyridyl, furyl, thienyl, Ph, or cyclohexyl; R4 = alkyl(oxy), cycloalkoxy, (cyclo)alkylamino, cyclic heteroalkyl, fluoroalkyl, or -N(R5)(R6); or when n = 2 or 3, R4R4 = C3-14 cyclic (hetero)alkyl; R5 = H, alkyl, (alkyl/aryl)carbonyl; R6 = alkyl, alkylcarbonyl, alkyl substituted with pyrrolyl, pyridyl, furyl, thienyl, Ph, or furyl, or arylcarbonyl; or optionally R6R4 = (un)saturated cyclic heteroalkyl or heteroaryl; or R5R6 = (non)bridged cyclic heteroalkyl optionally substituted with alkylcarbonyl; n = 1-3; Z = O or S; alkyls in R4/R5/R6 may bear addnl. heterocycles; and stereoisomers, tautomers, solvates, or salts]. I are potent antagonists or agonists of VR1, and are useful for the treatment and prevention of inflammatory and other pain conditions in mammals. Claims cover treatment or prevention of a wide variety of painful and inflammatory conditions,

including pulmonary dysfunctions, and in particular ulcerative colitis. Approx. 300 compds. I were prepared and/or are cited individually in claims. Approx. 170 compds. I were subjected to VF1 binding and/or functional bioassays. For instance, invention compound II, namely 4-(N-methyl-N-phenethylamino)-N-quinolin-3-ylbenzamide, was prepared in 4 steps as follows. Amidation of 4-(Boc-amino)benzoic acid with quinolin-3-ylamine using HBTU, and deprotection with TFA, gave 4-amino-N-quinolin-3-ylbenzamide. Reductive alkylation of this amine, first with PhCH2CHO and then with paraformaldehyde, using (Me4N)BH(OAc)3 as the reducing agent, gave II. The similarly prepared invention compound III had a Ki of 10.3 nM in an assay for binding of 3H-RTX to human VR1 expressed in HEK293 cells. In a similarly based FLIPR functional assay, III had an IC50 of 22.0 nM at 60 min. In a mouse colitis model, induced with dextran sulfate sodium, III gave significant inhibition of colon weight loss and shrinkage. Other example compds. I were active in a mouse hot plate assay and a guinea pig bronchial ring constriction assay.

IT 742695-79-0P, 2,3-Dihydro-1H-indole-5-carboxylic acid N-(quinolin-3-yl)amide
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of quinoline amide modulators of vanilloid VR1 receptor as analgesics and antiinflammatories)

RN 742695-79-0 CAPLUS

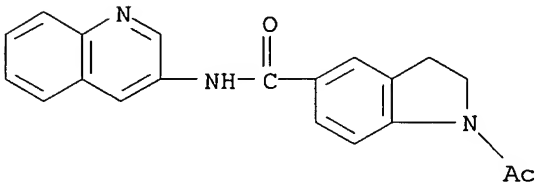
CN 1H-Indole-5-carboxamide, 2,3-dihydro-N-3-quinolinyl- (9CI) (CA INDEX NAME)



IT 742695-62-1P, 1-Acetyl-2,3-dihydro-1H-indole-5-carboxylic acid N-(quinolin-3-yl)amide 742695-63-2P, 1-Benzoyl-2,3-dihydro-1H-indole-5-carboxylic acid N-(quinolin-3-yl)amide 742695-64-3P, 1-Butyryl-2,3-dihydro-1H-indole-5-carboxylic acid N-(quinolin-3-yl)amide 742695-65-4P, 1-(Cyclohexylcarbonyl)-2,3-dihydro-1H-indole-5-carboxylic acid N-(quinolin-3-yl)amide 742695-66-5P, 1-Cyclohexyl-2,3-dihydro-1H-indole-5-carboxylic acid N-(quinolin-3-yl)amide 742695-69-8P, 1-Methyl-2,3-dihydro-1H-indole-5-carboxylic acid N-(quinolin-3-yl)amide 742695-72-3P, 1-Pentyl-2,3-dihydro-1H-indole-5-carboxylic acid N-(quinolin-3-yl)amide 742695-73-4P, 1-Propyl-2,3-dihydro-1H-indole-5-carboxylic acid N-(quinolin-3-yl)amide 742695-85-8P, 3-(1-Cyclohexyl-2,3-dihydro-1H-indol-5-yl)-N-quinolin-3-ylpropionamide 742695-86-9P, 3-(1-Cyclohexylmethyl-2,3-dihydro-1H-indol-5-yl)-N-quinolin-3-ylpropionamide 742695-88-1P, 3-(1-Propyl-2,3-dihydro-1H-indol-5-yl)-N-quinolin-3-ylpropionamide 742697-38-7P, 3-(1-Cyclohexyl-2,3-dihydro-1H-indol-5-yl)-N-quinolin-3-ylacrylamide 742697-39-8P, 1-Cyclohexyl-2,3-dihydro-1H-indole-5-carboxylic acid N-(2-chloroquinolin-3-yl)amide 742697-40-1P, N-(2-Chloroquinolin-3-yl)-3-(1-cyclohexyl-2,3-dihydro-1H-indol-5-yl)acrylamide 742698-26-6P, 1-Cyclohexyl-2,3-dihydro-1H-indole-5-carboxylic acid N-(4-chloroquinolin-3-yl)amide 742698-27-7P, 1-Cyclohexyl-2,3-dihydro-1H-indole-5-carboxylic acid N-(4-chloroquinolin-3-yl)amide hydrochloride 742698-85-7P, 1-Cyclohexyl-2,3-dihydro-1H-

indole-5-carboxylic acid N-(4-chloroquinolin-3-yl)amide trifluoroacetate
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of quinoline amide modulators of vanilloid VR1 receptor as analgesics and antiinflammatories)

RN 742695-62-1 CAPLUS
CN 1H-Indole-5-carboxamide, 1-acetyl-2,3-dihydro-N-3-quinolinyl- (9CI) (CA INDEX NAME)



RN 742695-63-2 CAPLUS
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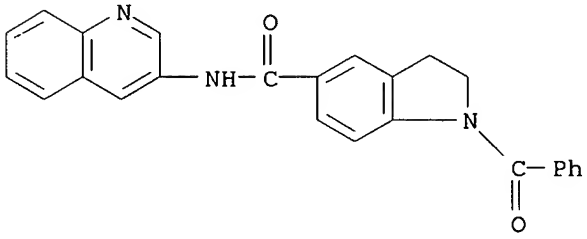
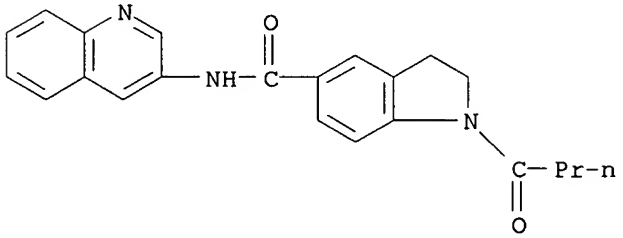
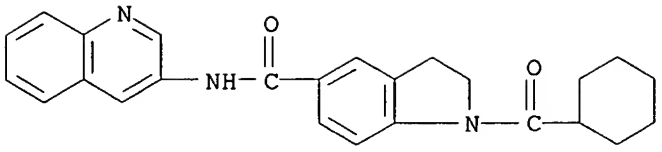


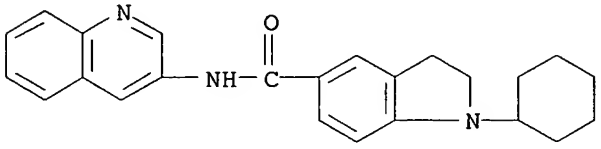
RN 742695-64-3 CAPLUS
CN 1H-Indole-5-carboxamide, 2,3-dihydro-1-(1-oxobutyl)-N-3-quinolinyl- (9CI) (CA INDEX NAME)



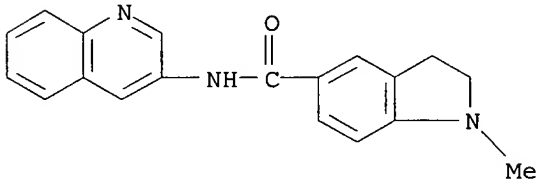
RN 742695-65-4 CAPLUS
CN 1H-Indole-5-carboxamide, 1-(cyclohexylcarbonyl)-2,3-dihydro-N-3-quinolinyl- (9CI) (CA INDEX NAME)



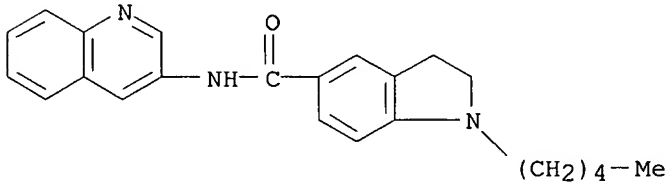
RN 742695-66-5 CAPLUS
CN 1H-Indole-5-carboxamide, 1-cyclohexyl-2,3-dihydro-N-3-quinolinyl- (9CI) (CA INDEX NAME)



RN 742695-69-8 CAPLUS
CN 1H-Indole-5-carboxamide, 2,3-dihydro-1-methyl-N-3-quinolinyl- (9CI) (CA INDEX NAME)



RN 742695-72-3 CAPLUS
CN 1H-Indole-5-carboxamide, 2,3-dihydro-1-pentyl-N-3-quinolinyl- (9CI) (CA INDEX NAME)



RN 742695-73-4 CAPLUS
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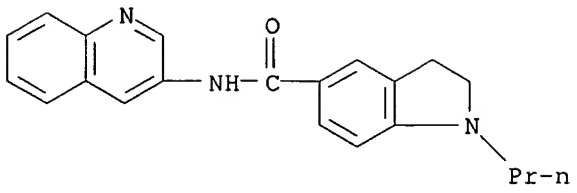
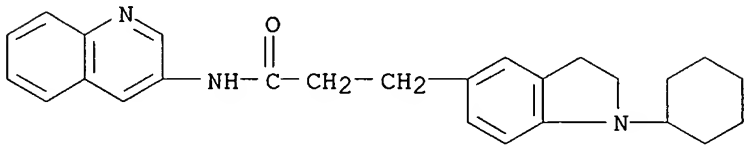
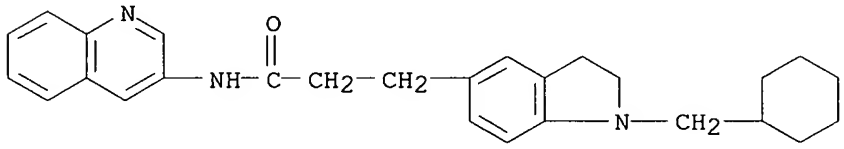


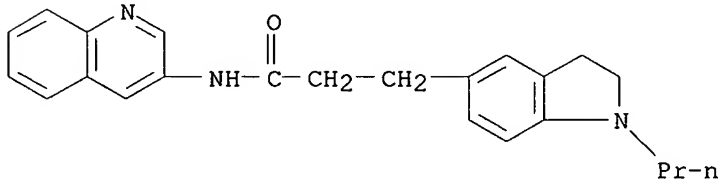
RN 742695-85-8 CAPLUS
CN 1H-Indole-5-propanamide, 1-cyclohexyl-2,3-dihydro-N-3-quinolinyl- (9CI) (CA INDEX NAME)



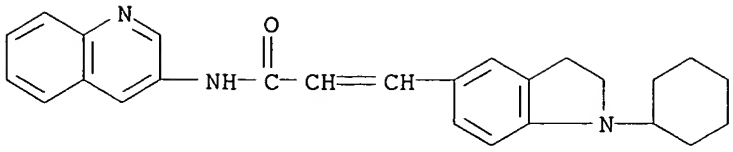
RN 742695-86-9 CAPLUS
CN 1H-Indole-5-propanamide, 1-(cyclohexylmethyl)-2,3-dihydro-N-3-quinolinyl- (9CI) (CA INDEX NAME)



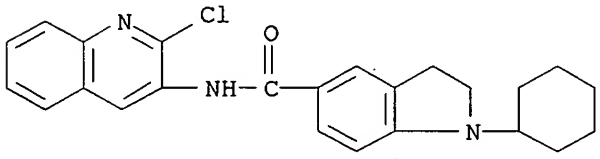
RN 742695-88-1 CAPLUS
CN 1H-Indole-5-propanamide, 2,3-dihydro-1-propyl-N-3-quinolinyl- (9CI) (CA INDEX NAME)



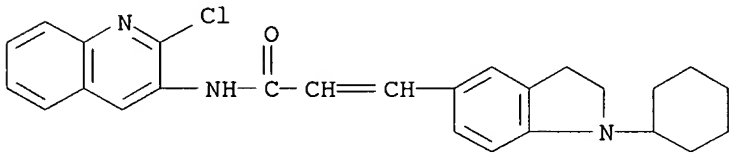
RN 742697-38-7 CAPLUS
CN 2-Propenamide, 3-(1-cyclohexyl-2,3-dihydro-1H-indol-5-yl)-N-3-quinolinyl- (9CI) (CA INDEX NAME)



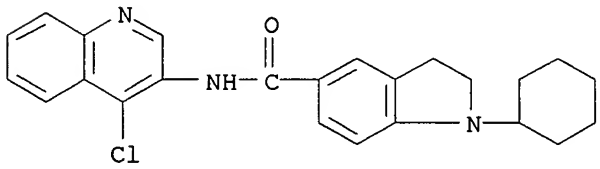
RN 742697-39-8 CAPLUS
CN 1H-Indole-5-carboxamide, N-(2-chloro-3-quinolinyl)-1-cyclohexyl-2,3-dihydro- (9CI) (CA INDEX NAME)



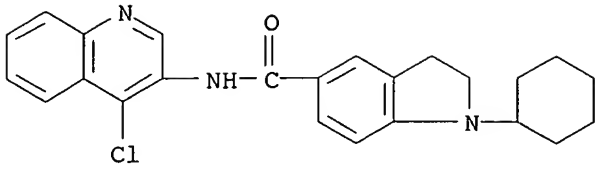
RN 742697-40-1 CAPLUS
CN 2-Propenamide, N-(2-chloro-3-quinolinyl)-3-(1-cyclohexyl-2,3-dihydro-1H-indol-5-yl)- (9CI) (CA INDEX NAME)



RN 742698-26-6 CAPLUS
CN 1H-Indole-5-carboxamide, N-(4-chloro-3-quinolinyl)-1-cyclohexyl-2,3-dihydro- (9CI) (CA INDEX NAME)



RN 742698-27-7 CAPLUS
CN 1H-Indole-5-carboxamide, N-(4-chloro-3-quinolinyl)-1-cyclohexyl-2,3-dihydro-, hydrochloride (9CI) (CA INDEX NAME)

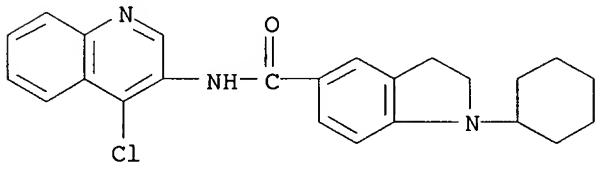


● x HCl

RN 742698-85-7 CAPLUS
CN 1H-Indole-5-carboxamide, N-(4-chloro-3-quinolinyl)-1-cyclohexyl-2,3-dihydro-, trifluoroacetate (9CI) (CA INDEX NAME)

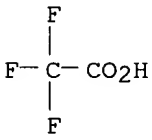
CM 1

CRN 742698-26-6
CMF C24 H24 Cl N3 O

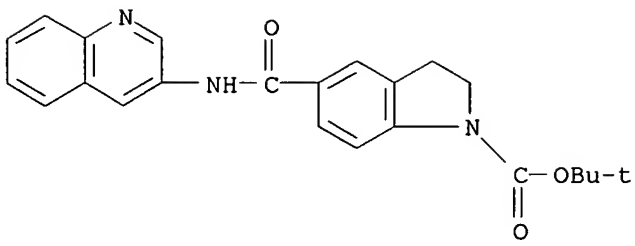


CM 2

CRN 76-05-1
CMF C2 H F3 O2

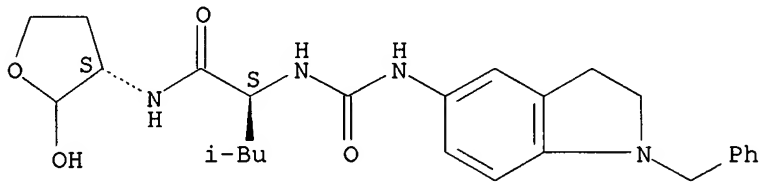


IT 742698-98-2P, 5-(Quinolin-3-ylcarbamoyl)-2,3-dihydroindole-1-carboxylic acid tert-butyl ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of quinoline amide modulators of vanilloid VR1 receptor as analgesics and antiinflammatories)
RN 742698-98-2 CAPLUS
CN 1H-Indole-1-carboxylic acid, 2,3-dihydro-5-[(3-quinolinylamino)carbonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)


N
O
NH
C
N
C
OBu-t
O

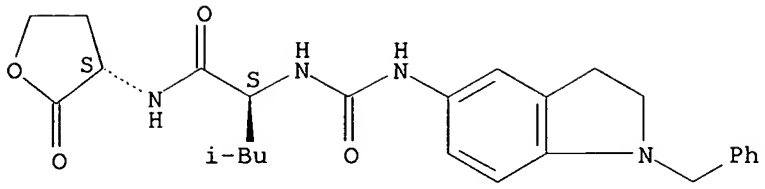
L8 ANSWER 14 OF 49 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:498592 CAPLUS
DN 141:207514
TI Novel dual inhibitors of calpain and lipid peroxidation
AU Auvin, Serge; Pignol, Bernadette; Navet, Edith; Pons, Dominique; Marin, Jean-G.; Bigg, Dennis; Chabrier, Pierre-E.
CS Department of Medicinal Chemistry, Ipsen Research Laboratories, Institut Henri Beaufour, Les Ulis, 91966, Fr.
SO Bioorganic & Medicinal Chemistry Letters (2004), 14(14), 3825-3828
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science B.V.
DT Journal
LA English
OS CASREACT 141:207514
AB A series of mols. I (R1 = phenothiazin-1-yl, phenothiazin-2-yl, 1-benzyl-5-indolinylamino, etc., R2 = H; R1 = phenothiazin-2-yl, R2 = MeCO) with dual inhibitory activities on calpain and lipid peroxidn. were synthesized. These hybrid compds. were built on the calpain pharmacophore 2-hydroxytetrahydrofuran linked to a set of antioxidants via a L-leucine linker. I (R1 = phenothiazin-2-yl, R2 = MeCO), the most potent in cellular calpain and lipid peroxidn. inhibitions, provided effective protection against glial cell death induced by maitotoxin.
IT 741692-11-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of (peptidyl)(hydroxy)tetrahydrofurans as dual inhibitors of calpain and lipid peroxidn.)
RN 741692-11-5 CAPLUS
CN Pentanamide, 2-[[[[2,3-dihydro-1-(phenylmethyl)-1H-indol-5-yl]amino]carbonyl]amino]-4-methyl-N-[(3S)-tetrahydro-2-hydroxy-3-furanyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 741692-09-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of (peptidyl)(hydroxy)tetrahydrofurans as dual inhibitors of calpain and lipid peroxidn.)
RN 741692-09-1 CAPLUS
CN Pentanamide, 2-[[[[2,3-dihydro-1-(phenylmethyl)-1H-indol-5-yl]amino]carbonyl]amino]-4-methyl-N-[(3S)-tetrahydro-2-oxo-3-furanyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 15 OF 49 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:220082 CAPLUS
DN 140:253556
TI Preparation of 5-thiazolecarboxamides as protein tyrosine kinase inhibitors
IN Das, Jagabandhu; Padmanabha, Ramesh; Chen, Ping; Norris, Derek J.; Doweyko, Arthur M. P.; Barrish, Joel C.; Wityak, John; Lombardo, Louis J.; Lee, Francis Y. F.
PA USA
SO U.S. Pat. Appl. Publ., 184 pp., Cont.-in-part of U.S. 6,596,746.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2004054186	A1	20040318	US 2003-395503	20030324
	US 6596746	B1	20030722	US 2000-548929	20000413
	US 2004024208	A1	20040205	US 2003-378372	20030303
	US 6979694	B2	20051227		
	US 2004073026	A1	20040415	US 2003-378461	20030303
	US 7091223	B2	20060815		
	US 2004077875	A1	20040422	US 2003-378373	20030303
	AU 2004223828	A1	20041007	AU 2004-223828	20040323
	CA 2519898	AA	20041007	CA 2004-2519898	20040323
	WO 2004085388	A2	20041007	WO 2004-US8827	20040323
	WO 2004085388	A3	20050630		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	EP 1610780	A2	20060104	EP 2004-758053	20040323
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				
	BR 2004008782	A	20060328	BR 2004-8782	20040323
	CN 1764454	A	20060426	CN 2004-80007845	20040323
	US 2005261305	A1	20051124	US 2005-138793	20050525
	US 2005288303	A1	20051229	US 2005-138942	20050526
	NO 2005004359	A	20051019	NO 2005-4359	20050920
	US 2006079563	A1	20060413	US 2005-271626	20051110
PRAI	US 1999-129510P	P	19990415		
	US 2000-548929	A2	20000413		
	US 2003-378373	A1	20030303		
	US 2003-395503	A	20030324		
	WO 2004-US8827	W	20040323		

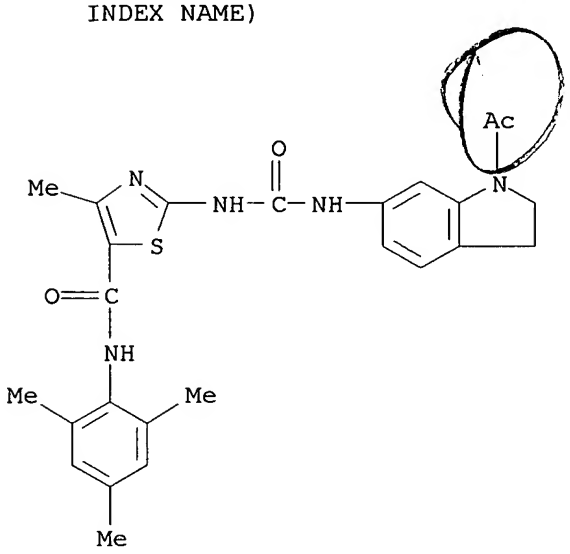
OS MARPAT 140:253556
AB The title compds. [I; Q = (un)substituted 5-6 membered heteroaryl, aryl; Z = a single bond, R15C:CH, (CH2)m (m = 1-2); X1, X2 = H; X1 and X2 together = O, S; R1 = H, alkyl, alkenyl, etc.; R2, R3 = H, alkyl, alkenyl, etc.; R4, R5 = H, alkyl, alkenyl, etc.], useful in the treatment of protein tyrosine kinase-associated disorders such as immunol. and oncol. disorders (

no data), were prepared E.g., a multi-step synthesis of thiazole II was given. Compds. I are effective at 0.1-100 mg/kg/day. The pharmaceutical composition comprising the title compds. is claimed.

IT 302960-71-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 5-thiazolecarboxamides as protein tyrosine kinase inhibitors)

RN 302960-71-0 CAPLUS

CN 5-Thiazolecarboxamide, 2-[[[(1-acetyl-2,3-dihydro-1H-indol-6-yl)amino]carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)- (9CI) (CA INDEX NAME)



L8 ANSWER 16 OF 49 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:532662 CAPLUS
DN 139:101026
TI Preparation of 2-oxindole derivs. as glycogen synthase kinase-3 (GSK3) inhibitors for use in pharmaceutical compositions for treatment of neurodegenerative diseases
IN Berg, Stefan; Bhat, Ratan; Edwards, Philip; Hellberg, Sven
PA Astrazeneca AB, Swed.
SO PCT Int. Appl., 43 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

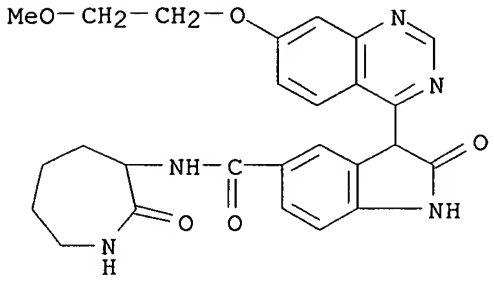
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003055877	A1	20030710	WO 2002-SE2371	20021218
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2002359162	A1	20030715	AU 2002-359162	20021218
	EP 1458711	A1	20040922	EP 2002-793676	20021218
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
	JP 2005516961	T2	20050609	JP 2003-556407	20021218
	US 2005222181	A1	20051006	US 2004-499388	20040617
PRAI	US 2001-344885P	P	20011221		
	WO 2002-SE2371	W	20021218		

OS MARPAT 139:101026
AB 2-Oxindoles, such as I [R = substituted- or unsubstituted-quinazolin-4-yl; R2 = OH, CH2F, CF3, OCF3, CN, NH2, NO2, alkyl, alkoxy, acyloxy, acyl, alkylthio, etc.; m = 0-4], were prepared for therapeutic use as GSK3 inhibitors. These oxindoles are intended for therapeutic use in the treatment of GSK3 associated diseases, such as Alzheimer's disease, dementia, Parkinson dementia complex of Guam, frontotemporal dementia Parkinson's type, HIV dementia, neurofibrillar tangle pathologies, predemented states, vascular dementia, dementia with Lewy bodies, dementia pugilistic and age related cognitive disorders, as well as for male contraception and treatment of diabetes, amyotrophic lateral sclerosis, corticobasal degeneration, Down's syndrome, Huntington's disease, Parkinson's disease, postencephelatic Parkinsonism, progressive supranuclear palsy, Pick's disease, Niemann-Pick's disease, stroke, head trauma, bipolar disease, affective disorders, depression, schizophrenia, cognitive disorders and androgenetic alopecia. Thus, oxindole II was prepared in 99% yield by a coupling reaction of Me 2-oxo-5-indolinecarboxylate with 4-chloro-7-(2-methoxyethoxy)quinazoline in DMF using NaH. The prepared oxindoles were tested for GSK3 inhibition using the GSK3β proximity assay.
IT 556824-46-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2-oxindole derivs. as glycogen synthase kinase-3 (GSK3) inhibitors for use in pharmaceutical compns. for treatment of neurodegenerative diseases)

RN 556824-46-5 CAPLUS

CN 1H-Indole-5-carboxamide, N-(hexahydro-2-oxo-1H-azepin-3-yl)-2,3-dihydro-3-[7-(2-methoxyethoxy)-4-quinazolinyl]-2-oxo- (9CI) (CA INDEX NAME)



RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 17 OF 49 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:434303 CAPLUS
DN 139:36445
TI Preparation of 2-aminoquinolines as melanin concentrating hormone receptor (MCH-1R) antagonists.
IN Devita, Robert J.; Chang, Lehua; Chaung, Danny; Hoang, Myle; Jiang, Jinlong; Lin, Peter; Sailer, Andreas W.; Young, Jonathan R.
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 178 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003045313	A2	20030605	WO 2002-US37556	20021122
	WO 2003045313	A3	20030904		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2468015	AA	20030605	CA 2002-2468015	20021122
	AU 2002352878	A1	20030610	AU 2002-352878	20021122
	EP 1450801	A2	20040901	EP 2002-789837	20021122
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
	JP 2005519876	T2	20050707	JP 2003-546818	20021122
	US 2005026915	A1	20050203	US 2004-496615	20040525
	US 7084156	B2	20060801		
PRAI	US 2001-333581P	P	20011127		
	WO 2002-US37556	W	20021122		

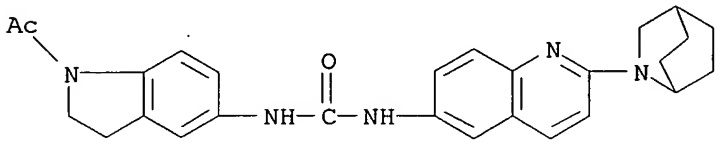
OS MARPAT 139:36445
AB Title compds. [I; R1, R2 = H, (substituted) alkyl, alkenyl, alkynyl, cycloalkylalkyl, aralkyl, etc.; R1R2N = 4-11 membered (bridged) (substituted) heterocyclyl; R3, R4 = H, halo, (substituted) alkyl, alkenyl, alkynyl, perfluoroalkyl, cycloalkyl, cycloalkylalkyl, aryl, aralkyl, heteroaralkyl, OR7, N(R7)2, cyano, etc.; R3R4 = atoms to form 5-7 membered (substituted) ring; R5 = H, halo, alkyl, perfluoroalkyl, OR7, N(R7)2; R6 = (CH2)nR7, (CH2)nCN, (CH2)nCO2R7, (CH2)nOR7, (CH2)nN(R7)2, etc.; R7 = H, alkyl, aryl, heteroaryl, cycloalkyl, aralkyl, aralkenyl, cycloalkylalkenyl, etc.; n = 0-5], were prepared for the treatment or prevention of obesity, eating disorders, osteoarthritis, cancer, AIDS wasting, cachexia, frailty, mental disorders, stress, cognitive disorders, sexual function, reproductive function, kidney function, locomotor disorders, attention deficit disorder (ADD), substance abuse disorders and dyskinesias, Huntington's disease, epilepsy, memory function, and spinal muscular atrophy. Thus, 2-piperidin-1-ylquinolin-6-amine and (2E)-3-(4-chlorophenyl)prop-2-enoyl chloride were stirred 3 h in HOAc to give (2E)-3-(4-chlorophenyl)-N-(2-piperidin-1-ylquinolin-6-yl)prop-2-enamide hydrochloride. I bound to MCH-1R receptors with IC50 = 0.1-10000 nM.
IT 539855-06-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(claimed compound; preparation of 2-aminoquinolines as melanin concentrating hormone receptor (MCH-1R) antagonists)

RN 539855-06-6 CAPLUS

CN 1H-Indol-5-amine, 1-acetyl-N-[[[2-(2-azabicyclo[2.2.2]oct-2-yl)-6-quinolinyl]amino]carbonyl]-2,3-dihydro- (9CI) (CA INDEX NAME)



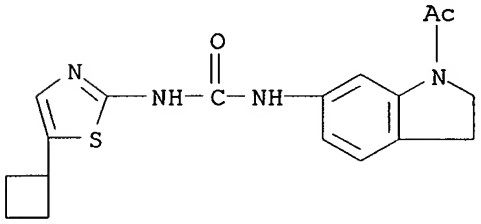
L8 ANSWER 18 OF 49 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2002:866687 CAPLUS
DN 137:353013
TI Thiazole derivatives and their use as cdk inhibitors, including combinations and pharmaceutical compositions
IN Cooper, Christopher Blair; Helal, Christopher John; Sanner, Mark Allen
PA Pfizer Products Inc., USA
SO Eur. Pat. Appl., 32 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 1256578	A1	20021113	EP 2002-253106	20020502
	EP 1256578	B1	20060111		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	AT 315555	E	20060215	AT 2002-253106	20020502
	ES 2254611	T3	20060616	ES 2002-2253106	20020502
	JP 2002338556	A2	20021127	JP 2002-132275	20020508
	CA 2385692	AA	20021111	CA 2002-2385692	20020509
	BR 2002001691	A	20030311	BR 2002-1691	20020513
	US 2003078252	A1	20030424	US 2002-144403	20020513
	US 6720427	B2	20040413		
	US 2004192746	A1	20040930	US 2004-818876	20040405
PRAI	US 2001-290466P	P	20010511		
	US 2002-144403	A1	20020513		

OS MARPAT 137:353013
AB The invention provides compds. thiazole derivs. I [wherein: R1 = (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, heterocycloalkyl, bicycloalkyl, bicycloalkenyl, heterobicycloalkyl, aryl, heteroaryl, or amino including cyclic amino; R3 = (un)substituted CONH, COO, CO(CH2)n, (CH2)n; R4 = as given for R1 except amino; n = 0-3; including pharmaceutically acceptable salts]. I are inhibitors of cyclin-dependent protein kinases (cdk), particularly cdk5, cdk2, and GSK-3. Pharmaceutical compns. and methods comprising compds. I are described, particularly for treating diseases and conditions comprising abnormal cell growth, such as cancer, and neurodegenerative diseases and conditions and those affected by dopamine neurotransmission. Also described are pharmaceutical compns. and methods comprising compds. I for treating or improving the following: male fertility and sperm motility problems, diabetes mellitus, impaired glucose tolerance, metabolic syndrome or syndrome X, polycystic ovary syndrome, adipogenesis and obesity, myogenesis and frailty (for example age-related decline in phys. performance), acute sarcopenia (for example, muscle atrophy and/or cachexia associated with burns, bed rest, limb immobilization, or major thoracic, abdominal, and/or orthopedic surgery), sepsis, hair loss, hair thinning, balding, and immunodeficiency. Approx. 90 specific compds. I are claimed, and the prepns. of 5 of these and several intermediates are exemplified. For instance, 2-aminothiazole was lithiated and silylated, then re-lithiated and treated with cyclobutanone to give 1-(2-aminothiazol-5-yl)cyclobutanol. This alc. was hydrogenated to give 5-cyclobutylthiazol-2-ylamine, which was coupled with 6-quinolylacetic acid using T3P (1-propanephosphonic acid cyclic trimeric anhydride), to give title compound II. The 5 exemplified compds. all had IC50 values of < 50 μM for inhibiting cdk5, cdk2, and GSK-3β in vitro.
IT 474460-85-0P, 1-(1-Acetyl-2,3-dihydro-1H-indol-6-yl)-3-(5-

cyclobutylthiazol-2-yl)urea
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of thiazole derivs. as cdk inhibitors)

RN 474460-85-0 CAPLUS
CN 1H-Indol-6-amine, 1-acetyl-N-[[(5-cyclobutyl-2-thiazolyl)amino]carbonyl]-2,3-dihydro- (9CI) (CA INDEX NAME)



RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 19 OF 49 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2002:487577 CAPLUS
DN 137:63420
TI Preparation of lactone ketolide macrolide erythromycin antibiotics
IN Andreotti, Daniele; Arista, Luca; Biondi, Stefano; Cardullo, Francesca; Damiani, Frederica; Lociuro, Sergio; Marchioro, Carla; Merlo, Giancarlo; Mingardi, Anna; Niccolai, Daniela; Paio, Alfredo; Piga, Elisabetta; Pozzan, Alfonso; Seri, Catia; Tarsi, Luca; Terreni, Silvia; Tibasco, Jessica
PA Glaxo Group Limited, UK
SO PCT Int. Appl., 215 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002050091	A1	20020627	WO 2001-GB5665	20011220
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2432429	AA	20020627	CA 2001-2432429	20011220
	AU 2002017277	A5	20020701	AU 2002-17277	20011220
	EP 1363925	A1	20031126	EP 2001-271380	20011220
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	CN 1492874	A	20040428	CN 2001-822651	20011220
	BR 2001016431	A	20040622	BR 2001-16431	20011220
	JP 2004531471	T2	20041014	JP 2002-551984	20011220
	NZ 526450	A	20050429	NZ 2001-526450	20011220
	ZA 2003004748	A	20040423	ZA 2003-4748	20030619
	NO 2003002846	A	20030820	NO 2003-2846	20030620
	US 2004077557	A1	20040422	US 2003-450893	20031119
	US 2005215495	A1	20050929	US 2005-127701	20050512
PRAI	GB 2000-31309	A	20001221		
	GB 2001-26276	A	20011101		
	GB 2001-26277	A	20011101		
	WO 2001-GB5665	W	20011220		
	US 2003-450893	B1	20031119		

OS MARPAT 137:63420
AB The present invention relates to lactone ketolides I wherein R is H, CN, substituted alkyl; R1 is alkyl, alkenyl; R2 is H, hydroxy protecting group; R3 is H, halogen, and pharmaceutically acceptable salts and solvates thereof, to process for their preparation and their use in therapy or prophylaxis of systemic or topical bacterial infections in a human or animal body. Thus, (11S,21R)-3-decladinosyl-11,12-dideoxy-6-O-methyl-3-oxo-12,11-[oxycarbonyl-(cyano)-methylene]erythromycin A was prepared and tested as antibacterial agent against Streptococcus pneumoniae and Streptococcus pyogenes (MIC ≤ 1 μg/mL).
IT 439102-07-5P
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study);

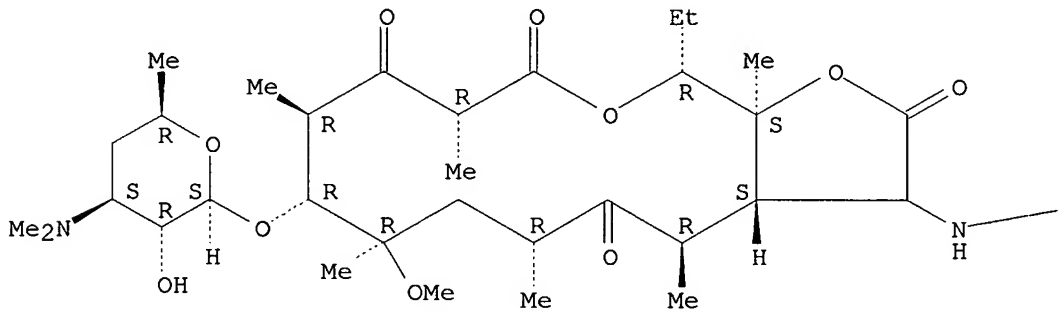
PREP (Preparation); USES (Uses)
(preparation of lactone ketolide macrolide erythromycin antibiotics and their use in therapy or prophylaxis of systemic or topical bacterial infections)

RN 439102-07-5 CAPLUS

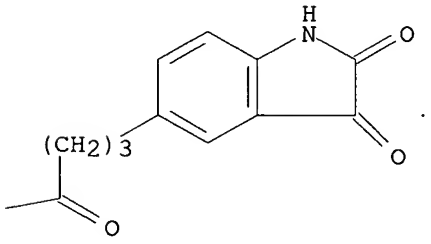
CN 1H-Indole-5-butanamide, N-[(3aS,4R,6R,8R,9R,10R,12R,15R,15aS)-15-ethyltetradecahydro-8-methoxy-4,6,8,10,12,15a-hexamethyl-2,5,11,13-tetraoxo-9-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-2H-furo[2,3-c]oxacyclotetradecin-3-yl]-2,3-dihydro-2,3-dioxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



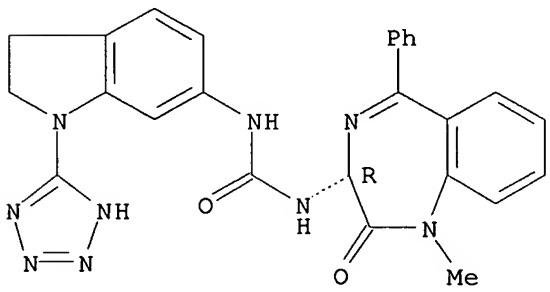
PAGE 1-B



RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

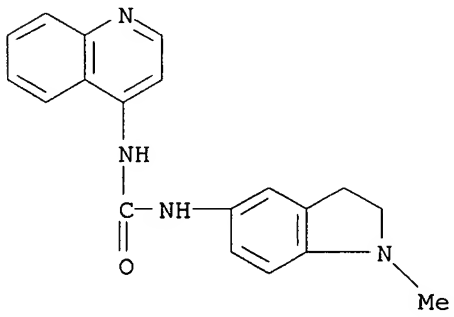
L8 ANSWER 20 OF 49 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2001:846593 CAPLUS
DN 136:256724
TI Peptide/benzodiazepine hybrids as ligands of CCKA and CCKB receptors
AU Escherich, Achim; Lutz, Jurgen; Escrieut, Chantal; Fourmy, Daniel; Van Neuren, A. Stephanie; Muller, Gerhard; Schafferhans, Andrea; Klebe, Gerhard; Moroder, Luis
CS Max-Planck Institute of Biochemistry, Martinsried, 82152, Germany
SO Biopolymers (2001), Volume Date 2000-2001, 56(2), 55-76
CODEN: BIPMAA; ISSN: 0006-3525
PB John Wiley & Sons, Inc.
DT Journal
LA English
AB The (neuro)hormones gastrin and cholecystokinin (CCK) share a common C-terminal tetrapeptide amide sequence that has been recognized as the message portion while the N-terminal extensions are responsible for the CCKA and CCKB receptor subtype selectivity and avidity. 1,4-Benzodiazepine derivs. are potent and selective antagonists of these receptors, and according to comparative mol. field anal., the structures of these nonpeptidic compds. could well mimic the message sequence of the peptide agonists at least in terms of spatial array of the aromatic residues. Docking of a larger series of low mol. weight nonpeptide antagonists to a homol. modeling derived CCKB receptor structure revealed a consensus binding mode that is further validated by data from site-directed mutagenesis studies of the receptors. Whether this putative binding pocket of the nonpeptide antagonists is identical to that of the message portion of the peptide agonists, or whether it is distinct and spatially separated, or overlapping, but with.
IT 152813-77-9, L 738425
RL: PAC (Pharmacological activity); PRP (Properties); BIOL (Biological study)
(peptide/benzodiazepine hybrids as ligands of CCKA and CCKB receptors)
RN 152813-77-9 CAPLUS
CN Urea, N-[(3R)-2,3-dihydro-1-methyl-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]-N'-[2,3-dihydro-1-(1H-tetrazol-5-yl)-1H-indol-6-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 90 THERE ARE 90 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 21 OF 49 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2001:518623 CAPLUS
DN 135:313150
TI 1,3-Biarylureas as selective non-peptide antagonists of the orexin-1 receptor
AU Porter, R. A.; Chan, W. N.; Coulton, S.; Johns, A.; Hadley, M. S.; Widdowson, K.; Jerman, J. C.; Brough, S. J.; Coldwell, M.; Smart, D.; Jewitt, F.; Jeffrey, P.; Austin, N.
CS New Frontiers Science Park North, GlaxoSmithKline Pharmaceuticals, Harlow, Essex, CM19 5AW, UK
SO Bioorganic & Medicinal Chemistry Letters (2001), 11(14), 1907-1910
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science Ltd.
DT Journal
LA English
AB This communication reports SARs for the first orexin-1 receptor antagonist series of 1-aryl-3-quinolin-4-yl and 1-aryl-3-naphthyridin-4-yl ureas. One of these compds., 31 (SB-334867), has excellent selectivity for the orexin-1 receptor, blood-brain barrier permeability and shows in vivo activity following i.p. dosing.
IT 220843-42-5
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(1,3-Biarylureas as selective non-peptide antagonists of orexin-1 receptor)
RN 220843-42-5 CAPLUS
CN Urea, N-(2,3-dihydro-1-methyl-1H-indol-5-yl)-N'-4-quinolinyl- (9CI) (CA INDEX NAME)



RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 22 OF 49 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2001:338525 CAPLUS
DN 134:353248
TI Novel heterocyclic compounds and their use as medicines
IN Auvin, Serge; Chabrier De Lassauniere, Pierre-Etienne
PA Societe De Conseils De Recherches Et D'applications Scientifiques (S.C.R.A.S.), Fr.
SO PCT Int. Appl., 77 pp.
CODEN: PIXXD2
DT Patent
LA French

Appl PCT

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001032654	A2	20010510	WO 2000-FR3067	20001103
	WO 2001032654	A3	20010927		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	FR 2800737	A1	20010511	FR 1999-13858	19991105
	FR 2800737	B1	20060630		
	FR 2809398	A1	20011130	FR 2000-6535	20000523
	FR 2809398	B3	20020726		
	CA 2389685	AA	20010510	CA 2000-2389685	20001103
	BR 2000015315	A	20020625	BR 2000-15315	20001103
	EP 1233962	A2	20020828	EP 2000-974646	20001103
	EP 1233962	B1	20060301		
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	JP 2003513092	T2	20030408	JP 2001-534805	20001103
	NZ 518420	A	20040227	NZ 2000-518420	20001103
	AU 781551	B2	20050526	AU 2001-12871	20001103
	RU 2260009	C2	20050910	RU 2002-114696	20001103
	AT 318809	E	20060315	AT 2000-974646	20001103
	EP 1661564	A1	20060531	EP 2005-77194	20001103
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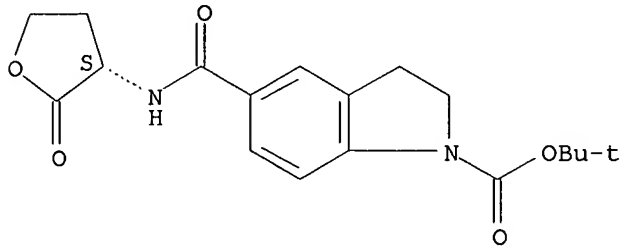
	US 6747024	B1	20040608	US 2002-111994	20020430
	NO 2002002088	A	20020502	NO 2002-2088	20020502
	US 2004180936	A1	20040916	US 2004-803387	20040316
	AU 2005203713	A1	20050915	AU 2005-203713	20050818
PRAI	FR 1999-13858	A	19991105		
	FR 2000-6535	A	20000523		
	EP 2000-974646	A3	20001103		
	WO 2000-FR3067	W	20001103		
	US 2002-111994	A3	20020430		

OS MARPAT 134:353248
AB Novel heterocyclic derivs. which have calpain inhibiting and/or reactive oxygen species trapping activity (no data) are reported. Thus, (R)-Trolox was treated with (S)-2-aminobutyrolactone hydrochloride, followed by DIBAL reduction to give (2R)-6-hydroxy-N-[(3S)-2-hydroxytetrahydrofuran-3-yl]-2,5,7,8-tetramethyl-3,4-dihydro-2H-chromene-2-carboxamide.

IT 339007-89-5P 339007-90-8P 339007-94-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of novel heterocyclic compds. as calpain inhibitors and trapping agents for reactive oxygen species)

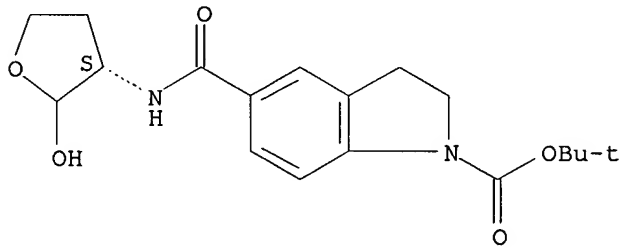
RN 339007-89-5 CAPLUS
CN 1H-Indole-1-carboxylic acid, 2,3-dihydro-5-[[[(3S)-tetrahydro-2-oxo-3-furanyl]amino]carbonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



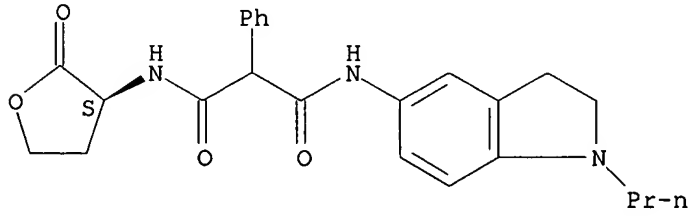
RN 339007-90-8 CAPLUS
CN 1H-Indole-1-carboxylic acid, 2,3-dihydro-5-[[[(3S)-tetrahydro-2-hydroxy-3-furanyl]amino]carbonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 339007-94-2 CAPLUS
CN Propanediamide, N-(2,3-dihydro-1-propyl-1H-indol-5-yl)-2-phenyl-N'-[(3S)-tetrahydro-2-oxo-3-furanyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



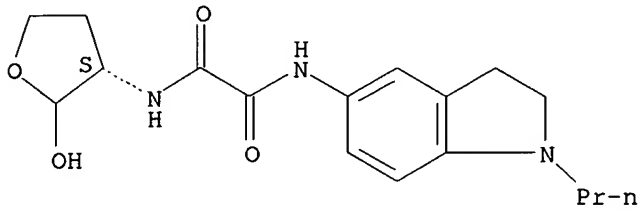
IT 339007-62-4P 339007-64-6P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of novel heterocyclic compds. as calpain inhibitors and trapping agents for reactive oxygen species)

RN 339007-62-4 CAPLUS

CN Ethanediamide, N-(2,3-dihydro-1-propyl-1H-indol-5-yl)-N'-[(3S)-tetrahydro-2-hydroxy-3-furanyl]- (9CI) (CA INDEX NAME)

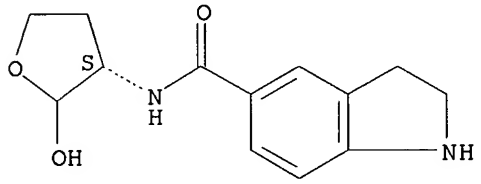
Absolute stereochemistry.



RN 339007-64-6 CAPLUS

CN 1H-Indole-5-carboxamide, 2,3-dihydro-N-[(3S)-tetrahydro-2-hydroxy-3-furanyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 23 OF 49 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2001:137023 CAPLUS
DN 134:178552
TI 3(5)-Acylaminopyrazole derivatives, process for their preparation and their use as antitumor agents
IN Pevarello, Paolo; Orsini, Paolo; Traquandi, Gabriella; Varasi, Mario; Fritzen, Edward L.; Warpehoski, Martha A.; Pierce, Betsy S.; Brasca, Maria Grabriella
PA Pharmacia & Upjohn S.p.A., Italy; Pharmacia & Upjohn Company
SO PCT Int. Appl., 123 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001012189	A1	20010222	WO 2000-US6699	20000505
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	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2383555	AA	20010222	CA 2000-2383555	20000505
	AU 2000049714	A5	20010313	AU 2000-49714	20000505
	EP 1202733	A1	20020508	EP 2000-931906	20000505
	EP 1202733	B1	20051005		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
	BR 2000013143	A	20020611	BR 2000-13143	20000505
	JP 2003507329	T2	20030225	JP 2001-516535	20000505
	EE 200200065	A	20030415	EE 2002-65	20000505
	NZ 517237	A	20040227	NZ 2000-517237	20000505
	AT 305782	E	20051015	AT 2000-931906	20000505
	ES 2249270	T3	20060401	ES 2000-931906	20000505
	US 6218418	B1	20010417	US 2000-667603	20000922
	NO 2002000684	A	20020403	NO 2002-684	20020211
	HR 2002000128	A1	20030430	HR 2002-128	20020212
	ZA 2002001511	A	20030311	ZA 2002-1511	20020222
	BG 106480	A	20020930	BG 2002-106480	20020305
	US 7034049	B1	20060425	US 2002-48486	20020501
PRAI	US 1999-372831	A	19990812		
	US 2000-560400	A1	20000428		
	WO 2000-US6699	W	20000505		

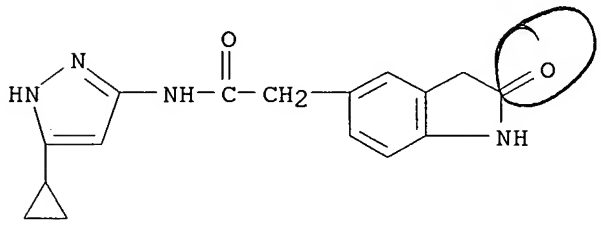
OS MARPAT 134:178552
AB Compds. which are 3-acylaminopyrazole derivs. (I; e.g. N-(5-cyclopropyl-1H-pyrazol-3-yl)-2,2-diphenylacetamide) wherein R is C3-C6 cycloalkyl group optionally substituted by a straight or branched C1-C6 alkyl or arylalkyl group; R1 is a straight or branched C1-C6 alkyl, C2-C4 alkenyl, cycloalkyl, cycloalkenyl, heterocyclyl, aryl, arylalkyl, arylcarbonyl, aryloxyalkyl or arylalkenyl group, each of which may be optionally further substituted as indicated in the description; or a pharmaceutically acceptable salt thereof, processes for their preparation and their therapeutic uses. The compds. are useful for the treatment of cancer, cell proliferative disorders, Alzheimer's disease, viral infections, auto-immune diseases or neurodegenerative diseases, but no

quant. test results are presented. The cancer is selected from carcinoma, squamous cell carcinoma, hematopoietic tumors of myeloid or lymphoid lineage, tumors of mesenchymal origin, tumors of the central and peripheral nervous system, melanoma, seminoma, teratocarcinoma, osteosarcoma, xeroderma pigmentosum, keratoacanthoma, thyroid follicular cancer and Kaposi's sarcoma. The cell proliferative disorder is selected from benign prostate hyperplasia, familial adenomatosis polyposis, neuro-fibromatosis, psoriasis, vascular smooth cell proliferation associated with atherosclerosis, pulmonary fibrosis, arthritis glomerulonephritis and post-surgical stenosis and restenosis. The method of treatment provides tumor angiogenesis and metastasis inhibition, cell cycle inhibition or cdk/cyclin dependent inhibition, and treatment or prevention of radiotherapy-induced or chemotherapy-induced alopecia. A process for preparing the 3-aminopyrazole derivative or the pharmaceutically acceptable salt thereof, comprising: (a) reacting RCO2R2 (R2 = alkyl), with MeCN in the presence of a basic agent, to obtain RC(O)CH2CN; (b) reacting RC(O)CH2CN with hydrazine hydrate to obtain an 3-amino-5-R-1H-pyrazole; (c) oxidizing the 3-amino-5-R-1H-pyrazole to obtain the nitro analog; (d) reacting the nitro compound with tert-butoxycarbonyl anhydride (Boc2O) to obtain the N-Boc derivative; (e) reducing this BOC derivative to obtain the amino analog; (f) reacting this amino compound with R1C(O)X (X = OH or a suitable leaving group) to obtain the N1-Boc-protected I; and (g) hydrolyzing this intermediate in an acidic medium to obtain I. Other methods of preparation are also claimed.

IT 326823-68-1P, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(2-oxo-2,3-dihydro-1H-indol-5-yl)acetamide
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(acylaminopyrazole derivs., process for preparation and use as antitumor agents)

RN 326823-68-1 CAPLUS

CN 1H-Indole-5-acetamide, N-(5-cyclopropyl-1H-pyrazol-3-yl)-2,3-dihydro-2-oxo- (9CI) (CA INDEX NAME)



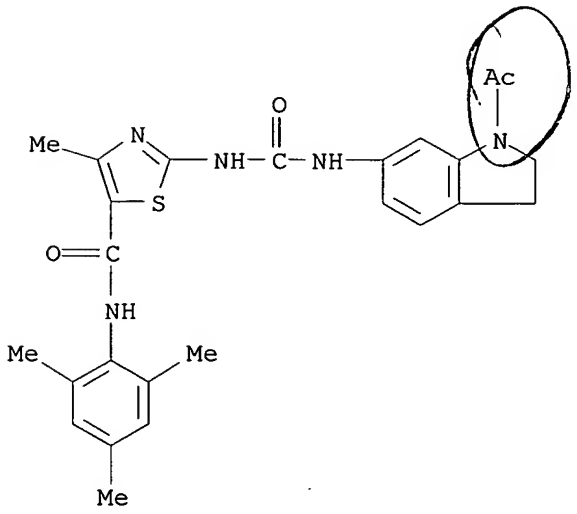
RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 24 OF 49 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2000:756524 CAPLUS
DN 133:321878
TI Preparation of cyclic protein tyrosine kinase inhibitors
IN Das, Jagabandhu; Padmanabha, Ramesh; Chen, Ping; Norris, Derek J.; Doweyko, Arthur M. P.; Barrish, Joel C.; Wityak, John
PA Bristol-Myers Squibb Co., USA
SO PCT Int. Appl., 300 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000062778	A1	20001026	WO 2000-US9753	20000412
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2366932	AA	20001026	CA 2000-2366932	20000412
	AU 2000042338	A5	20001102	AU 2000-42338	20000412
	AU 779089	B2	20050106		
	EP 1169038	A1	20020109	EP 2000-922102	20000412
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	BR 2000009721	A	20020213	BR 2000-9721	20000412
	TR 200102969	T2	20020821	TR 2001-2969	20000412
	JP 2002542193	T2	20021210	JP 2000-611914	20000412
	NZ 513639	A	20040227	NZ 2000-513639	20000412
	RU 2260592	C2	20050920	RU 2001-130452	20000412
	ZA 2001007204	A	20021202	ZA 2001-7204	20010830
	NO 2001004970	A	20011210	NO 2001-4970	20011012
	US 2005261305	A1	20051124	US 2005-138793	20050525
	US 2005288303	A1	20051229	US 2005-138942	20050526
	US 2006079563	A1	20060413	US 2005-271626	20051110
PRAI	US 1999-129510P	P	19990415		
	WO 2000-US9753	W	20000412		
	US 2000-548929	A1	20000413		
	US 2003-378373	A1	20030303		

OS MARPAT 133:321878
AB The title compds. [I; Q = (un)substituted 5-6 membered heteroaryl, aryl; Z = a single bond, R15C:CH, (CH2)m (m = 1-2); X1, X2 = H; X1 and X2 together = O, S; R1 = H, alkyl, alkenyl, etc.; R2, R3 = H, alkyl, alkenyl, etc.; R4, R5 = H, alkyl, alkenyl, etc.], useful in the treatment of protein tyrosine kinase-associated disorders such as immunol. and oncol. disorders (no data), were prepared E.g., a multi-step synthesis of thiazole II was given. Compds. I are effective at 0.1-100 mg/kg/day.
IT 302960-71-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of cyclic protein tyrosine kinase inhibitors)
RN 302960-71-0 CAPLUS
CN 5-Thiazolecarboxamide, 2-[[[(1-acetyl-2,3-dihydro-1H-indol-6-

yl)amino]carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)- (9CI) (CA INDEX NAME)

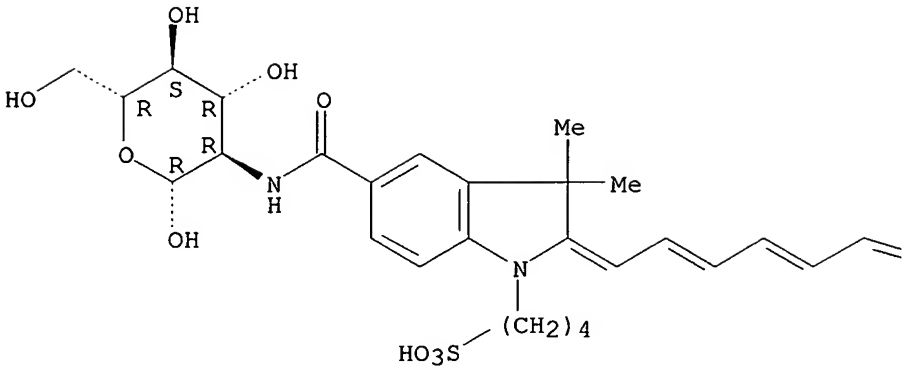


RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 25 OF 49 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2000:647908 CAPLUS
DN 134:2263
TI Hydrophilic cyanine dyes as contrast agents for near-infrared tumor imaging: synthesis, photophysical properties and spectroscopic in vivo characterization
AU Licha, Kai; Riefke, Bjorn; Ntziachristos, Vasilis; Becker, Andreas; Chance, Britton; Semmler, Wolfhard
CS Institut fur Diagnostikforschung GmbH an der Freien Universitat Berlin, Berlin, 14050, Germany
SO Photochemistry and Photobiology (2000), 72(3), 392-398
CODEN: PHCBAP; ISSN: 0031-8655
PB American Society for Photobiology
DT Journal
LA English
AB We have synthesized a group of glucamine and glucosamine-substituted cyanine dyes structurally related to indocyanine green (ICG) and have characterized these compds. with regard to their potential as contrast agents for biomedical optical imaging. The compds. reported herein exhibit increased hydrophilicity and less plasma protein binding (<50%), and are thus expected to have different pharmacokinetic properties compared with ICG. Furthermore, we measured enhanced fluorescence quantum yields (7-15%) in a physiol. environment with respect to ICG. For the derivative with the highest hydrophilicity (I; R1, R2 = CO-glucamid) the efflux from tumor and normal tissue was monitored by intensity-modulated diffuse optical spectroscopy after i.v. injection into tumor-bearing rats. In comparison with ICG, I exhibited a considerably enhanced tissue-efflux half-life (73 min vs. less than 10 min for ICG in tumor tissue), a two-fold higher initial tissue absorption coefficient compared to ICG, and finally, it generated an elevated tumor-to-tissue concentration gradient up to 1 h after injection. In conclusion, compds. such as I are promising contrast agents for optical imaging, and could facilitate highly sensitive and specific detection of breast cancer or other malignancies by utilizing mechanisms similar to contrast-enhanced magnetic resonance imaging or computerized tomog.
IT 308127-51-7P
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis and characterization of hydrophilic cyanine dyes as contrast agents for near-IR tumor imaging)
RN 308127-51-7 CAPLUS
CN β-D-Glucopyranose, 2-deoxy-2-[[[2-[7-[5-[[(2-deoxy-β-D-glucopyranos-2-yl)amino]carbonyl]-1,3-dihydro-3,3-dimethyl-1-(4-sulfobutyl)-2H-indol-2-ylidene]-1,3,5-heptatrienyl]-3,3-dimethyl-1-(4-sulfobutyl)-3H-indolium-5-yl]carbonyl]amino]-, inner salt, monosodium salt (9CI) (CA INDEX NAME)

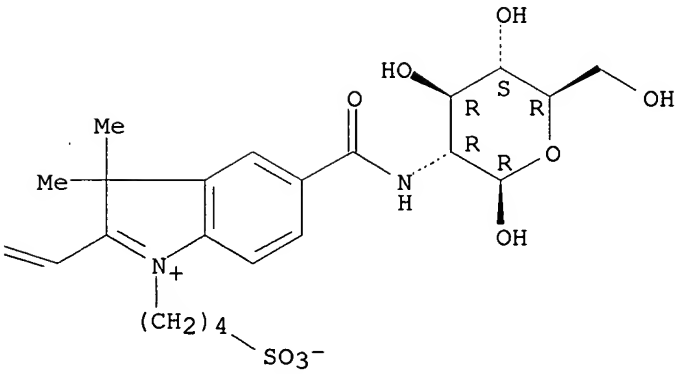
Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A



● Na

PAGE 1-B

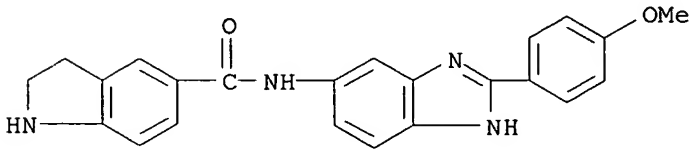


RE.CNT 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

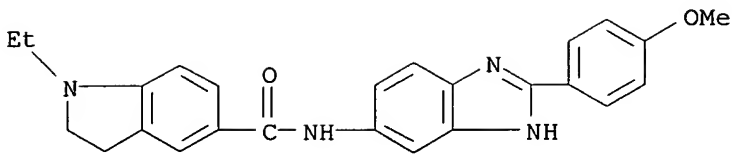
L8 ANSWER 26 OF 49 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2000:356164 CAPLUS
DN 133:805
TI Benzimidazole derivatives as neovascularization inhibitors and pharmaceutical compositions containing them
IN Kubo, Keiji; Hori, Akira; Kusaka, Masami
PA Takeda Chemical Industries, Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 77 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2000143635	A2	20000526	JP 1999-158035	19990604
PRAI	JP 1998-162489	A	19980610		
	JP 1998-246689	A	19980901		

OS MARPAT 133:805
AB Neovascularization inhibitors contain the derivs. I [ring A = (un)substituted phenyl; ring B = (un)substituted cyclyl; R4, R6 = (1) H, (ii) C1-6 alkyl which may have substituents selected from mono- or di(C1-6 alkyl)amino, 5-7-membered cyclic amino, CO2H, or C2-7 alkoxycarbonyl, (iii) C2-6 alkenyl, (iv) C3-7 cycloalkyl, (v) C7-13 aralkyl which may have 1-5 substituents selected from halo, C1-6 alkoxy, C1-6 alkyl, mono- or di(C1-6 alkyl)amino, (vi) C2-7 alkoxycarbonyl; R5 = (i) H, (ii) halo, (iii) C1-6 alkyl which may have substituents selected from mono- or di(C1-6 alkyl)amino and halo, (iv) C1-6 alkoxy, (v) C2-7 alkoxycarbonyl, (vi) mono- or di(C1-6 alkyl)amino, (vii) carbamoyl which may be substituted with C1-6 alkyl or C7-13 aralkyl; X = (i) direct bond, (ii) C1-6 alkylene, (iii) C2-6 alkenylene, (iv) C1-6 alkylene-aminocarbonyl, (v) C1-6 alkylene-oxycarbonylamino; Y = CO, SO2, NHCO, C1-6 alkylenecarbonyl, C2-6 alkenylenecarbonyl, C1-6 alkylene] or their pharmaceutically acceptable salts. Also claimed are pharmaceutical compns. containing I or their salts for treatment of neoplasm, inflammatory diseases, diabetic retinopathy, etc. IC50 of 2-(4-methoxyphenyl)-5-[3-methoxy-4-(4-pyridyl)methoxybenzoyl]aminobenzimidazole (preparation given) against recombinant VEGF-induced proliferation of HUVEC was 0.012 μM.
IT 263021-32-5P 270254-00-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzimidazole compds. as neovascularization inhibitors)
RN 263021-32-5 CAPLUS
CN 1H-Indole-5-carboxamide, 2,3-dihydro-N-[2-(4-methoxyphenyl)-1H-benzimidazol-5-yl]- (9CI) (CA INDEX NAME)



RN 270254-00-7 CAPLUS
CN 1H-Indole-5-carboxamide, 1-ethyl-2,3-dihydro-N-[2-(4-methoxyphenyl)-1H-benzimidazol-5-yl]- (9CI) (CA INDEX NAME)


Et
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NH
OMe

L8 ANSWER 27 OF 49 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2000:214835 CAPLUS
DN 132:265201
TI Preparation of imidazole derivatives as gonadotropin-releasing hormone antagonists
IN Suzuki, Nobuhiro; Takekawa, Shiro; Kubo, Keiji; Imaeda, Yasuhiro
PA Takeda Chemical Industries, Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 79 pp.
CODEN: JKXXAF
DT Patent
LA Japanese

Same as #26

FAN.CNT 1

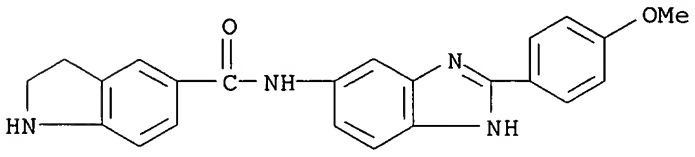
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 2000095767	A2	20000404	JP 1998-273013	19980928
PRAI JP 1998-273013		19980928		

OS MARPAT 132:265201

AB Claimed are gonadotropin-releasing hormone (GnRH) antagonists containing the title compds. [I; ring A = (un)substituted Ph; ring B = (un)substituted cyclic group; R4 , R6 = H, (un)substituted C1-6 alkyl, C2-6 alkenyl, C3-7 cycloalkyl, (un)substituted C7-13 aralkyl, C2-7 alkoxycarbonyl; R5 = H, halo, (un)substituted C1-6 alkyl, C1-6 alkoxy, C2-7 alkoxycarbonyl, etc.; X = bond, C1-6 alkylene, C2-6 alkenylene, C1-6 alkylene-NHCO, C1-6 alkylene-O2NH; Y = CO, SO2, NHCO, C1-6 alkylene-CO, C2-6 alkylene-CO, C1-6 alkylene] or pharmacol. acceptable salts thereof. These compds. are useful for the treatment or prevention of gonadotropin-releasing hormone-related diseases such as sex hormone-dependent cancer, prostate cancer, uterine cancer, breast cancer, prostatic hypertrophy, true precocious puberty, endometriosis, hysteromyoma, pregnancy regulators, and menstruation regulators. Thus, 5-amino-2-(4-methoxyphenyl)benzimidazole was condensed with 4-pyrrolidinobenzoic acid using di-Et cyanophosphate in the presence of Et3N and 4-dimethylaminopyridine in DMF at room temperature for 1 h to give 41% 2-(4-methoxyphenyl)-5-((4-pyrrolidinobenzoyl)amino)benzimidazole (II). II in vitro showed IC50 of μg/mL for inhibiting the binding of [125I]leuprolelin to a membrane sample of CHO cell expressing human GnRH receptor.

IT 263021-32-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of imidazole derivs. as gonadotropin-releasing hormone antagonists for drugs)

RN 263021-32-5 CAPLUS
CN 1H-Indole-5-carboxamide, 2,3-dihydro-N-[2-(4-methoxyphenyl)-1H-benzimidazol-5-yl]- (9CI) (CA INDEX NAME)

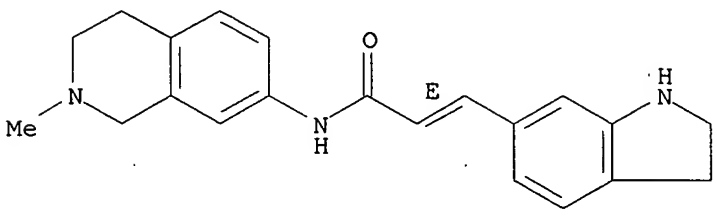


L8 ANSWER 28 OF 49 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2000:117027 CAPLUS
DN 132:166128
TI Preparation of substituted isoquinolines as anticonvulsants
IN Coulton, Steven; Harling, John David; Porter, Roderick Alan; Thompson, Mervyn
PA Smithkline Beecham Plc, UK
SO PCT Int. Appl., 72 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2000007993	A1	20000217	WO 1999-EP5583	19990803
W: CA, JP, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
PRAI GB 1998-16984	A	19980805		

OS MARPAT 132:166128
AB The title compds. [I; Z = a carbocyclic or heterocyclic or a fused carbocyclic or heterocyclic ring containing at least one aromatic ring; X = CH, N; Y = H, alkyl, halo; P = CH:CH and Q = NR1, or P = CH:CH and Q = NR1CH2, or P = NH and Q = CR1a:CH; R1 = H, phenylalkyl, alkyl; R1a = H, halo, phenylalkyl, alkyl; R2 = H, halo, NO2, etc.; R3 = H, phenylalkyl, alkyl, etc.; R7-R12 = H, alkyl] including tetrahydroisoquinolinyl cinnamides and acrylamides which are indicated to be useful for the treatment and/or prevention of anxiety, mania, depression, panic disorders and/or aggression, disorders associated with a subarachnoid hemorrhage or neural shock, the effects associated with withdrawal from substances of abuse such as cocaine, nicotine, alc. and benzodiazepines, disorders treatable and/or preventable with anti-convulsive agents, such as epilepsy including post-traumatic epilepsy, Parkinson's disease, etc., were prepared Thus, reacting (E)-7-(2-carboxyvinyl)-3,4-dihydro-1H-isoquinoline-2-carboxylic acid tert-Bu ester with aniline followed by treatment of the intermediate with trifluoroacetic acid afforded (E)-II which showed statistically significant increase (140%) in seizure threshold at 10 mg/kg p.o. in mice (MEST test).
IT 258514-48-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted isoquinolines as anticonvulsants)
RN 258514-48-6 CAPLUS
CN 2-Propenamide, 3-(2,3-dihydro-1H-indol-6-yl)-N-(1,2,3,4-tetrahydro-2-methyl-7-isoquinolinyl)-, (2E)- (9CI) (CA INDEX NAME)

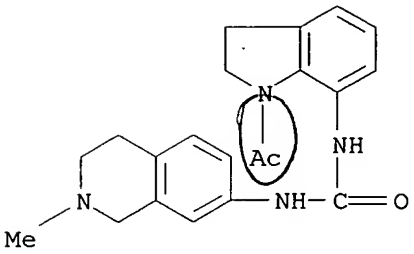
Double bond geometry as shown.



L8 ANSWER 29 OF 49 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1999:216900 CAPLUS
DN 130:237486
TI Preparation of substituted isoquinolinyl ureas as anticonvulsants
IN Thompson, Mervyn; Porter, Roderick Alan
PA Smithkline Beecham PLC, UK
SO PCT Int. Appl., 55 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9914197	A1	19990325	WO 1998-GB2728	19980909
	W: CA, JP, US				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	CA 2303777	AA	19990325	CA 1998-2303777	19980909
	EP 1005459	A1	20000607	EP 1998-942869	19980909
	R: BE, CH, DE, ES, FR, GB, IT, LI, NL				
	JP 2001516745	T2	20011002	JP 2000-511748	19980909
PRAI	GB 1997-19530	A	19970912		
	WO 1998-GB2728	W	19980909		

OS MARPAT 130:237486
AB The title compds. [I; X = O, S; R1 = H, phenylalkyl, alkyl; R2 = H, halo, NO2, etc.; adjacent pair of R2 groups together with the carbon atoms to which they are attached form (un)substituted carbocyclic or heterocyclic ring], useful for the treatment and/or prophylaxis of anxiety, mania, depression, panic disorders and/or aggression, disorders associated with a subarachnoid hemorrhage or neural shock, the effects associated with withdrawal from substances of abuse such as cocaine, nicotine, alc. and benzodiazepines, disorders treatable and/or preventable with anti-convulsive agents, such as epilepsy including post-traumatic epilepsy, Parkinson's disease, psychosis, migraine, cerebral ischemia, Alzheimer's disease and other degenerative diseases such as Huntingdon's chorea, schizophrenia, obsessive compulsive disorders (OCD), neurol. deficits associated with AIDS, sleep disorders (including circadian rhythm disorders, insomnia and narcolepsy), etc., were prepared Thus, reaction of 7-amino-1,2,3,4-tetrahydro-2-trifluoroacetylisoquinoline with 3-nitrophenyl isocyanate in CH2Cl2/PhMe followed by treatment of the resulting urea with K2CO3 in 10% aqueous MeOH afforded urea II which showed 35% increase in seizure threshold at 10 mg/kg p.o.
IT 221390-38-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted isoquinolinyl ureas as anticonvulsants)
RN 221390-38-1 CAPLUS
CN 1H-Indol-7-amine, 1-acetyl-2,3-dihydro-N-[[(1,2,3,4-tetrahydro-2-methyl-7-isoquinolinyl)amino]carbonyl]- (9CI) (CA INDEX NAME)

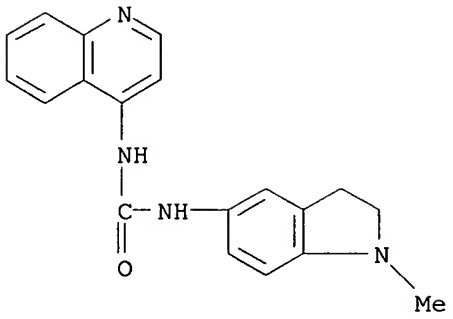


RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

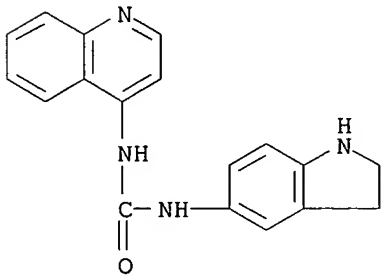
L8 ANSWER 30 OF 49 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1999:139841 CAPLUS
DN 130:196581
TI Preparation of quinolinylureas and related compounds as HFGAN72 antagonists.
IN Chan, George; Johns, Amanda; Jurewicz, Anthony; Porter, Roderick Alan; Widdowson, Katherine
PA Smithkline Beecham Plc, UK
SO PCT Int. Appl., 64 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9909024	A1	19990225	WO 1998-GB2437	19980813
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2300178	AA	19990225	CA 1998-2300178	19980813
	AU 9887411	A1	19990308	AU 1998-87411	19980813
	EP 1003737	A1	20000531	EP 1998-938812	19980813
	R: BE, CH, DE, ES, FR, GB, IT, LI, NL				
	JP 2001515075	T2	20010918	JP 2000-509705	19980813
	US 6410529	B1	20020625	US 2000-485623	20000510
PRAI	GB 1997-17178	A	19970814		
	GB 1998-7756	A	19980408		
	WO 1998-GB2437	W	19980813		

OS MARPAT 130:196581
AB Title compds. [I; X, Y = CH, N, provided that X and Y do not both = CH; Z = O, S; R1 = halo, R7CO, R8R9NCO, (substituted) alkyl, alkenyl, alkoxy; R2-R6 = H, halo, NO2, cyano, aryloxy, arylalkyloxy, arylalkyl, R7CO, R7SO2NH, R7CONR10, NR8R9, NR8R9CO, COR8, heterocyclyl, (substituted) alkyl, alkenyl, alkoxy, alkylthio, provided that ≥1 of R2-R6 is other than H; an adjacent pair of R2- R6 = atoms to form a (substituted) carbocyclic or heterocyclic ring; R7 = alkyl, aryl; R8, R9 = H, alkyl, aryl, aralkyl; R10 = H, alkyl; n = 0-4], were prepared Thus, quinoline-4-carbonyl azide (preparation given) was refluxed 1 h in PhMe; 5-amino-1-methylindole in CH2Cl2 was added and the mixture was stirred 16 h at room temperature to give 1-(1-methyl-1H-indol-5-yl)-3-quinolin-4-ylurea. The latter showed pKb >7 in an assay of human HFGAN72 antagonist activity.
IT 220843-42-5P 220844-04-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinolinylureas and related compds. as HFGAN72 antagonists)
RN 220843-42-5 CAPLUS
CN Urea, N-(2,3-dihydro-1-methyl-1H-indol-5-yl)-N'-4-quinolinyl- (9CI) (CA INDEX NAME)



RN 220844-04-2 CAPLUS
CN Urea, N-(2,3-dihydro-1H-indol-5-yl)-N'-4-quinolinyl-, dihydrochloride (9CI) (CA INDEX NAME)



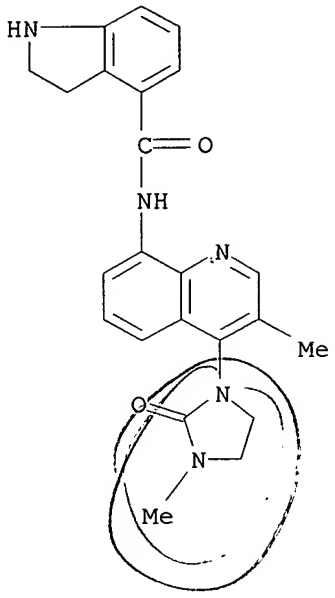
●2 HCl

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 31 OF 49 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1998:712648 CAPLUS
DN 130:24979
TI Preparation of quinoline derivatives and drugs containing them for treatment of bone metabolic disorders
IN Oku, Teruo; Sato, Shigeki; Inoue, Takayuki; Urano, Yasuji; Yoshimitsu, Tatsuya; Yoshida, Noriko
PA Fujisawa Pharmaceutical Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 60 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 10291988	A2	19981104	JP 1998-104370	19980415
PRAI	AU 1997-6225	A	19970415		

OS MARPAT 130:24979
AB The derivs. I [R = AR1; R1 = heterocyclyl, aryl, which may be substituted with halo, NO2, lower alkyl, lower alkoxy, OH, aralkoxy, lower haloalkyl, acyl, aryl, heterocyclyl, lower alkenyl, lower alkylthio; R2 = H, lower alkyl; R3 = H, halo, cyano, lower alkyl, lower hydroxyalkyl, lower alkoxyalkyl; R4 = H, (un)substituted amino, (un)substituted hydrazino, (un)substituted OH, (un)substituted SH, aralkylsulfinyl, aralkylsulfonyl, (un)substituted heterocyclyl, lower alkyl which may be substituted with acyl or cyano; R3 and R4 may be bonded to each other forming NR8N:CH (R8 = H, lower alkyl); R5-R7 = H, halo, lower alkyl; A = CONH, NHCO, NHSO2, NHCONH; if R4 = H, then R3 ≠ H] (II) and their pharmaceutically acceptable salts are prepared II are prepared by (a) treatment of I (R = NH2) (III), their reactive derivs., or their salts with R1CO2H, their reactive derivs., or their salts, (b) treatment of I (R = CO2H), their reactive derivs., or their salts with R1NH2, their reactive derivs., or their salts, (c) treatment of III or their salts with R1SO3H, their reactive derivs., or their salts, (d) treatment of III with R1NCO or their salts, etc. The drugs containing II or their salts are useful for prevention and/or treatment of osteoporosis, hypercalcemia, hyperparathyroidism, rheumatoid arthritis, etc. A N-methylpyrrolidone solution of 4-(2-amino-2-methylpropylamino)-8-(2,6-dichlorobenzoylamino)-3-methylquinoline (prepared from 3-chloromethyl-1,4-dihydro-8-nitro-4-oxoquinoline with 6 steps) was treated with 1,1'-carbonyldiimidazole at 60° for 1 h and the reaction mixture was further treated with 1,8-diazabicyclo[5.4.0]undec-7-ene at 140° to give 8-(2,6-dichlorobenzoylamino)-4-(4,4-dimethyl-2-oxoimidazolidin-1-yl)-3-methylquinoline. Some of II showed 100% inhibition on proton transport by vacuolar H+-ATPase of microsome derived from mouse peritoneal macrophage. Suppression of PTH-induced bone resorption by II was also shown.
IT 216259-32-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinoline derivs. and drugs containing them for treatment of bone metabolic disorders)
RN 216259-32-4 CAPLUS
CN 1H-Indole-4-carboxamide, 2,3-dihydro-N-[3-methyl-4-(3-methyl-2-oxo-1-imidazolidinyl)-8-quinolinyl]- (9CI) (CA INDEX NAME)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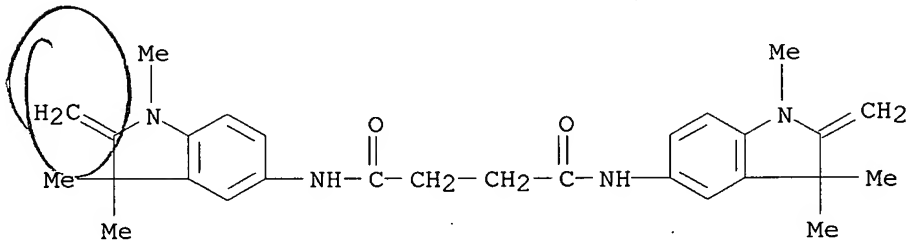
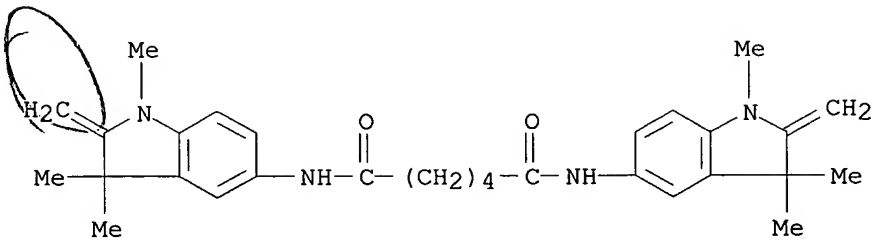
L8 ANSWER 32 OF 49 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1998:538885 CAPLUS
DN 129:204132
TI Synthesis of photochromic bis-spironaphthoxazine
AU Zhang, Daquan; Shu, Jianhua; Tian, He; Chen, Kongchang
CS Institute of Fine Chemicals, ECUST, Shanghai, 200237, Peop. Rep. China
SO Huadong Ligong Daxue Xuebao (1998), 24(3), 329-333
CODEN: HLIXEV; ISSN: 1006-3080
PB Huadong Ligong Daxue Xuebao Bianjibu
DT Journal
LA Chinese
AB Three kinds of bis-spironaphthoxazine linked via-NHCO(CH2)nCONH-chain: bis[5-{1,3,3-trimethylspiro(indoline-2,2'-naphthoxazine)}]-α,ω-succinylamide(BSO1), bis[5-{1,3,3-trimethylspiro(indoline-2,2'-naphthoxazine)}]-α,ω-adipoylamide (BSO2), bis[5-{1,3,3-trimethylspiro(indoline-2,2'-naphthoxazine)}]-α,ω-azelayamide (BSO3) were synthesized and certified by IR, 1H-NMR and element anal. Their absorption and photochromic behavior in polystyrene film were also investigated. The adsorption of their colored forms has red-shift effects and the stability of photomerocyanine has been increased. The better planarity for BSO1 made a higher stability for its colored forms.
IT 212000-41-4P 212000-43-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; synthesis of photochromic bis-spironaphthoxazine)
RN 212000-41-4 CAPLUS
CN Butanediamide, N,N'-bis(2,3-dihydro-1,3,3-trimethyl-2-methylene-1H-indol-5-yl)- (9CI) (CA INDEX NAME)



RN 212000-43-6 CAPLUS
CN Hexanediamide, N,N'-bis(2,3-dihydro-1,3,3-trimethyl-2-methylene-1H-indol-5-yl)- (9CI) (CA INDEX NAME)



L8 ANSWER 33 OF 49 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1998:31305 CAPLUS
DN 128:102087
TI Substituted azabicyclic compounds and their use as inhibitors of the production of TNF and cyclic AMP phosphodiesterase
IN Cox, Paul Joseph; Bower, Shelley; Aldous, David John; Astles, Peter Charles; McGarry, Daniel Gerard; Hulme, Christopher; et al.
PA Regan, John Robinson, UK; Huang, Fu-Chih; Rhone-Poulenc Rorer Ltd.; Cox, Paul Joseph; Bower, Shelley; et al.
SO PCT Int. Appl., 355 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9748697	A1	19971224	WO 1997-GB1639	19970619
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	CA 2258728	AA	19971224	CA 1997-2258728	19970619
	AU 9731026	A1	19980107	AU 1997-31026	19970619
	ZA 9705446	A	19981221	ZA 1997-5446	19970619
	EP 934307	A1	19990811	EP 1997-926148	19970619
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
	JP 2000509719	T2	20000802	JP 1998-502503	19970619
	US 6303600	B1	20011016	US 1998-216392	19981218
	US 6800645	B1	20041005	US 2000-612530	20000707
	US 2002173527	A1	20021121	US 2002-109629	20020328
	US 2005038069	A1	20050217	US 2004-933077	20040901
PRAI	GB 1996-12760	A	19960619		
	US 1996-23047P	P	19960802		
	WO 1997-GB1639	W	19970619		
	US 1998-216392	A1	19981218		
	US 2000-612530	A3	20000707		

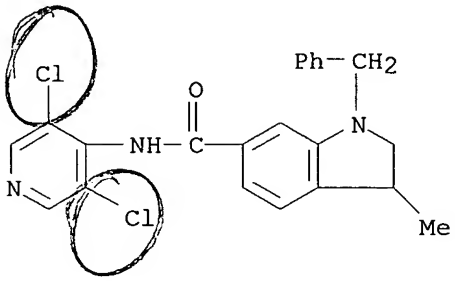
OS MARPAT 128:102087
AB The invention is directed to physiol. active compds. of formula I [wherein AB = fused bicyclic ring system, of approx. 10-13 ring members, wherein A = azaheterocycle ring and B = azaheteroaryl or optionally halo-substituted benzene ring; R1 = H, (hydroxy- or halo-substituted) alkyl, and also alkenyl, alkynyl, or CHO when Z1 = bond; R2 = H, alkenyl, alkoxy, alkyl, aryl, aryloxy, cyano, etc.; R3 = wide variety of sidechains and functional groups; A1 = bond, (un)substituted alkylene, alkenylene, alkynylene; Z1 = bond, O, S, NH; m, n = 0, 1; provided that (n+m) = 1] and their N-oxides, prodrugs, and pharmaceutically acceptable salts and solvates. I inhibit the production or physiol. effects of TNF, and inhibit cAMP phosphodiesterase (PDE IV). The invention is also directed to pharmaceutical compns. comprising I, their pharmaceutical use, and methods for their preparation For instance, 7-methoxy-2-(methoxymethyl)-3H-benzimidazole-4-carboxylic acid (preparation given) was treated with O-benzotriazol-1-yl-N,N,N',N'-bis(tetramethylene)uronium tetrafluoroborate to give the 1-benzotriazolyl ester, which was amidated with 4-amino-3,5-dichloropyridine in THF (after treatment of the latter with Na diethylaluminate) to give the title compound

II. Compds. I had IC50 of 10-5 to 10-10 M against guinea pig macrophage PDE IV, with 50- to 10,000-fold selectivity for PDE IV vs. PDE I, II, III, or V. The compds. also inhibited antigen-induced bronchoconstriction in rats by up to 89% at oral doses of 10 mg/kg.

IT 201285-61-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of azabicyclic compds. as inhibitors of TNF production and PDE IV)

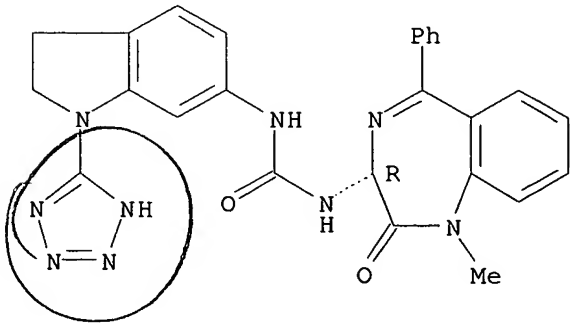
RN 201285-61-2 CAPLUS

CN 1H-Indole-6-carboxamide, N-(3,5-dichloro-4-pyridinyl)-2,3-dihydro-3-methyl-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

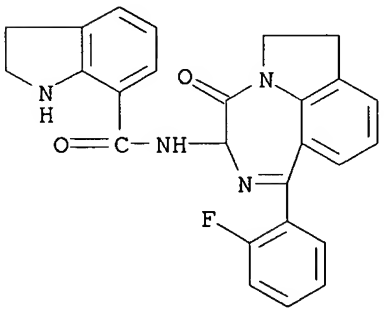


L8 ANSWER 34 OF 49 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1996:73846 CAPLUS
DN 124:232406
TI Controlled Modification of Acidity in Cholecystokinin B Receptor Antagonists: N-(1,4-Benzodiazepin-3-yl)-N '-[3-(tetrazol-5-ylamino)phenyl]ureas
AU Castro, Jose L.; Ball, Richard G.; Broughton, Howard B.; Russell, Michael G. N.; Rathbone, Denise; Watt, Alan P.; Baker, Raymond; Chapman, Kerry L.; Fletcher, Alan E.; et al.
CS Chemistry Department, Merck Sharp Dohme Research Laboratories, Harlow/Essex, CM20 2QR, UK
SO Journal of Medicinal Chemistry (1996), 39(4), 842-9
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
AB The design, synthesis, and biol. activity of a novel series of CCK-B receptor antagonists which incorporate a tetrazol-5-ylamino functionality attached to the Ph ring of the arylurea moiety of L-365,260 are described. In these compds., the acidity of the tetrazole was gradually modified by utilization of simple conformational constraints, and X-ray crystallog. data were obtained to support the conformational dependence of the pKa of the aminotetrazoles. Compds. to emerge from the present work are among the highest affinity and most selective (CCK-A/CCK-B, 37 000) antagonists so far reported for this receptor. The C5-cyclohexyl compound I (L-736,380) dose-dependently inhibited gastric acid secretion in anesthetized rats (ID50, 0.064 mg/kg) and ex vivo binding of [125I]CCK-8S in BKTO mice brain membranes (ED50, 1.7 mg/kg) and is one of the most potent acidic CCK-B receptor antagonists yet described.
IT 152813-77-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(controlled modification of acidity in Cholecystokinin B receptor antagonist (benzodiazepinyl)[(tetrazolylamino)phenyl]ureas)
RN 152813-77-9 CAPLUS
CN Urea, N-[(3R)-2,3-dihydro-1-methyl-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]-N'-[2,3-dihydro-1-(1H-tetrazol-5-yl)-1H-indol-6-yl]- (9CI) (CA INDEX NAME)

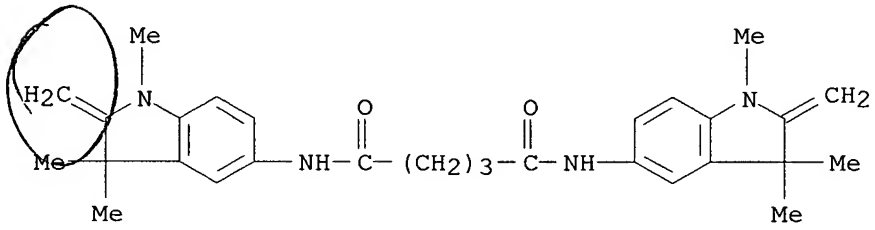
Absolute stereochemistry.



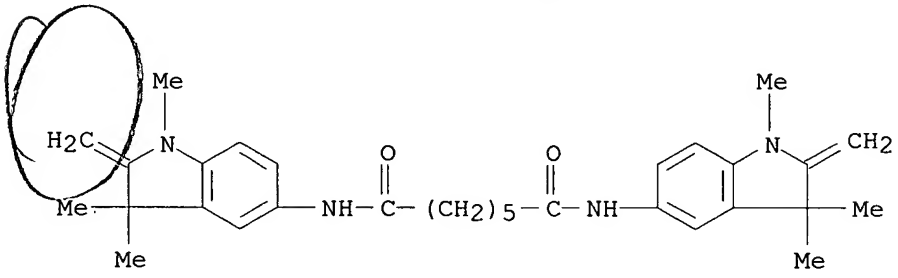
L8 ANSWER 35 OF 49 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1995:439547 CAPLUS
DN 123:198771
TI Studies on a novel, potent and orally effective cholecystokinin A antagonist, FK-480. Synthesis and structure-activity relationships of FK-480 and related compounds
AU Satoh, Yoshinari; Matsuo, Teruaki; Sogabe, Hajime; Itoh, Harunobu; Tada, Toshiji; Kinoshita, Takayoshi; Yoshida, Keizou; Takaya, Takao
CS New Drug Research Labs., Fujisawa Pharmaceutical Co., Ltd., Osaka, 532, Japan
SO Chemical & Pharmaceutical Bulletin (1994), 42(10), 2071-83
CODEN: CPBTAL; ISSN: 0009-2363
PB Pharmaceutical Society of Japan
DT Journal
LA English
AB Tricyclic 1,4-benzodiazepine derivs. were prepared as cholecystokinin (CCK) A antagonists, which were evaluated preliminarily for inhibition of 125I-CCK-8 binding to rate pancreatic membranes in vitro and inhibiting effect on CCK-8-induced inhibition of charcoal meal gastric emptying in mice. On the basis of structure-activity relationship studies, as well as the stability and availability of the starting materials of those compds., (S)-N-[1-(2-fluorophenyl)-3,4,6,7-tetrahydro-4-oxo-pyrrolo[3,2,1-jk][1,4]bezodiazepin-3-yl]-1H-indole-2-carboxamide (FK-480) (I) was selected as a candidate compound for further evaluation. The absolute configuration of the precursor of FK-480, (3S)-amino-1,4-benzodiazepine derivative was determined by an X-ray crystallog. study of its ureido derivative with (S)-α-methylbenzyl isocyanate. FK-480 is now undergoing clin. studies for the treatment of chronic pancreatitis.
IT 167645-27-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of FK-480 analogs as cholecystokinin A antagonists)
RN 167645-27-4 CAPLUS
CN 1H-Indole-7-carboxamide, N-[1-(2-fluorophenyl)-3,4,6,7-tetrahydro-4-oxopyrrolo[3,2,1-jk][1,4]benzodiazepin-3-yl]-2,3-dihydro- (9CI) (CA INDEX NAME)



L8 ANSWER 36 OF 49 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1994:581925 CAPLUS
DN 121:181925
TI Synthesis and characterization of bis-indolinospirobenzopyrans, new photo- and thermochromic dyes
AU Keum, Sam-Rok; Lee, Jeong-Hoon; Seok, Moon-Ki
CS Coll. Sci. Tech., Korea Univ., Choong-Nam, 339-700, S. Korea
SO Dyes and Pigments (1994), 25(1), 21-9
CODEN: DYPIDX; ISSN: 0143-7208
DT Journal
LA English
OS CASREACT 121:181925
AB New thermo- and photochromic dyes, viz. merocyanine-forming bis-indolinospirobenzopyrans (BSPs) have been synthesized by the reaction of bis-Fischer bases (BFBs) with 2-hydroxy-5-nitrobenzaldehyde. The BFBs were prepared from the reaction of 5-amino-Fischer base with the corresponding diacyl halides. The synthesized BSPs have been characterized by 1H-NMR, IR, UV-visible, and mass spectroscopy.
IT 156726-72-6P 156726-73-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and condensation with hydroxynitrobenzaldehyde)
RN 156726-72-6 CAPLUS
CN Pentanediamide, N,N'-bis(2,3-dihydro-1,3,3-trimethyl-2-methylene-1H-indol-5-yl)- (9CI) (CA INDEX NAME)



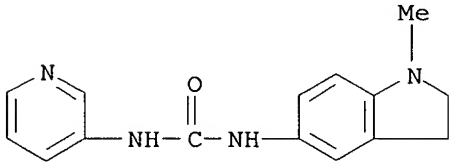
RN 156726-73-7 CAPLUS
CN Heptanediamide, N,N'-bis(2,3-dihydro-1,3,3-trimethyl-2-methylene-1H-indol-5-yl)- (9CI) (CA INDEX NAME)



L8 ANSWER 37 OF 49 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1994:579617 CAPLUS
DN 121:179617
TI Heteroaryl Ureas as 5-HT2c and 5-HT2b Antagonists
IN Forbes, Ian Thomson; Martin, Roger Thomas; Jones, Graham Elgin
PA SmithKline Beecham PLC, UK
SO PCT Int. Appl., 30 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

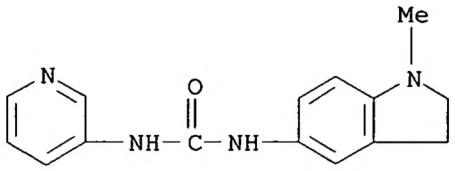
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9414801	A1	19940707	WO 1993-EP3666	19931221
	W: JP, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
PRAI	GB 1992-27048	A	19921229		
	GB 1993-4414	A	19930304		
	GB 1993-6459	A	19930329		

OS MARPAT 121:179617
AB Heterocyclic urea derivs. I (P = quinolinyl, isoquinolinyl, heteroaryl, etc.; J = quinolinyl, tetrahydroquinolinyl, indolinyl, indazolyl, benzothienyl, etc.; R1 = H, alkyl, etc.; R2 = H, alkyl) were disclosed. I were claimed for the manufacture of antidepressants, anxiolytics, for the treatment of Alzheimer's disease, bulimia, obsessive-compulsive disorders, schizophrenia, etc. I are 5-HT2c or 5-HT2b antagonists. Specifically claimed example compds. are N-(5-Benzo[b]thienyl)-N'-(3-pyridinyl)urea (II) and N-(1-Methyl-5-indazolyl)-N'-(3-pyridinyl)urea (III).
IT 157589-60-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 157589-60-1 CAPLUS
CN Urea, N-(2,3-dihydro-1-methyl-1H-indol-5-yl)-N'-3-pyridinyl-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

IT 157589-49-6P, N-(1-Methyl-5-indolinyl)-N'-(3-pyridinyl)urea
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as 5-HT2c-receptor antagonist)
RN 157589-49-6 CAPLUS
CN Urea, N-(2,3-dihydro-1-methyl-1H-indol-5-yl)-N'-3-pyridinyl- (9CI) (CA INDEX NAME)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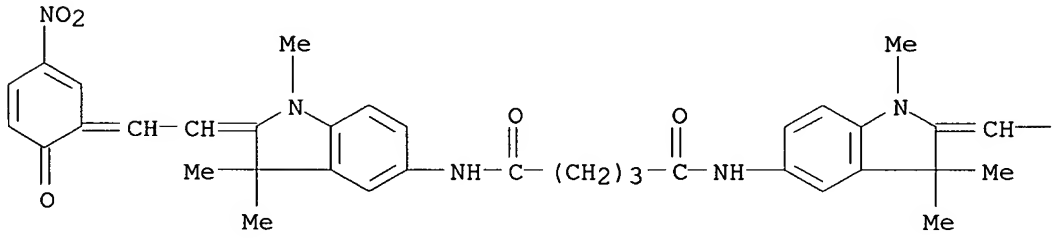
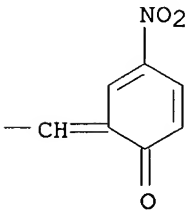
L8 ANSWER 38 OF 49 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1994:507824 CAPLUS
DN 121:107824
TI A simple and convenient synthetic route to the bis-indolinospirobenzopyrans
AU Keum, Sam Rok; Lee, Jeong Hoon; Seok, Moon Ki; Yoon, Cheol Min
CS Coll. Sci. Technol., Korea Univ., Choonnam, 339-700, S. Korea
SO Bulletin of the Korean Chemical Society (1994), 15(4), 275-7
CODEN: BKCSDE; ISSN: 0253-2964
DT Journal
LA English
OS CASREACT 121:107824
AB Synthetic work on bis analogs of indolinospirobenzopyrans gave a dimeric dye (I; n=3,5,7). I exhibited strong photochromism with very large molar extinction coeffs. for the open chain merocyanines. A neg, solvatochromism is obsd; aggregation via merocyanine units in non-polar solvents was considered.
IT 156726-78-2 156726-79-3
RL: PRP (Properties)
(UV spectra of)
RN 156726-78-2 CAPLUS
CN Pentanediamide, N,N'-bis[2,3-dihydro-1,3,3-trimethyl-2-[(3-nitro-6-oxo-2,4-cyclohexadien-1-ylidene)ethylidene]-1H-indol-5-yl]- (9CI) (CA INDEX NAME)

PAGE 1-A

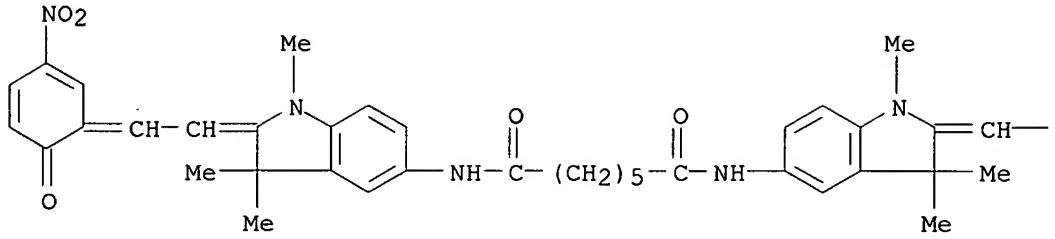


PAGE 1-B

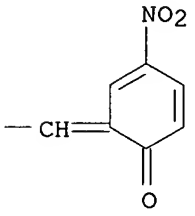


RN 156726-79-3 CAPLUS
CN Heptanediamide, N,N'-bis[2,3-dihydro-1,3,3-trimethyl-2-[(3-nitro-6-oxo-2,4-cyclohexadien-1-ylidene)ethylidene]-1H-indol-5-yl]- (9CI) (CA INDEX NAME)

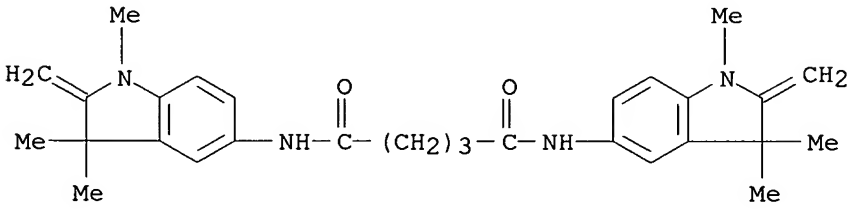
PAGE 1-A



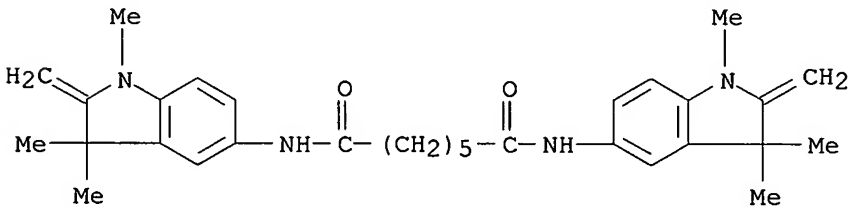
PAGE 1-B



IT 156726-72-6P 156726-73-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, reaction with hydroxynitrobenzaldehyde)
RN 156726-72-6 CAPLUS
CN Pentanediamide, N,N'-bis(2,3-dihydro-1,3,3-trimethyl-2-methylene-1H-indol-5-yl)- (9CI) (CA INDEX NAME)



RN 156726-73-7 CAPLUS
CN Heptanediamide, N,N'-bis(2,3-dihydro-1,3,3-trimethyl-2-methylene-1H-indol-5-yl)- (9CI) (CA INDEX NAME)

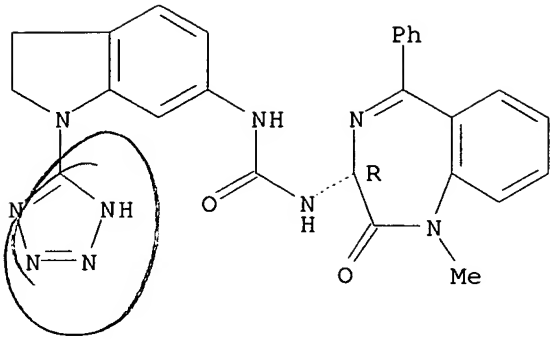


L8 ANSWER 39 OF 49 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1994:134543 CAPLUS
DN 120:134543
TI 3-ureido substituted benzodiazepin-2-ones having cholecystokinin and/or gastrin antagonistic activity and their use in therapy
IN Castro Pineiro, Jose Luis; Chambers, Mark Stuart; Hobbs, Sarah Christine; Matassa, Victor Giulio
PA Merck Sharp and Dohme Ltd., UK
SO PCT Int. Appl., 98 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9319052	A1	19930930	WO 1993-GB599	19930323
	W: AU, CA, JP, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	AU 9337633	A1	19931021	AU 1993-37633	19930323
	AU 670431	B2	19960718		
	EP 636123	A1	19950201	EP 1993-906736	19930323
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	JP 07505155	T2	19950608	JP 1993-516395	19930323
	US 5681833	A	19971028	US 1994-302936	19940920
PRAI	GB 1992-6317	A	19920324		
	GB 1992-6653	A	19920326		
	GB 1992-18386	A	19920828		
	GB 1992-23582	A	19921111		
	WO 1993-GB599	A	19930323		

OS MARPAT 120:134543
AB The title compds., N-aryl-N'-(oxobenzodiazepinyl)ureas I (R1 = imidazolyl, tetrasolyl, triazolyl, etc.; R2 = Ph, dihydroindolyl; R3 = a;lu;, halo, etc.; R4 = alkyl, cycloalkyl, etc.; R5 = hydrogen, alkyl) and prodrug forms of I and their uses as cholecystokinin antagonist or gastrin antagonist are claimed. This means, I are useful as anxiolytics, analgesics and for the treatment of panic. The in vitro activity of an example compound, (±)-N-(5-cyclohexyl-2,3-dihydro-1-methyl-2-oxo-1,4-benzodiazepin-3-yl)-N'-[3-[(5-tetrazolyl)aminomethyl]phenyl]urea (II) as cholecystokinin receptor antagonist was demonstrated.
IT 152813-77-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as cholecystokinin antagonist or gastrin antagonist)
RN 152813-77-9 CAPLUS
CN Urea, N-[(3R)-2,3-dihydro-1-methyl-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]-N'-[2,3-dihydro-1-(1H-tetrazol-5-yl)-1H-indol-6-yl]- (9CI) (CA INDEX NAME)

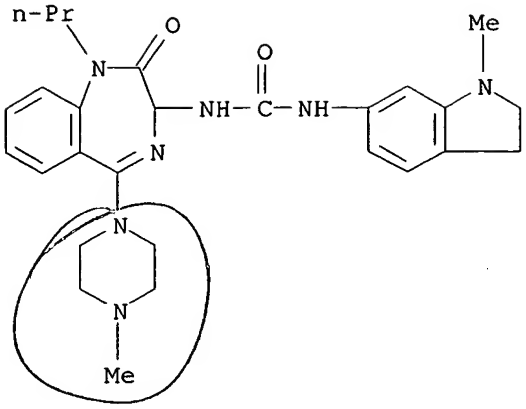
Absolute stereochemistry.


Ph
N
NH
N
R
N
NH
O
N
H
N
N
O
Me

L8 ANSWER 40 OF 49 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1993:539279 CAPLUS
DN 119:139279
TI Benzodiazepine derivatives and their use as antagonists of cholecystokinin and/or gastrin receptors
IN Bourrain, Sylvie; Fletcher, Stephen Robert; Matassa, Victor Giulio; Showell, Graham Andrew
PA Merck Sharp and Dohme Ltd., UK
SO Eur. Pat. Appl., 50 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 539170	A1	19930428	EP 1992-309589	19921021
	R: PT				
	WO 9308176	A1	19930429	WO 1992-GB1936	19921021
	W: AT, AU, BB, BG, BR, CA, CH, CS, DE, DK, ES, FI, GB, HU, JP, KP, KR, LK, LU, MG, MN, MW, NL, NO, PL, RO, RU, SD, SE, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG				
	AU 9227887	A1	19930521	AU 1992-27887	19921021
	AU 667690	B2	19960404		
	EP 609306	A1	19940810	EP 1992-921626	19921021
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, SE				
	ZA 9208197	A	19930705	ZA 1992-8197	19921023
	US 5478933	A	19951226	US 1994-225026	19940408
	US 5696110	A	19971209	US 1995-523661	19950905
PRAI	GB 1991-22634	A	19911024		
	GB 1992-3085	A	19920213		
	GB 1992-8107	A	19920413		
	GB 1992-14544	A	19920708		
	WO 1992-GB1936	A	19921021		
	US 1994-211870	B1	19940420		

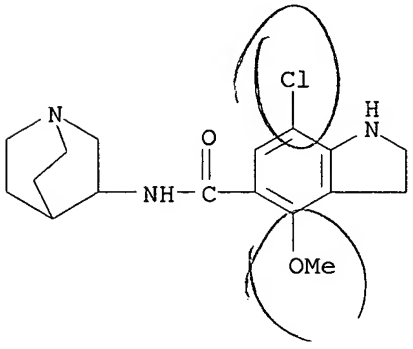
OS MARPAT 119:139279
AB The title compds. I (R1 = H, alkyl; R2 = Ph, substituted phenyl; R3 = alkyl, halo, amino; R4 = heterocyclic substituent) and their use for the treatment of panic disorders, pain or anxiety are claimed. I are gastrin or cholecystokinin receptor antagonists. For example, (±)-N-[2,3-dihydro-1-methyl-2-oxo-5-(1-piperidinyl)-1H-1,4-benzodiazepiN-3-yl]-N'-(3-methylphenyl)urea (II) was prepared in several steps. In rats II inhibited pancreatic cholecystokinin with an IC50 of 17 nM and brain cholecystokinin with an IC50 of 5.7 nM.
IT 149081-02-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as cholecystokinin inhibitor or gastrin inhibitor)
RN 149081-02-7 CAPLUS
CN Urea, N-(2,3-dihydro-1-methyl-1H-indol-6-yl)-N'-[2,3-dihydro-5-(4-methyl-1-piperazinyl)-2-oxo-1-propyl-1H-1,4-benzodiazepin-3-yl]- (9CI) (CA INDEX NAME)


n-Pr
O
N
O
NH
C
NH
Me
N
N
N
N
Me

L8 ANSWER 41 OF 49 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1990:591155 CAPLUS
DN 113:191155
TI Preparation of indole, quinoline, and benzazepine analogs as 5-HT3 antagonists
IN Pelletier, Jeffrey C.; Youssefyeh, Raymond D.; Campbell, Henry F.
PA Rorer Pharmaceutical Corp., USA
SO U.S., 15 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4920219	A	19900424	US 1988-277582	19881129
	WO 9006113	A1	19900614	WO 1989-US5422	19891129
	W: AU, JP, US				
	RW: AT, BE, CH, DE, ES, FR, GB, IT, LU, NL, SE				
	AU 9047439	A1	19900626	AU 1990-47439	19891129
	US 5063230	A	19911105	US 1990-489646	19900406
PRAI	US 1988-277582	A1	19881129		
	WO 1989-US5422	A	19891129		

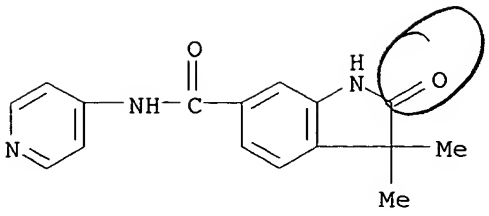
OS CASREACT 113:191155; MARPAT 113:191155
AB The title compds. [I; X = H, OH, amino, alkylamino, halo, CF3, alkylsulfamyl, alkylsulfonyl, etc.; R = H, alkyl; R1, R2 = H, alkyl, vicinal R2 groups together may be (CH2)a; a = 1-4; n = 2-4 V = alkyl, (CR1R2)b-SO-R3, (CR1R2)bCOR3; R3 = alkyl; Z = (CR1R2)d-NR1R2, 3- or 4-quinuclidinyl, etc.; b, d = 1-3] and their pharmaceutically acceptable salts, which are 5-HT3 antagonists and have gastric prokinetic and antiemetic activities and lack D2 receptor binding activity, were prepared 3-Aminoquinuclidine and a K2CO3 solution were added to a mixture of ClCO2Et and N-acetyl-2,3-dihydroindole II (R4 = NH2) in CHCl3-Et3N at -20° and the resulting mixture was stirred for 2 h to give II (R4 = 3-quinuclidinylamino). At 2.0 mg/kg i.v. I showed antiemetic activity in rats treated with cisplatin.
IT 129511-02-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as 5-HT3 antagonist)
RN 129511-02-0 CAPLUS
CN 1H-Indole-5-carboxamide, N-1-azabicyclo[2.2.2]oct-3-yl-7-chloro-2,3-dihydro-4-methoxy- (9CI) (CA INDEX NAME)



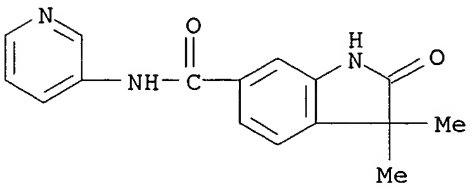
L8 ANSWER 42 OF 49 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1990:235173 CAPLUS
DN 112:235173
TI Preparation of bicyclic carboxamides for use in treating heart and circulatory diseases
IN Von der Saal, Wolfgang; Mertens, Alfred; Boehm, Erwin
PA Boehringer Mannheim G.m.b.H., Fed. Rep. Ger.
SO Eur. Pat. Appl., 33 pp.
CODEN: EPXXDW
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 344634	A1	19891206	EP 1989-109511	19890526
	EP 344634	B1	19940330		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	DE 3818830	A1	19891214	DE 1988-3818830	19880603
	AT 103593	E	19940415	AT 1989-109511	19890526
	AU 8935283	A1	19891207	AU 1989-35283	19890529
	AU 616521	B2	19911031		
	DD 283809	A5	19901024	DD 1989-329063	19890530
	DK 8902687	A	19891204	DK 1989-2687	19890601
	FI 8902730	A	19891204	FI 1989-2730	19890602
	NO 8902271	A	19891204	NO 1989-2271	19890602
	JP 02025464	A2	19900126	JP 1989-139392	19890602
	HU 53074	A2	19900928	HU 1989-2835	19890602
	CN 1038640	A	19900110	CN 1989-106049	19890603
	ZA 8904236	A	19900328	ZA 1989-4236	19890605
	US 5019587	A	19910528	US 1989-361090	19890605
PRAI	DE 1988-3818830	A	19880603		
	EP 1989-109511	A	19890526		

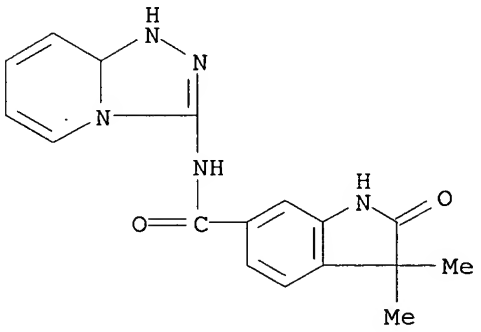
OS CASREACT 112:235173; MARPAT 112:235173
AB Title compds. I [R1 = H, alkyl, alkenyl, or cycloalkyl; R2 = H, alkyl, alkenyl, cycloalkyl, alkylcarbonyl, alkoxycarbonyl, aminocarbonyl, hydrazinocarbonyl, or together with R1 form a cycloalkyl ring; R3 = an aromatic heterocyclic 5- or 6-membered ring, (un)substituted Ph, or (un)substituted naphthyl; R4, R5 = H, alkyl, alkenyl, alkynyl, benzyl, or cycloalkyl; X = a bond or alkenyl; n = 0 or 1) are prepared as agents for treating heart and circulatory diseases (no data). Thus, 6-amino-1,3-dihydro-3,3-dimethyl(2H)indolin-2-one was diazotized, reacted with CuCN to give the nitrile, the nitrile hydrolyzed to give the acid, the acid converted to the chloride, and the chloride reacted with aniline to give 2,3-dihydro-3,3-dimethyl-N-phenyl-2-oxo-(1H)-indol-6-carboxamide (56% yield).
IT 127266-96-0P 127266-97-1P 127267-03-2P
127267-12-3P 127267-13-4P 127267-35-0P
127267-42-9P 127267-46-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, for treatment of circulatory and heart diseases)
RN 127266-96-0 CAPLUS
CN 1H-Indole-6-carboxamide, 2,3-dihydro-3,3-dimethyl-2-oxo-N-4-pyridinyl-(9CI) (CA INDEX NAME)



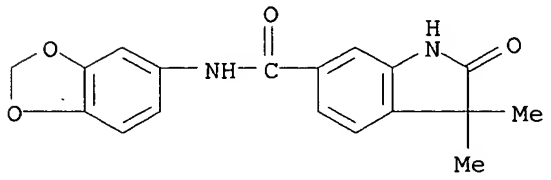
RN 127266-97-1 CAPLUS
CN 1H-Indole-6-carboxamide, 2,3-dihydro-3,3-dimethyl-2-oxo-N-3-pyridinyl- (9CI) (CA INDEX NAME)



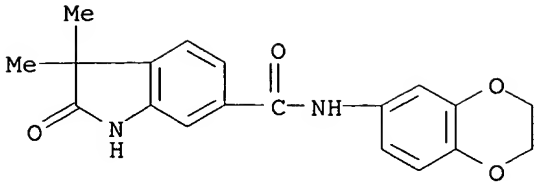
RN 127267-03-2 CAPLUS
CN 1H-Indole-6-carboxamide, N-(1,8a-dihydro-1,2,4-triazolo[4,3-a]pyridin-3-yl)-2,3-dihydro-3,3-dimethyl-2-oxo- (9CI) (CA INDEX NAME)



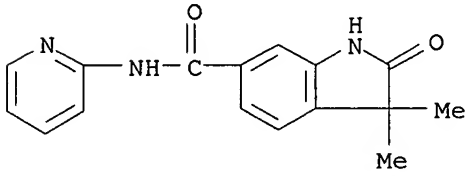
RN 127267-12-3 CAPLUS
CN 1H-Indole-6-carboxamide, N-1,3-benzodioxol-5-yl-2,3-dihydro-3,3-dimethyl-2-oxo- (9CI) (CA INDEX NAME)



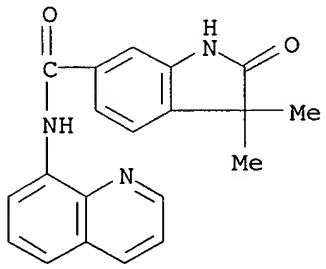
RN 127267-13-4 CAPLUS
CN 1H-Indole-6-carboxamide, N-(2,3-dihydro-1,4-benzodioxin-6-yl)-2,3-dihydro-3,3-dimethyl-2-oxo- (9CI) (CA INDEX NAME)



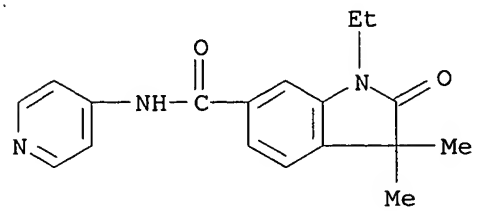
RN 127267-35-0 CAPLUS
CN 1H-Indole-6-carboxamide, 2,3-dihydro-3,3-dimethyl-2-oxo-N-2-pyridinyl- (9CI) (CA INDEX NAME)



RN 127267-42-9 CAPLUS
CN 1H-Indole-6-carboxamide, 2,3-dihydro-3,3-dimethyl-2-oxo-N-8-quinolinyl- (9CI) (CA INDEX NAME)



RN 127267-46-3 CAPLUS
CN 1H-Indole-6-carboxamide, 1-ethyl-2,3-dihydro-3,3-dimethyl-2-oxo-N-4-pyridinyl- (9CI) (CA INDEX NAME)



L8 ANSWER 43 OF 49 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1989:614796 CAPLUS
DN 111:214796
TI Preparation of 5-HT3 antagonists
IN Cohen, Marlene Lois; Lacefield, William Bryant
PA Eli Lilly and Co., USA
SO Eur. Pat. Appl., 40 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 307172	A2	19890315	EP 1988-308243	19880907
	EP 307172	A3	19910123		
	EP 307172	B1	19951011		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	ZA 8806585	A	19900530	ZA 1988-6585	19880905
	IL 87674	A1	19930818	IL 1988-87674	19880905
	AU 8821916	A1	19890309	AU 1988-21916	19880906
	AU 609185	B2	19910426		
	DK 8804944	A	19890407	DK 1988-4944	19880906
	CA 1294281	A1	19920114	CA 1988-576544	19880906
	SU 1777602	A3	19921123	SU 1988-4356471	19880906
	CN 1031841	A	19890322	CN 1988-106592	19880907
	CN 1020102	B	19930317		
	JP 01110684	A2	19890427	JP 1988-227352	19880907
	JP 2703569	B2	19980126		
	HU 48249	A2	19890529	HU 1988-4619	19880907
	HU 201935	B	19910128		
	AT 128979	E	19951015	AT 1988-308243	19880907
	ES 2079357	T3	19960116	ES 1988-308243	19880907
	US 4921982	A	19900501	US 1989-366343	19890614
	US 4997956	A	19910305	US 1990-471754	19900124
	AU 9169953	A1	19910418	AU 1991-69953	19910124
	AU 638790	B2	19930708		
	US 5364863	A	19941115	US 1993-53061	19930426
	US 5442078	A	19950815	US 1994-263858	19940622
	US 5563148	A	19961008	US 1995-427773	19950425
PRAI	US 1987-94360	A	19870908		
	US 1988-222466	B1	19880721		
	US 1989-366343	A3	19890614		
	US 1990-471754	A3	19900124		
	US 1990-598297	B3	19901016		
	US 1991-730718	B3	19910716		
	US 1991-598297	B3	19910727		
	US 1993-53061	A3	19930426		
	US 1994-263858	A3	19940622		

OS CASREACT 111:214796; MARPAT 111:214796
AB The title compds. [I R = quinuclidinyl, quinuclidine N-oxide residue, 1-azabicyclo[3.3.1]non-4-yl, etc.; R1, R2 = H, Me, Et, or CR1R2 = cycloalkyl, however, R1 = R2 ≠ H; R3, R4 = H, Me, halo, alkoxy, NH2, etc.; m = 1, 2; t = 0, 1, 2; Z = O, NH; E = O, NH, S], useful for treatment of migraine headache, are prepared 2,2-Dimethyl-2,3-dihydrobenzo[b]thiophene-7-carbonyl chloride (preparation given) was treated with tropamine to give, after treatment with (Z)-HO2CCH:CHCO2H, endo-2,3-dihydro-2,2-dimethyl-N-(8-methyl-8-azabicyclo[3.2.1]oct-3-yl)benzo[b]thiophene-7-carboxamide (Z)-butenedioate. Thirty-eight I at

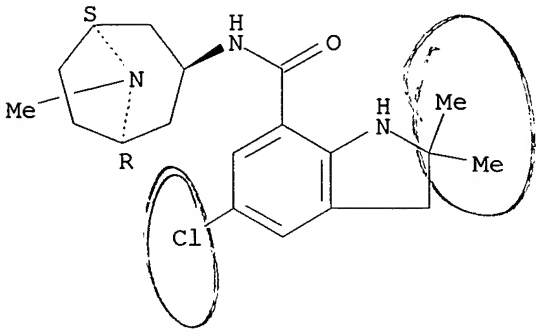
0.01 mg/kg i.v. showed 0-83% inhibition of serotonin-induced Bezold-Jarisch reflex in rats. Hard gelatin capsules were prepared each containing endo-2,3-dihydro-2,2-dimethyl-N-(8-methyl-8-azabicyclo[3.2.1]oct-3-yl)benzofuran-7-carboxamide (Z)-butenedioate 250, starch 200, and Mg stearate 10 mg.

IT 123656-60-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as serotonin antagonist)

RN 123656-60-0 CAPLUS

CN 1H-Indole-7-carboxamide, 5-chloro-2,3-dihydro-2,2-dimethyl-N-(8-methyl-8-azabicyclo[3.2.1]oct-3-yl)-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L8 ANSWER 44 OF 49 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1989:8041 CAPLUS
DN 110:8041
TI Preparation and use of carbocyclic and heterocyclic esters and amides and imidazolylcarbazoles for treatment of psychosis, rhinitis, and pulmonary embolism and for facilitation of the nasal resorption of drugs
IN Azria, Moise; Buchheit, Karl Heinz; Dixon, Keith Arnold; Engel, Guenther; Giger, Rudolf Karl Andreas
PA Sandoz-Patent-G.m.b.H., Fed. Rep. Ger.
SO Ger. Offen., 27 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 3724059	A1	19880218	DE 1987-3724059	19870721
	NL 8701682	A	19880216	NL 1987-1682	19870716
	HU 45895	A2	19880928	HU 1987-3252	19870716
	HU 202108	B	19910228		
	FR 2602142	A1	19880205	FR 1987-10519	19870722
	FR 2602142	B1	19960705		
	CH 675072	A	19900831	CH 1987-2831	19870723
	BE 1005921	A4	19940315	BE 1987-818	19870723
	NO 8703133	A	19880201	NO 1987-3133	19870727
	GB 2193633	A1	19880217	GB 1987-17768	19870727
	GB 2193633	B2	19910417		
	DK 8703924	A	19880131	DK 1987-3924	19870728
	FI 8703280	A	19880131	FI 1987-3280	19870728
	AU 8776190	A1	19880204	AU 1987-76190	19870728
	AU 610074	B2	19910516		
	SE 8702980	A	19880428	SE 1987-2980	19870728
	SE 504184	C2	19961202		
	ES 2010227	A6	19891101	ES 1987-2207	19870728
	IL 83363	A1	19930708	IL 1987-83363	19870728
	IL 96796	A1	19940731	IL 1987-96796	19870728
	IL 96797	A1	19941229	IL 1987-96797	19870728
	JP 63041429	A2	19880222	JP 1987-193629	19870729
	JP 2632858	B2	19970723		
	AT 8701912	A	19930515	AT 1987-1912	19870729
	AT 396870	B	19931227		
	CA 1327750	A1	19940315	CA 1987-543271	19870729
	ZA 8705652	A	19890628	ZA 1987-5652	19870730
	ES 2016440	A6	19901101	ES 1989-1137	19890331
	ZA 8903145	A	19890628	ZA 1989-3145	19890427
	ZA 8903146	A	19890628	ZA 1989-3146	19890730
	GB 2231264	A1	19901114	GB 1990-8068	19900410
	GB 2231264	B2	19910424		
	GB 2231265	A1	19901114	GB 1990-8069	19900410
	GB 2231265	B2	19910424		
	AU 9171946	A1	19910509	AU 1991-71946	19910227
	AU 642210	B2	19931014		
	AU 9172910	A1	19910516	AU 1991-72910	19910314
	AU 637878	B2	19930610		
	CA 1334075	A1	19950124	CA 1992-616654	19920909
	US 5561149	A	19961001	US 1995-403620	19950314
PRAI	GB 1986-18614	A	19860730		
	DE 1986-3626703	A1	19860807		

	GB 1987-17768	A3	19870727
	US 1987-78336	B1	19870727
	IL 1987-83363	A3	19870728
	CA 1987-543271	A3	19870729
	US 1989-423916	B1	19891019
	US 1991-701934	B1	19910517
	US 1992-890493	B1	19920528
	US 1993-3926	B1	19930113
	US 1993-111805	B1	19930825

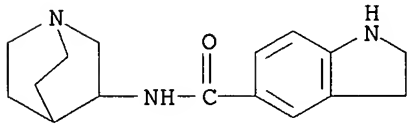
OS MARPAT 110:8041

AB Carboxylate and sulfonate esters, carboxamides, and sulfonamides of a variety of N-containing heterocyclic alcs. and amines with a variety of mono- and bicyclic carbocyclic and heterocyclic acids and imidazolylmethyltetrahydrocarbazolones I (R1 = H, C1-10 alkyl, C3-9 cycloalkyl, C3-6 alkenyl, Ph, phenylalkyl; R2-R4 = H, C1-6 alkyl, C3-7 cycloalkyl, C2-4 alkenyl, phenylalkyl) were prepared (.apprx.80 compds.) for treatment of psychotic disorders, rhinitis, and pulmonary embolism and to improve the nasal resorption of other drugs such as peptides. endo-8-Methyl-8-azabicyclo[3.2.1]oct-3-yl indole-3-carboxylate (II) at 0.01-100 μg/kg i.p. reversed the stress-induced inhibition of social behavior in mice, and at 1-10 mg/kg orally inhibited the stress-induced elevation of plasma corticosterone in mice in a manner similar to diazepam. II reached a level of 200 ng/mL in the plasma 5-10 mins. after nasal administration, compared to 30-40 mins. after oral administration of the same dose. A nasal spray for treatment of rhinitis or pulmonary embolism contained II-HCl 100 mg, benzalkonium chloride 0.1 mg, 0.9% aqueous NaCl 0.6 mL, and distilled water 0.4 mL. Pseudotropine was chlorinated to 3-chloro-8-methyl-8-azabicyclo[3.2.1]octane, which was converted successively to the 3-cyano, 3-methoxycarbonyl, 3-carboxy, and 3-chlorocarbonyl derivs. followed by reaction with MeMgI and indole to produce 3β-(indole-3-carbonyl)-8-methyl-8-azabicyclo[3.2.1]octane.

IT 117843-77-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, for lung embolism and mental disorder and rhinitis treatment)

RN 117843-77-3 CAPLUS

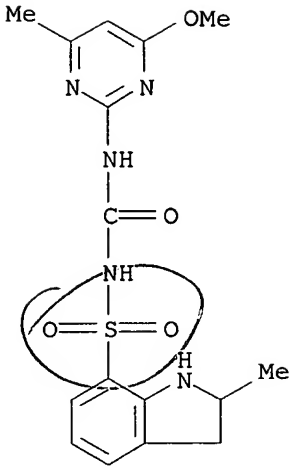
CN 1H-Indole-5-carboxamide, N-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro- (9CI) (CA INDEX NAME)



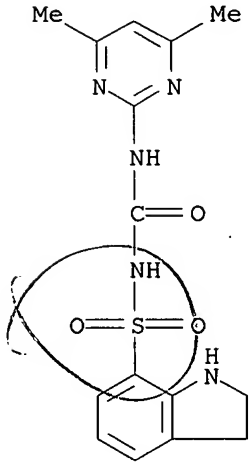
L8 ANSWER 45 OF 49 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1987:617644 CAPLUS
DN 107:217644
TI Preparation of N-(azinylcarbamoyl)sulfonamides as herbicides and plant growth inhibitors
IN Petersen, W. Christian
PA du Pont de Nemours, E. I., and Co., USA
SO U.S., 20 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4683000	A	19870728	US 1983-564379	19831222
	US 4772313	A	19880920	US 1987-42446	19870424
PRAI	US 1983-564379	A3	19831222		

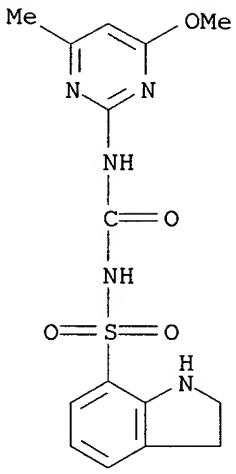
OS CASREACT 107:217644
AB LSO2NHCONRA [I; A = azinyl Q; L = indolyl Q1, Q2, quinolinyl Q3; R, R3, R4 = H, Me; R1 = H, C1-3 alkyl, C3 alkenyl; R2 = H, C1-3 alkyl, CO2Me, CO2Et, CONMe2; R5 = H, Me, Et, CF3, MeO, MeS, F2CHO, F2CHS, Br, Cl, F; X = Me, Et, CF3, MeO, EtO, F2CHO; Y = H, MeS, EtS, C3-4 alkenyloxy, C3-4 alkyl, C1-4 alkoxy, 1,3-dioxolan-2-yl, 1,3-dioxan-3-yl; Z = CH, N] were prepared as herbicides and plant growth inhibitors. 2-Methylindole was reduced with NaBH3CN and the resulting indoline was cyclocondensed with ClSO2NCO to give pyrrolobenzothiadiazine dioxide II. The latter was cleaved by refluxing in aqueous HCl to give 2-methyl-7-indolinesulfonamide which was acylated with Me (4-methoxy-6-methyl-2-pyrimidinyl)carbamate to give (indolylsulfonyl)pyrimidinylurea III. In preemergence tests 50 g III/ha gave complete control of Echinochloa crus-galli. Fifteen formulation examples are given.
IT 111048-25-0P 111048-27-2P 111048-28-3P
111048-29-4P 111048-30-7P 111048-31-8P
111048-32-9P 111048-33-0P 111048-34-1P
111048-35-2P 111048-36-3P 111048-37-4P
111048-38-5P 111048-39-6P 111048-40-9P
111048-41-0P 111048-42-1P 111048-43-2P
111048-44-3P 111048-45-4P 111048-46-5P
111048-47-6P 111048-48-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as herbicide and plant growth inhibitor)
RN 111048-25-0 CAPLUS
CN 1H-Indole-7-sulfonamide, 2,3-dihydro-N-[[(4-methoxy-6-methyl-2-pyrimidinyl)amino]carbonyl]-2-methyl- (9CI) (CA INDEX NAME)



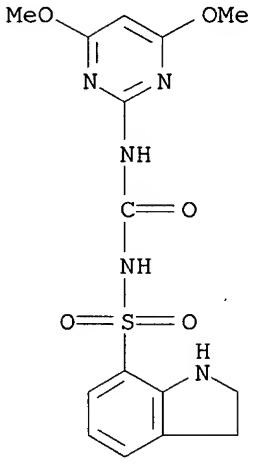
RN 111048-27-2 CAPLUS
CN 1H-Indole-7-sulfonamide, N-[[(4,6-dimethyl-2-pyrimidinyl)amino]carbonyl]-2,3-dihydro- (9CI) (CA INDEX NAME)



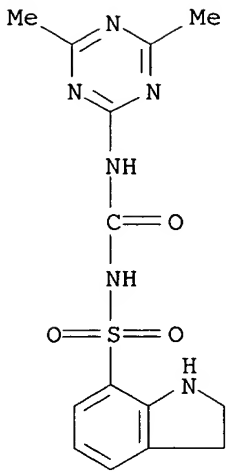
RN 111048-28-3 CAPLUS
CN 1H-Indole-7-sulfonamide, 2,3-dihydro-N-[[(4-methoxy-6-methyl-2-pyrimidinyl)amino]carbonyl]- (9CI) (CA INDEX NAME)



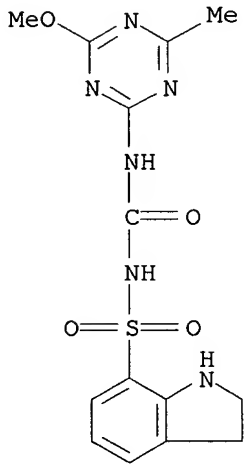
RN 111048-29-4 CAPLUS
CN 1H-Indole-7-sulfonamide, N-[[(4,6-dimethoxy-2-pyrimidinyl)amino]carbonyl]-2,3-dihydro- (9CI) (CA INDEX NAME)



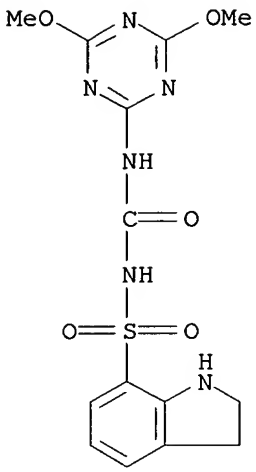
RN 111048-30-7 CAPLUS
CN 1H-Indole-7-sulfonamide, N-[[(4,6-dimethyl-1,3,5-triazin-2-yl)amino]carbonyl]-2,3-dihydro- (9CI) (CA INDEX NAME)



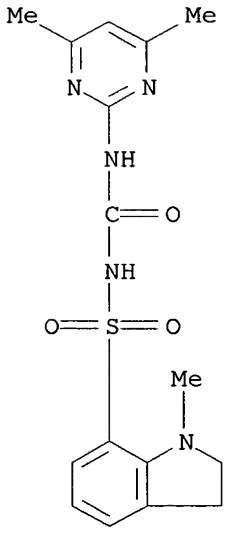
RN 111048-31-8 CAPLUS
CN 1H-Indole-7-sulfonamide, 2,3-dihydro-N-[[(4-methoxy-6-methyl-1,3,5-triazin-2-yl)amino]carbonyl]- (9CI) (CA INDEX NAME)



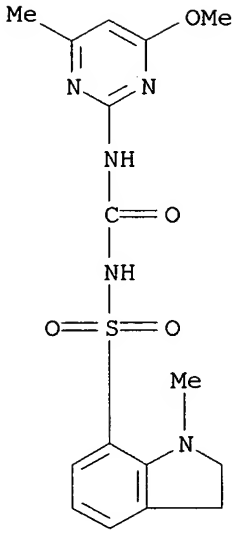
RN 111048-32-9 CAPLUS
CN 1H-Indole-7-sulfonamide, N-[[(4,6-dimethoxy-1,3,5-triazin-2-yl)amino]carbonyl]-2,3-dihydro- (9CI) (CA INDEX NAME)



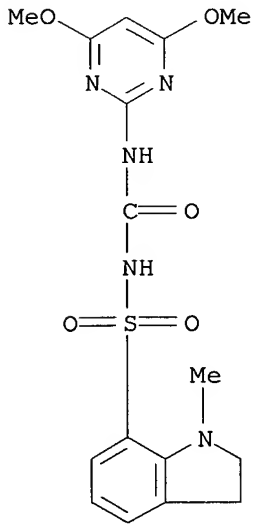
RN 111048-33-0 CAPLUS
CN 1H-Indole-7-sulfonamide, N-[[(4,6-dimethyl-2-pyrimidinyl)amino]carbonyl]-2,3-dihydro-1-methyl- (9CI) (CA INDEX NAME)



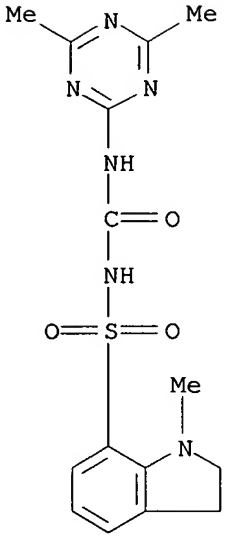
RN 111048-34-1 CAPLUS
CN 1H-Indole-7-sulfonamide, 2,3-dihydro-N-[[(4-methoxy-6-methyl-2-pyrimidinyl)amino]carbonyl]-1-methyl- (9CI) (CA INDEX NAME)



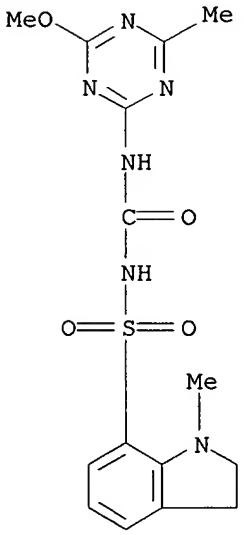
RN 111048-35-2 CAPLUS
CN 1H-Indole-7-sulfonamide, N-[[(4,6-dimethoxy-2-pyrimidinyl)amino]carbonyl]-2,3-dihydro-1-methyl- (9CI) (CA INDEX NAME)



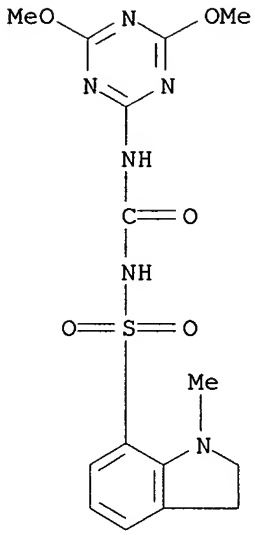
RN 111048-36-3 CAPLUS
CN 1H-Indole-7-sulfonamide, N-[[(4,6-dimethyl-1,3,5-triazin-2-yl)amino]carbonyl]-2,3-dihydro-1-methyl- (9CI) (CA INDEX NAME)



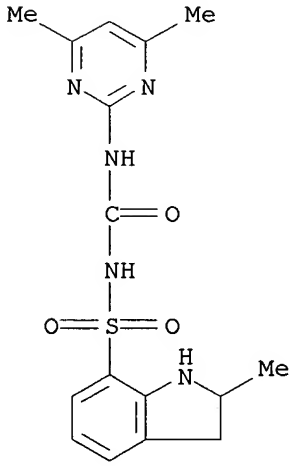
RN 111048-37-4 CAPLUS
CN 1H-Indole-7-sulfonamide, 2,3-dihydro-N-[[(4-methoxy-6-methyl-1,3,5-triazin-2-yl)amino]carbonyl]-1-methyl- (9CI) (CA INDEX NAME)



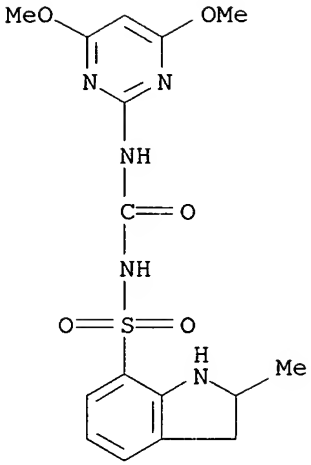
RN 111048-38-5 CAPLUS
CN 1H-Indole-7-sulfonamide, N-[[(4,6-dimethoxy-1,3,5-triazin-2-yl)amino]carbonyl]-2,3-dihydro-1-methyl- (9CI) (CA INDEX NAME)



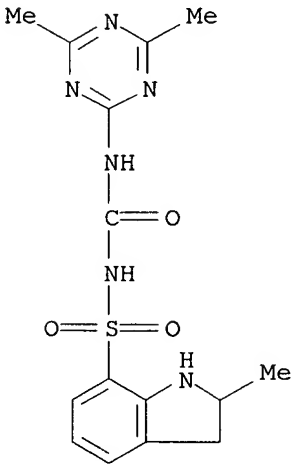
RN 111048-39-6 CAPLUS
CN 1H-Indole-7-sulfonamide, N-[[(4,6-dimethyl-2-pyrimidinyl)amino]carbonyl]-2,3-dihydro-2-methyl- (9CI) (CA INDEX NAME)



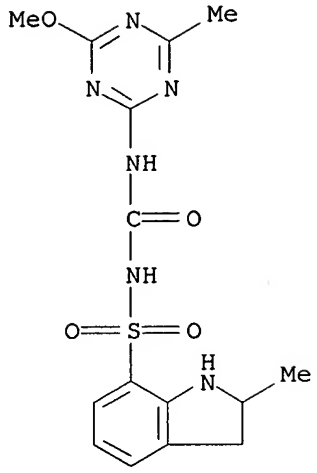
RN 111048-40-9 CAPLUS
CN 1H-Indole-7-sulfonamide, N-[[(4,6-dimethoxy-2-pyrimidinyl)amino]carbonyl]-2,3-dihydro-2-methyl- (9CI) (CA INDEX NAME)



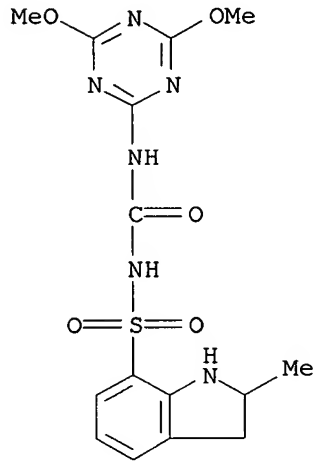
RN 111048-41-0 CAPLUS
CN 1H-Indole-7-sulfonamide, N-[[(4,6-dimethyl-1,3,5-triazin-2-yl)amino]carbonyl]-2,3-dihydro-2-methyl- (9CI) (CA INDEX NAME)



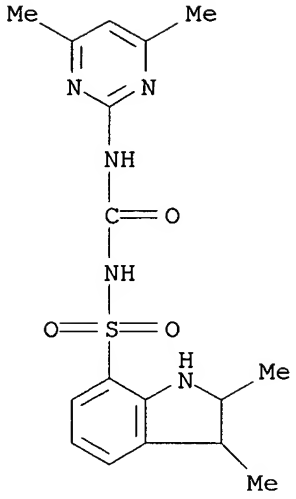
RN 111048-42-1 CAPLUS
CN 1H-Indole-7-sulfonamide, 2,3-dihydro-N-[[(4-methoxy-6-methyl-1,3,5-triazin-2-yl)amino]carbonyl]-2-methyl- (9CI) (CA INDEX NAME)



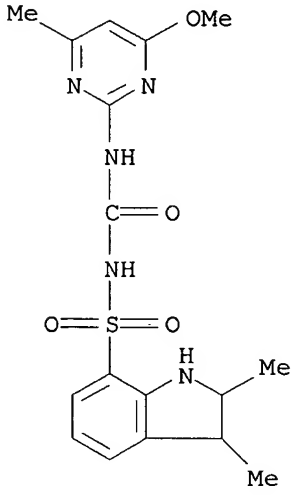
RN 111048-43-2 CAPLUS
CN 1H-Indole-7-sulfonamide, N-[[(4,6-dimethoxy-1,3,5-triazin-2-yl)amino]carbonyl]-2,3-dihydro-2-methyl- (9CI) (CA INDEX NAME)



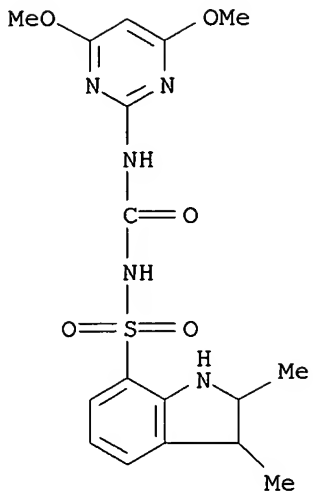
RN 111048-44-3 CAPLUS
CN 1H-Indole-7-sulfonamide, N-[[(4,6-dimethyl-2-pyrimidinyl)amino]carbonyl]-2,3-dihydro-2,3-dimethyl- (9CI) (CA INDEX NAME)



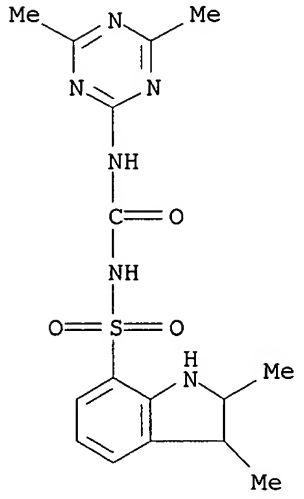
RN 111048-45-4 CAPLUS
CN 1H-Indole-7-sulfonamide, 2,3-dihydro-N-[[(4-methoxy-6-methyl-2-pyrimidinyl)amino]carbonyl]-2,3-dimethyl- (9CI) (CA INDEX NAME)



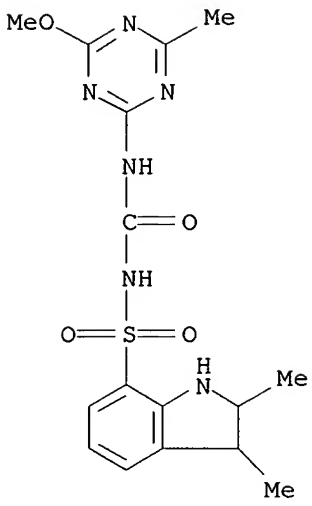
RN 111048-46-5 CAPLUS
CN 1H-Indole-7-sulfonamide, N-[[(4,6-dimethoxy-2-pyrimidinyl)amino]carbonyl]-2,3-dihydro-2,3-dimethyl- (9CI) (CA INDEX NAME)



RN 111048-47-6 CAPLUS
CN 1H-Indole-7-sulfonamide, N-[[(4,6-dimethyl-1,3,5-triazin-2-yl)amino]carbonyl]-2,3-dihydro-2,3-dimethyl- (9CI) (CA INDEX NAME)



RN 111048-48-7 CAPLUS
CN 1H-Indole-7-sulfonamide, 2,3-dihydro-N-[[(4-methoxy-6-methyl-1,3,5-triazin-2-yl)amino]carbonyl]-2,3-dimethyl- (9CI) (CA INDEX NAME)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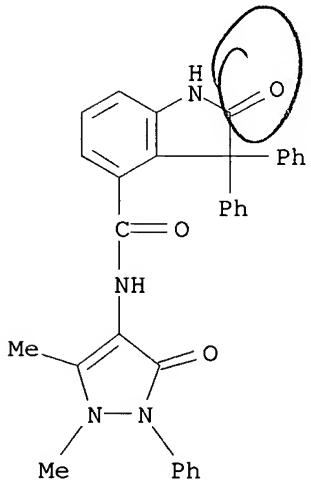
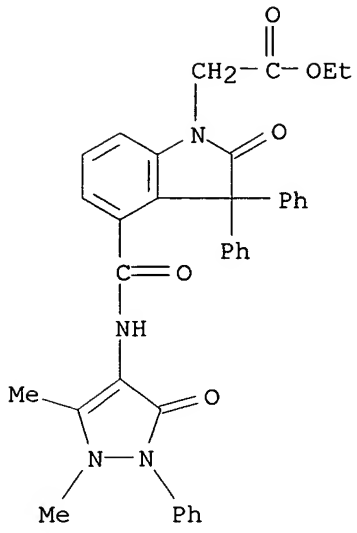
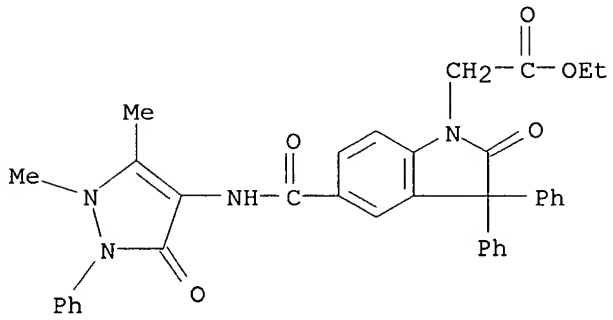
L8 ANSWER 46 OF 49 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1986:102136 CAPLUS
DN 104:102136
TI Synthesis and biological activity of 3,3-diphenyl-4- or 5-carboxy-2-oxoindoline-1-acetic acids and their derivatives
AU Bolotov, V. V.; Nambelbai, A.; Drogovoz, S. M.; Vereitinova, V. P.; Osipenko, L. K.
CS Farm. Inst. Khar'k., Kharkov, USSR
SO Khimiko-Farmatsevticheskii Zhurnal (1985), 19(12), 1444-7
CODEN: KHFZAN; ISSN: 0023-1134
DT Journal
LA Russian
OS CASREACT 104:102136
AB Eighteen title compds. (I; R1 = CO2H, CO2Et, CO2Na or antipyryl-NHCO; R2 = H, CH2CO2H, CH2CO2Et, CH2CO2Na, or antipyryl-NHOCCH2) were prepared from the Et esters of 4- or 5-carboxy-3,3-diphenyl-2-oxoindoline and tested for analgesic and antiinflammatory activity in mice. Given orally at 50 mg/kg, the greatest anti-inflammatory activity was exhibited by I (R1 = 5-CO2H, R2 = antipyryl-NHOCCH2) [100549-94-8] and I (R1 = 5-antipyryl-NHCO, R2 = CH2CO2H) [100549-95-9]. The greatest analgesic activity was exhibited by the 5-CO2H compound mentioned above, its 4-CO2H analog [100549-96-0], and I (R1 = 4-antipyryl-NHCO, R2 = CH2CO2H) [100549-97-1]. The acute, oral toxicities of these 4 compds. were very low (≥5000 mg/kg).
IT 100549-91-5P 100549-92-6P 100549-93-7P
100549-95-9P 100549-97-1P 100577-86-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and analgesic and anti-inflammatory activity of)
RN 100549-91-5 CAPLUS
CN 1H-Indole-4-carboxamide, N-(2,3-dihydro-1,5-dimethyl-3-oxo-2-phenyl-1H-pyrazol-4-yl)-2,3-dihydro-2-oxo-3,3-diphenyl- (9CI) (CA INDEX NAME)



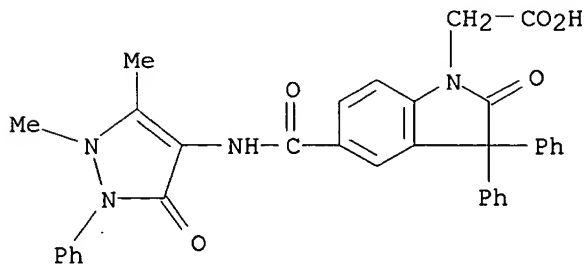
RN 100549-92-6 CAPLUS
CN 1H-Indole-1-acetic acid, 4-[[(2,3-dihydro-1,5-dimethyl-3-oxo-2-phenyl-1H-pyrazol-4-yl)amino]carbonyl]-2,3-dihydro-2-oxo-3,3-diphenyl-, ethyl ester (9CI) (CA INDEX NAME)



RN 100549-93-7 CAPLUS
CN 1H-Indole-1-acetic acid, 5-[[(2,3-dihydro-1,5-dimethyl-3-oxo-2-phenyl-1H-pyrazol-4-yl)amino]carbonyl]-2,3-dihydro-2-oxo-3,3-diphenyl-, ethyl ester (9CI) (CA INDEX NAME)

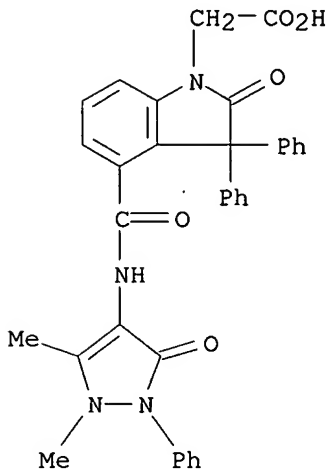


RN 100549-95-9 CAPLUS
CN 1H-Indole-1-acetic acid, 5-[[(2,3-dihydro-1,5-dimethyl-3-oxo-2-phenyl-1H-pyrazol-4-yl)amino]carbonyl]-2,3-dihydro-2-oxo-3,3-diphenyl- (9CI) (CA INDEX NAME)



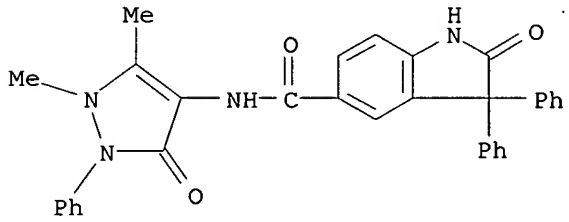
RN 100549-97-1 CAPLUS

CN 1H-Indole-1-acetic acid, 4-[[(2,3-dihydro-1,5-dimethyl-3-oxo-2-phenyl-1H-pyrazol-4-yl)amino]carbonyl]-2,3-dihydro-2-oxo-3,3-diphenyl- (9CI) (CA INDEX NAME)



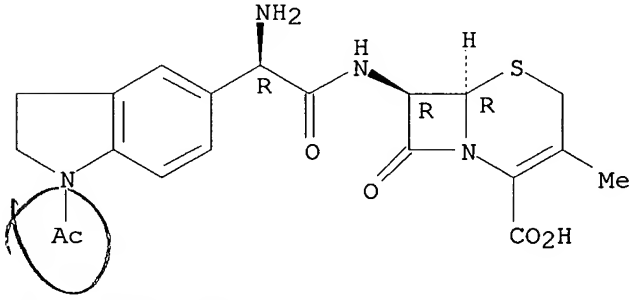
RN 100577-86-4 CAPLUS

CN 1H-Indole-5-carboxamide, N-(2,5-dihydro-2,3-dimethyl-5-oxo-1-phenyl-1H-pyrazol-4-yl)-2,3-dihydro-2-oxo-3,3-diphenyl- (9CI) (CA INDEX NAME)



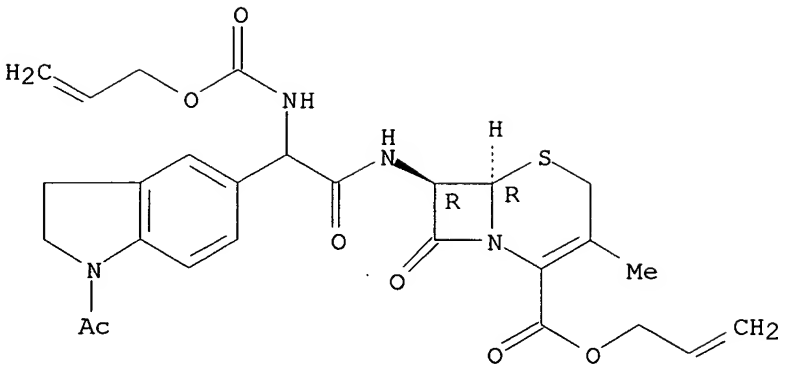
L8 ANSWER 47 OF 49 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1985:595924 CAPLUS
DN 103:195924
TI Orally absorbable cephalosporin antibiotics. 2. Structure-activity studies of bicyclic glycine derivatives of 7-aminodeacetoxycephalosporanic acid
AU Kukolja, Stjepan; Draheim, Susan E.; Graves, Bernard J.; Hunden, David C.; Pfeil, Janice L.; Cooper, Robin D. G.; Ott, John L.; Counter, Fred T.
CS Lilly Res. Lab., Eli Lilly and Co., Indianapolis, IN, 46285, USA
SO Journal of Medicinal Chemistry (1985), 28(12), 1896-903
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
OS CASREACT 103:195924
AB The cephalosporins I (R = 1-acetyl-5-indolyl, 4-, 5-benzothienyl, 3-methyl-7-benzothienyl, 2-thieno[3,2-b]thienyl, 2-thieno[2,3-b]thienyl) are prepared (R)-I have good activity against Gram-pos. bacteria. Against Streptococcus pneumonia infections I (R = 1-acetyl-5-indolyl) displayed better mouse protection, both orally and s.c., than cephalexin.
IT 98855-89-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and bactericidal activity of)
RN 98855-89-1 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(1-acetyl-2,3-dihydro-1H-indol-5-yl)aminoacetyl]amino]-3-methyl-8-oxo-, [6R-[6α,7β(R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



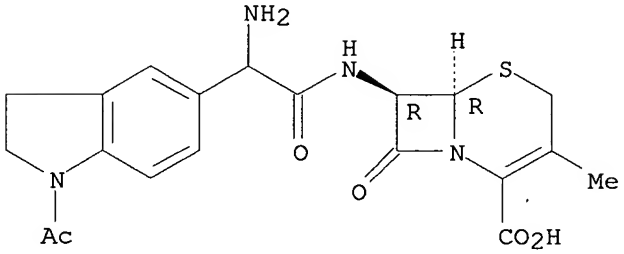
IT 98800-06-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deblocking of)
RN 98800-06-7 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(1-acetyl-2,3-dihydro-1H-indol-5-yl)[[(2-propenyloxy)carbonyl]amino]acetyl]amino]-3-methyl-8-oxo-, 2-propenyl ester, [6R-(6α,7β)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



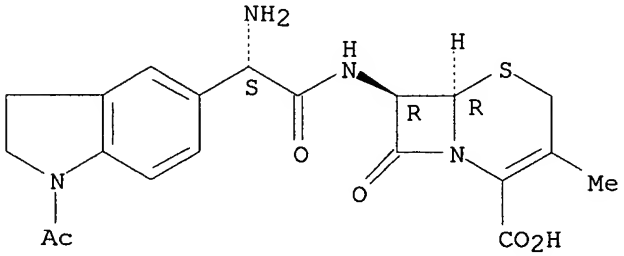
IT 98800-07-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and separation of stereoisomers of)
RN 98800-07-8 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(1-acetyl-2,3-dihydro-1H-indol-5-yl)aminoacetyl]amino]-3-methyl-8-oxo-, [6R-(6α,7β)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

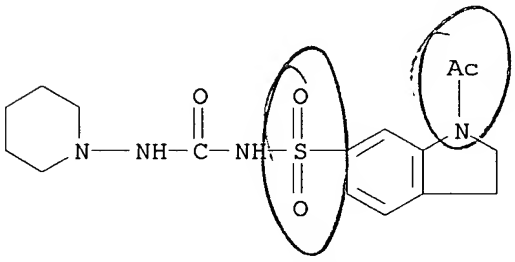


IT 98855-90-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 98855-90-4 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(1-acetyl-2,3-dihydro-1H-indol-5-yl)aminoacetyl]amino]-3-methyl-8-oxo-, [6R-[6α,7β(S*)]]- (9CI) (CA INDEX NAME)

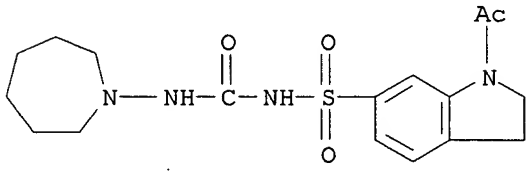
Absolute stereochemistry.



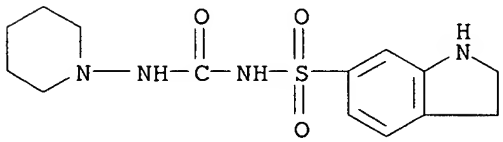
L8 ANSWER 48 OF 49 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1974:445189 CAPLUS
DN 81:45189
TI Potential antidiabetic agents. 1. Indan, indole, indoline, coumaran, and dihydrothianaphthene sulfonylureas and sulfonylsemicarbazides
AU Breuer, Hermann; Hoehn, Hans
CS Chem. Fabr. von Heyden G.m.b.H., Regensburg, Fed. Rep. Ger.
SO Chimica Therapeutica (1973), 8(6), 659-68
CODEN: CHTPBA; ISSN: 0009-4374
DT Journal
LA English
AB The synthesis of 1-(indan-5-sulfonyl)-3-cyclohexylurea (glyhexamide) (I) [451-71-8], 1-(indan-5-sulfonyl)-3-(1-hexahydroazepinyl)urea (glydazamide) [3074-35-9], and 62 other indan-, indole-, and indoline-, coumaran-, and dihydrothianaphthenesulfonamides, -sulfonylureas, and -sulfonylsemicarbazides is described, and the 1st 2 compds. had greater oral hypoglycemic action in rats than tolbutamide.
IT 52206-01-6P 52206-02-7P 52206-03-8P
52206-04-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 52206-01-6 CAPLUS
CN 1H-Indole-6-sulfonamide, 1-acetyl-2,3-dihydro-N-[(1-piperidinylamino)carbonyl]- (9CI) (CA INDEX NAME)



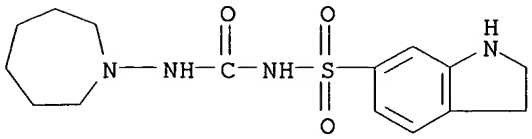
RN 52206-02-7 CAPLUS
CN 1H-Indole-6-sulfonamide, 1-acetyl-N-[[(hexahydro-1H-azepin-1-yl)amino]carbonyl]-2,3-dihydro- (9CI) (CA INDEX NAME)



RN 52206-03-8 CAPLUS
CN 1H-Indole-6-sulfonamide, 2,3-dihydro-N-[(1-piperidinylamino)carbonyl]- (9CI) (CA INDEX NAME)



RN 52206-04-9 CAPLUS
CN 1H-Indole-6-sulfonamide, N-[[(hexahydro-1H-azepin-1-yl)amino]carbonyl]-2,3-dihydro- (9CI) (CA INDEX NAME)



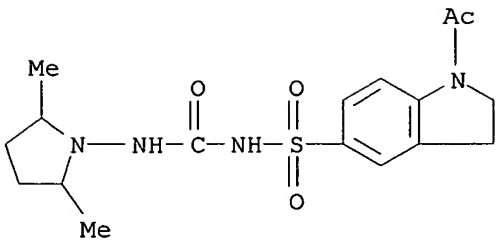
L8 ANSWER 49 OF 49 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1964:9684 CAPLUS
DN 60:9684
OREF 60:1707g-h,1708a-c
TI Sulfonylurea compounds
IN Breuer, Hermann; Hoehn, Hans
PA Olin Mathieson Chemical Corp.
SO 5 pp.
DT Patent
LA Unavailable

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 3102115		19630827	US 1962-218155	19620820
	BE 634577			BE	
PRAI	DE		19620411		

AB The title compds. (I and II) are prepd, by the treatment of a sulfonyl carbamate, a (different) sulfonylurea, or a sulfonyl isocyanate with an amine RNH2 (R is a basic N-containing radical of up to 12 C atoms which may be heterocyclic); X is CH2, NH, O, or S. Thus, 26.9 g. 1-(5-indansulfonyl)-3-(1-hexahydroazepinyl)urea, m. 169-70° (MeOH), is prepared by triturating 24 g. Et 5-indansulfonylcarbamate and 11.6 g. N-aminohexamethylenimine and heating the mixture on a boiling water bath. The following compds. are prepared similarly by reaction of a sulfonylcarbamate with the corresponding amine (m.p. given): 1-(5-indansulfonyl)-3-piperidinourea (III), 191-2°; 1-(2,3-dihydro-6-thionaphthenesulfonyl)-3-piperidinourea, 194-6°; 1-(6-coumaransulfonyl)-3-piperidinourea, 195-6.5°; 1-(4-indansulfonyl)-3-(4-methyl- 1-piperazinyl)urea, -; 1-(5-indolesulfonyl)-3-morpholinourea, -; 1-(6-indolinesulfonyl)-3-(benzylmethylamino)urea, -; 1-(1-acetyl-5-indolinesulfonyl)-3-(2,5-dimethyl-1-pyrrolidinyl)urea, -; 1-(5-indansulfonyl)-3-(3-methoxypiperidino)urea, -; 1-(5-indansulfonyl)-3-(4-homomorpholinyl)urea, -; 1-(2,3-dihydro-6-thionaphthenesulfonyl)-3-(4-methyl-1-homopiperazinyl)urea, -; 1-(6-coumaransulfonyl)-3-thiamorpholinourea, -; 1-(5-indansulfonyl)-3-(2,3-dimethylthiamorpholino)urea, -; 1-(4-indansulfonyl)-3-(3-methyl-1-pyrrolidinyl)urea, -; 1-(5-indansulfonyl)-3-(dimethylamino)urea, -; 1-(5-indansulfonyl)-3-(2,2'-dihydroxydiethylamino)urea. A mixture of 2.4 g. 5-indansulfonylurea and 1.1 g. N-aminopiperidine was heated on a boiling water bath to give III, m. 187-90° (MeOH). In another method, a solution of 12.8 g. 2,6-dimethyl-1-aminopiperidine in 50 ml. anhydrous ether is added to 22.3 g. 5-indansulfonyl isocyanate in 250 ml. anhydrous ether and the mixture refluxed for 2 hrs. to give a precipitate of 1-(5-indansulfonyl)-3-(2,6-dimethylpiperidino)urea. Treating a solution of the corresponding sulfonylurea in absolute alc. with HCl and tartaric acid, resp., gave 1-(5-indansulfonyl)-3-(1-hexahydroazepinyl)urea hydrochloride and 1-(5-indansulfonyl)-3-piperidinourea tartrate. Methods for the preparation of the starting materials are given. The title compds. are hypoglycemic agents for lowering the blood sugar in mammals.

IT 98067-64-2, Urea, 1-[(1-acetyl-5-indolinyl)sulfonyl]-3-(2,5-dimethyl-1-pyrrolidinyl)-
(preparation of)

RN 98067-64-2 CAPLUS
CN Urea, 1-[(1-acetyl-5-indolinyl)sulfonyl]-3-(2,5-dimethyl-1-pyrrolidinyl)-(7CI) (CA INDEX NAME)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L5                STRUCTURE UPLOADED
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L7            207 S L5 SSS FUL

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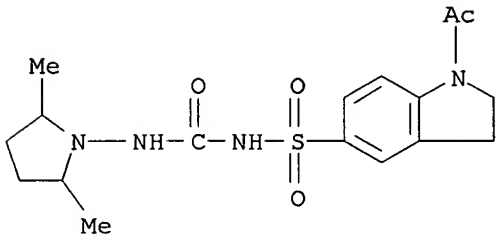
L9 ANSWER 1 OF 1 CAOLD COPYRIGHT 2006 ACS on STN
AN CA60:1707g CAOLD
TI sulfonylurea compds.
AU Breuer, Hermann; Hoehn, H.
PA Olin Mathieson Chemical Corp.
DT Patent

PATENT NO.	KIND	DATE
US 3102115		1963
BE 634577		

IT 98067-64-2
RN 98067-64-2 CAOLD
CN Urea, 1-[(1-acetyl-5-indolinyl)sulfonyl]-3-(2,5-dimethyl-1-pyrrolidinyl)- (7CI) (CA INDEX NAME)



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FULL ESTIMATED COST                               3.37     440.73

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)    SINCE FILE      TOTAL
                                                 ENTRY    SESSION
CA SUBSCRIBER PRICE                               0.00     -36.75

STN INTERNATIONAL LOGOFF AT 09:08:22 ON 18 AUG 2006
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